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- (73) Proprietor: Takeda Chemical Industries, Ltd.
1-1, Doshomachi 4-chome
Chuo-ku, OSAKA (JP)
- (72) Inventor: Mitsudera, Hiroyuki
31-8, Machikaneyama-cho
Toyonaka,
Osaka 560 (JP)
Inventor: Konobe, Masato
50-1, Yamadaminami
Sulta,
Osaka 565 (JP)
Inventor: Ishida, Yasuo
A-410, 45 Yamadaminami
Sulta,
Osaka 565 (JP)
Inventor: Matsuura, Kazuho
8-59, Yamabana-itchodacho
Sakyo-ku,
Kyoto 606 (JP)

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CHEMICAL ABSTRACTS, vol. 103, no. 7, August 19, 1985, Columbus, Ohio, USA SIGOVA, V.I. et al. "Synthesis and biological activity of the aryl amides of 2-methylnicotinic and 2-phenylindolizine-8-carboxylic acids." page 563, column 1, abstract-no. 53 910y & Khim. Pharm. Zh. 1985, 19(3), 159-63

⑦ Representative: Lederer, Franz, Dr. et al
Lederer, Keller & Riederer
Patentanwälte
Prinzregentenstrasse 16
D-80538 München (DE)

Description

BACKGROUND OF THE INVENTION

5 1. Field of the Invention

This invention relates to novel condensed heterocyclic compounds or salts thereof, intermediates thereof, method for preparing them and farming and gardening fungicide containing them.

10 2. Description of the Prior Art

It has been known that some kinds of amide compounds possess fungicidal activity against pathogenic fungi causing various kinds of plant blight. For instance, compounds described in Japanese Patent Unexamined Publication No. 135,364/1988, EP 61836A and GB 2190375A exhibit effects against downy mildew fungi of a vine and cucumber and pathogenic fungi of a tomato and potato. However, it is hard to say that those compounds exhibit sufficient controlling effects and safety.

Sulfonyleurea compounds which contain a condensed heterocyclic group and their herbicidal use are disclosed in EP 238 070.

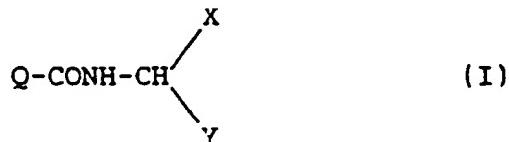
Thus, it is desired to conquer the aforementioned defects, namely, to provide compounds possessing excellent control effects against plant blight, method for preparing those compounds and agent for controlling plant blight containing those compounds.

Downy mildew and other blight of vegetables and fruit trees are liable to happen and spread in a time of much rain. Accordingly, it is required to provide compounds which are not washed away by rain (rain-resisting quality) and exhibit excellent effect for controlling and curing plant blight, do not damage the cultivating plants and show less toxicity to warm-blooded animals and fish, and also to provide a simple and easy method for preparing those compounds in high yield and to provide a useful agricultural chemical containing those compounds.

SUMMARY OF THE INVENTION

The present inventors have studied intensively to attain the aforementioned problems, and succeeded in preparation of condensed heterocyclic compounds of the following general formula (I) and their salts and found that these compounds exhibit excellent effects for controlling various kinds of plant blight, especially downy mildew and blight, possess excellent rain-resisting quality, do not damage the plants and are less toxicity against warm-blooded animals and fish and that those compounds can be prepared by an industrially advantageous method.

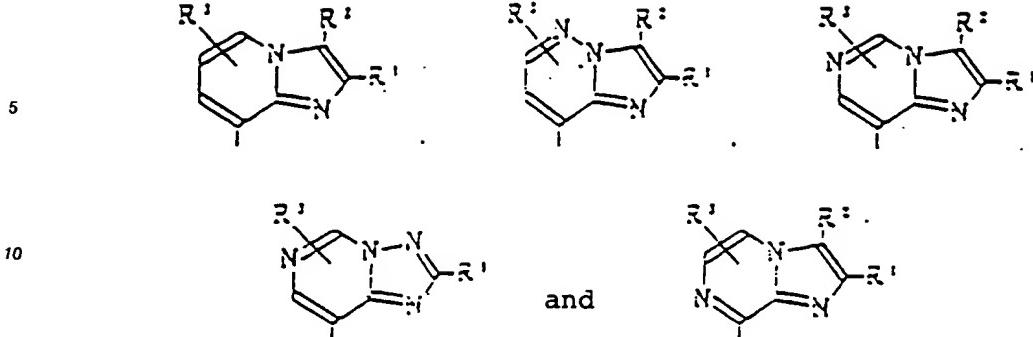
Thus, the present invention relates to a condensed heterocyclic compound of the general formula:



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(1) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the following:

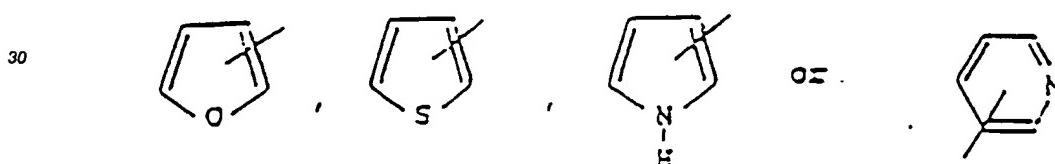
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15 in which R¹ is C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, alkoxy carbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

20 R² and R³ are hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or dialkylsulfamoyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

25 X is hydrogen ; a group attached through a carbon atom selected from the group consisting of C₁₋₁₀ alkyl, C₂₋₄ alkenyl, C₁₋₁₀ haloalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkenyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl and a heterocyclic ring of the formula

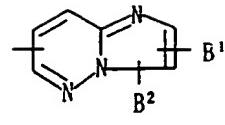
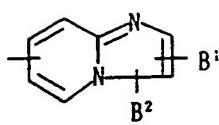


35 a group attached through an oxygen atom selected from the group consisting of C₁₋₁₀ alkoxy, C₆₋₁₀ aryloxy and C₇₋₁₀ aralkyloxy; a group attached through a sulfur atom selected from the group consisting of C₁₋₁₀ alkylthio, C₆₋₁₀ arylthio and C₇₋₁₀ aralkylthio; a group attached through a nitrogen atom selected from the group consisting of C₁₋₁₀ alkylamino, C₆₋₁₀ arylamino, C₇₋₁₀ aralkylamino and a heterocyclic ring of the formula

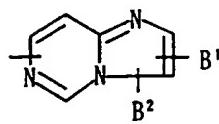


50 wherein each of said groups attached through a carbon atom, an oxygen atom, a sulfur atom or a nitrogen atom is unsubstituted or substituted with one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, carboxyl, sulfonyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, phenylthio and benzylthio; and Y is cyano, carbamoyl, thiocarbamoyl or trichloromethyl group;

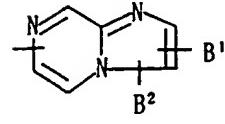
55 or (2) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the group consisting of



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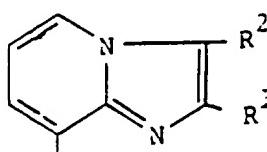


and



in which the substituents B¹ and B² on the condensed heterocyclic group for Q may be the same or different each other, and are hydrogen atom, nitro, amino, hydroxyl, cyano, C₁₋₃ acyl, carbamoyl, carboxyl, C₁₋₄ alkoxy carbonyl, sulfo, halogen, C₁₋₄ alkoxy, C₆₋₁₀ aryloxy, C₆₋₁₀ aryl carbonyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl, C₃₋₇ cycloalkyl, C₁₋₄ alkylthio, C₆₋₁₀ arylthio, C₇₋₁₀ aralkylthio, mono- or di-C₁₋₄ alkylsulfamoyl, C₁₋₆ alkyl, mono-, di-, tri- or tetra-halogen-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkyl-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkoxy-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkylthio-substituted phenyl, 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, or 5 or 6 membered heterocyclic group selected from pyridyl, furyl, thiienyl and thiazolyl; and X and Y have the same meaning as defined above; or (3) Q is:

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and

- R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
- or R¹ is methyl; R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is isopropylthiomethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is 2-cyanoethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is 2-methyl-1-propenyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 2-N-methylpyrrolyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 3-trifluoromethylphenyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 3-methylphenyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 5-methylfur-2-yl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 2-pyridylmethyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 3-methylthien-2-yl and Y is cyano;
- or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
- or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
- or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is phenyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
- or R¹ is phenyl, R² is 2-cyanoethyl, X is 2-furyl and Y is cyano;
- or R¹ is phenyl, R² is 2-methyl-1-propenyl, X is 2-furyl and Y is cyano;
- or R¹ is phenyl, R² is 2,2,2-trichloro-1-hydroxyethyl, X is 2-furyl and Y is cyano;
- or R¹ is trifluoromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
- or R¹ is 2-methyl-1-propenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
- or R¹ is ethoxyminomethyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
- or R¹ is phenoxy methyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
- or R¹ is phenylthiomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;

or R¹ is phenylsulfinylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenoxyethyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is methoxymethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 5 or R¹ is methoxymethyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylsulfinylmethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
 or R¹ is methylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 10 or R¹ is morpholinomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is diethoxyphosphorylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is (dimethylthiocarbamoyl)thiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is chloromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 15 or R¹ is trifluoromethyl, R² is phenylcarbamoyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is hydrogen, R² is 1-hydroxybenzyl, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is sulfomethyl, X is 2-thienyl and Y is cyano;
 or R¹ is 4-dimethylaminophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 or R¹ is biphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 20 or R¹ is 4-sulfophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is hydrogen, X is 3-fluorophenyl and Y is formamide;
 or R¹ is 3-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is methyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 25 or R¹ is 2-dimethylcarbamoylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methoxymethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfinylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfonylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 4-cyanophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 30 or R¹ is 2-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 3-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-5-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or (4) Q is:

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45

and

R¹ is methyl, R² is N,N-dimethylaminomethyl, R³ is 5-methyl, R⁴ is hydrogen, X is 2-thienyl and Y is cyano;

or R¹ is phenyl, R² is hydrogen, R³ is 5-methyl, R⁴ is 7-methyl, X is 2-furyl and Y is cyano;

50 or R¹ is phenyl, R² is hydrogen, R³ is 5-trifluoromethyl, R⁴ is 7-trifluoromethyl, X is 2-furyl and Y is cyano;

or R¹ is phenyl, R² is hydrogen, R³ is 5-hydroxy, R⁴ is 6-chloro, X is 2-thienyl and Y is cyano.

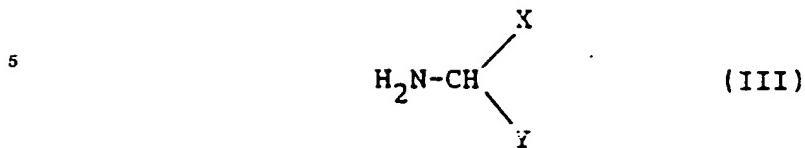
This invention also relates to a method for preparing a condensed heterocyclic compound (I) or its salt by reacting a compound of the general formula:

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Q-CO-Z (II)

wherein Q has the same meaning as defined in the above formula (I), and COZ denotes a carboxylic group

or its reactive derivative, or its salt with a compound of the general formula:



- 10 wherein X and Y are each as defined in the above formula (I), or its salt.

And further, this invention relates to a farming and gardening fungicide containing a condensed heterocyclic compound of the general formula (I) or its salt.

According to one aspect, the present invention provides a condensed heterocyclic carboxylic acid compound of the general formula:

- 15 Q¹-CO-Z (IV)

wherein Q¹ is a condensed heterocyclic group having a nitrogen atom in the bridgehead as follows:

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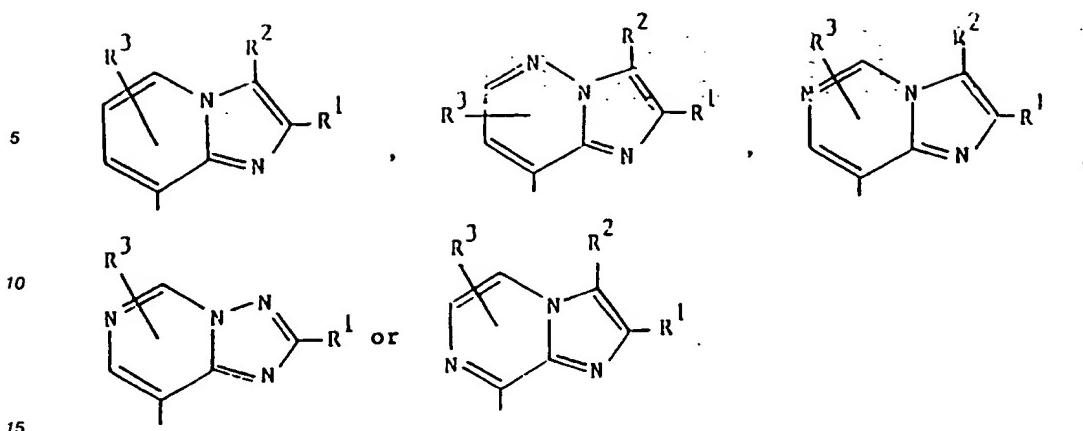
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- in which R¹ is an C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, alkoxycarbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group; R² and R³ are a hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or dialkylsulfamoyl, alkoxycarbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group, and COZ denotes a carboxylic group or its reactive derivative; or its salt.

According to another aspect, the present invention provides a process for preparing a compound of the general formula:

- 50 Q²-CO-Z (VIII)

wherein Q² is a group of the general formula:



in which R¹, R² and R³ have the same meanings as defined above or its salt which comprises reacting a compound of the general formula:



wherein the ring A is a pyridine, pyridazine, pyrimidine or pyrazine group which is unsubstituted or substituted by an C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or di-alkylsulfamoyl, alkoxy carbonyl, 30 formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group, and COZ denotes a carboxylic group or its reactive derivative, or its salt with a compound of the general formula:



45 wherein W is a halogen atom, and R¹ and R² have the same meanings as defined above.

The compounds (I) or their salts of this invention have a novel structure characterized by the combination of a specific group, namely, a condensed heterocyclic group having nitrogen atom in the bridgehead and the carbonyl group, which is different from the known amide compounds. The compounds 50 of this invention have merits that they exhibit an excellent control effect for downy mildew and blight, possess excellent water-resisting quality, do not damage the plants and are less toxicity against warm-blooded animals and fish.

PREFERRED EMBODIMENT OF THE INVENTION

55 In the formula (I) and (II), the symbol Q has the above-described meaning. Examples of the substituent R¹ are alkoxy carbonyl (e.g. C₁₋₄ alkoxy carbonyl such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, etc.), halogen (chlorine, bromine, iodine, fluorine, etc.), C₁₋₄ alkoxy (methoxy,

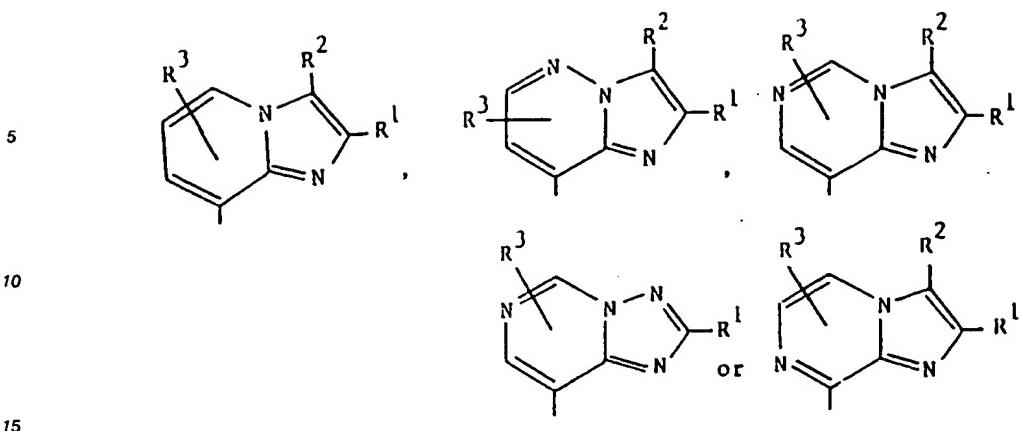
ethoxy, propoxy, isopropoxy, buoxy, isobutoxy, sec-butoxy, tert-butoxy, etc.), C_{6-10} aryloxy (phenoxy, etc.), C_{1-4} alkylthio (methylthio, ethylthio, propylthio, isopropylthio, butylthio, iso-butylthio, sec-butylthio, tert-butylthio, etc.), C_{6-10} arylthio (phenylthio, etc.), C_{1-6} alkyl (methyl, ethyl, propyl, isopropyl, pentyl, hexyl, etc.), phenyl, substituted phenyl (mono-, di-, tri or tetra-halogen-substituted phenyl such as 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 4-bromophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkyl-substituted phenyl such as 2-methylphenyl, 3-methylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 3,4-dimethylphenyl, 2,5-diethylphenyl, 2,4,6-trimethylphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkoxy-substituted phenyl such as 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkylthio-substituted phenyl such as 2-methylthiophenyl, 3,4-dimethylthiophenyl, etc., 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, etc.), aromatic heterocyclic group (5 or 6 membered heterocyclic group such as pyridyl, furyl, thienyl, thiazolyl, etc.).

The substituents R^2 and R^3 on the condensed heterocyclic group for Q may be the same or different each other. Examples of the substituents are hydrogen atom, nitro, amino, cyano, formyl, alkoxy carbonyl (e.g., C_{1-4} alkoxy carbonyl such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, etc.), sulfo, halogen (chlorine, bromine, iodine, fluorine, etc.), mono- or di-alkylsulfamoyl (e.g., mono- or di- C_{1-4} alkylsulfamoyl such as mono- or di-methylsulfamoyl, mono- or di-ethylsulfamoyl, mono- or di-n-propylsulfamoyl), C_{1-6} alkyl (methyl, ethyl, propyl, isopropyl, pentyl, hexyl, etc.), phenyl, substituted phenyl (mono-, di-, tri or tetra-halogen-substituted phenyl such as 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 4-bromophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkyl-substituted phenyl such as 2-methylphenyl, 3-methylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 3,4-dimethylphenyl, 2,5-diethylphenyl; 2,4,6-trimethylphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkoxy-substituted phenyl such as 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkylthio-substituted phenyl such as 2-methylthiophenyl, 3,4-dimethylthiophenyl, etc., 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, etc.), aromatic heterocyclic group (5 or 6 membered heterocyclic group such as pyridyl, furyl, thienyl, thiazolyl, etc.).

The substituents B^1 and B^2 on the condensed heterocyclic group for Q may be the same or different each other. Examples of the substituents are hydrogen atom, nitro, amino, hydroxyl, cyano, C_{1-3} acyl (formyl, etc.), carbamoyl, carboxyl, alkoxy carbonyl (e.g., C_{1-4} alkoxy carbonyl such as methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, etc.), sulfo, halogen (chlorine, bromine, iodine, fluorine, etc.), C_{1-4} alkoxy (methoxy, ethoxy, propoxy, isopropoxy, buoxy, isobutoxy, sec-butoxy, tert-butoxy, etc.), C_{6-10} aryloxy (phenoxy, etc.), C_{6-10} arylcarbonyl (benzoyl, etc.), C_{6-10} aryl (phenyl, etc.), C_{7-10} aralkyl (benzyl, phenethyl, etc.), C_{3-7} cycloalkyl (cyclohexyl, etc.), C_{1-4} alkylthio (methylthio, ethylthio, propylthio, isopropylthio, butylthio, iso-butylthio, sec-butylthio, tert-butylthio, etc.), C_{6-10} arylthio (phenylthio, etc.), C_{7-10} aralkylthio (benzylthio, etc.), mono- or di-alkylsulfamoyl (e.g., mono- or di- C_{1-4} alkylsulfamoyl such as mono- or di-methylsulfamoyl, mono- or di-ethylsulfamoyl, mono- or di-n-propylsulfamoyl), C_{1-6} alkyl (methyl, ethyl, propyl, isopropyl, pentyl, hexyl, etc.), substituted phenyl (mono-, di-, tri or tetra-halogen-substituted phenyl such as 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 4-bromophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkyl-substituted phenyl such as 2-methylphenyl; 3-methylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 3,4-dimethylphenyl, 2,5-diethylphenyl, 2,4,6-trimethylphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkoxy-substituted phenyl such as 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, etc., mono-, di-, tri- or tetra- C_{1-4} alkylthio-substituted phenyl such as 2-methylthiophenyl, 3,4-dimethylthiophenyl, etc., 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, etc.), aromatic heterocyclic group (5 or 6 membered heterocyclic group such as pyridyl, furyl, thienyl, thiazolyl, etc.).

Especially, one of B^1 and B^2 is preferably C_{1-6} alkyl, phenyl or substituted phenyl.

Q^1 in the above mentioned general formula (IV) means a condensed heterocyclic group having a nitrogen atom in the bridgehead shown by the following formula:



The substituents R¹, R² and R³ have the same meanings as mentioned above.

Examples of the substituent R¹ include the C₁-6 alkyl, halogen, C₁-4 alkoxy, C₁-4 alkylthio, C₆-10 aryloxy, C₆-10 arylthio, alkoxy carbonyl, phenyl, substituted phenyl or aromatic heterocyclic group as stated above. Examples of the substituents R² and R³ include hydrogen, nitro, amino, sulfo, formyl, cyano or phenyl group, and also the C₁-6 alkyl, halogen, mono- or di-alkylsulfamoyl, alkoxy carbonyl, substituted phenyl or aromatic heterocyclic groups as stated above. The substituent R¹ is preferably phenyl or a substituted phenyl.

Preferable ones of Q¹ are Q' or Q'' as described below.

25 The symbol X in the general formula (I) is a hydrogen atom or a group attached through C, O, S or N atom. The group attached through a C atom may be an alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkenyl, aryl or aralkyl group or an aromatic heterocyclic group having a chemical bond at a carbon atom; the group attached through an O atom may be an alkoxy, aryloxy or aralkyloxy group; the group attached through a S atom may be an alkylthio, arylthio or aralkylthio group; and the group attached through a N atom may be an alkylamino, arylamino or aralkylamino group or an aromatic heterocyclic group having a chemical bond at a N atom

The above alkyl group and alkyl moiety of the haloalkyl, alkoxy, alkylthio and alkylamino groups include a straight or branched one containing 1 to 10 carbon atoms such as methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec-butyl, tert-butyl, n-pentyl, sec-pentyl, isopentyl, neopentyl, n-hexyl, isohexyl, n-octyl, n-decyl and the like.

The above alkenyl group may be one containing 2 to 4 carbon atoms such as vinyl, allyl, 2-methylallyl, 3-methyl-1-buteneyl or the like.

The above cycloalkyl group may be a 3- to 6-membered one such as cyclopropyl, cyclopentyl, cyclohexyl or the like.

40 The above cycloalkenyl group may be a 3- to 6-membered one such as cyclopropenyl, cyclopentenyl, cyclohexenyl or the like.

The above aryl group and aryl moiety of the aryloxy, arylthio and arylamino groups may be one containing 6 to 10 carbon atoms such as phenyl, tolyl, xylyl, naphthyl or the like.

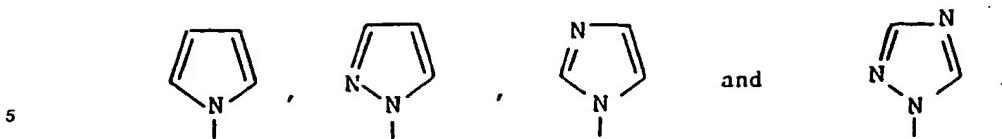
The above aralkyl group and aralkyl moiety of the aralkyloxy, aralkylthio and aralkylamino groups may be one containing 7 - 10 carbon atoms such as benzyl, phenethyl or the like.

The aromatic heterocyclic group having a chemical bond at a C atom may be a 5 or 6-membered aromatic heterocyclic one such as

aromatic heterocycles and such as



55 The aromatic heterocyclic group having a chemical bond at a N atom may be a 5 or 6-membered N-

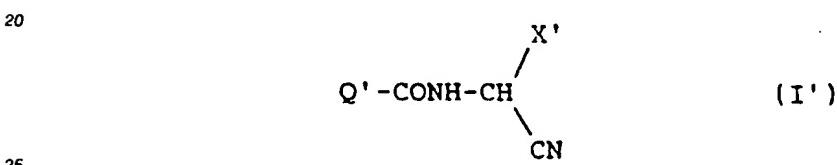


Each of the above mentioned groups attached through C, O, S or N atom may further have one to four substituents such as nitro, amino, hydroxyl, cyano, carboxyl, sulfo, a halogen (fluorine, chlorine, bromine, etc.), an alkoxy containing 1 to 4 carbon atoms (methoxy, ethoxy, etc.), an alkylthio containing 1 to 4 carbon atom(s) (methylthio, ethylthio, etc.), phenylthio, benzylthio and the like.

Suitable examples of X include hydrogen atom, an C_{1-10} alkyl, C_{2-4} alkenyl, C_{1-10} alkoxy, C_{1-10} alkylthio, phenyl, halogen-substituted phenyl, aromatic heterocyclic group having a chemical bond at C or N atom or the like. Specific examples of these groups include the ones exemplified for R^1 , R^2 and R^3 in the above.

The symbol Y in the general formula (I) means an electron attractive group, such as cyano, carbamoyl, thiocarbamoyl or trichloromethyl group or the like. Cyano group is preferable as an example of Y.

Especially interesting compounds of this invention are ones represented by the following formula (I'):

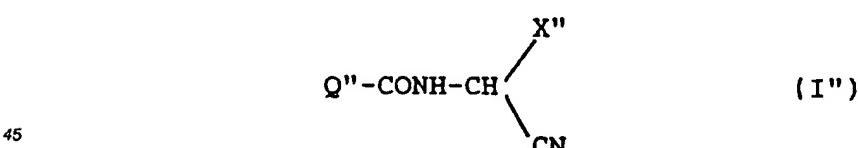


wherein Q' is a group of the formula:



35 (one of $B^{1'}$ and $B^{2'}$ is an C_{1-6} alkyl, phenyl or substituted phenyl group and the other is a hydrogen atom), X' is a phenyl, halogen-substituted phenyl or aromatic heterocyclic group having a chemical bond at a C atom.

Another group of interesting compounds (I) is one represented by the following formula (I''):



wherein Q'' is

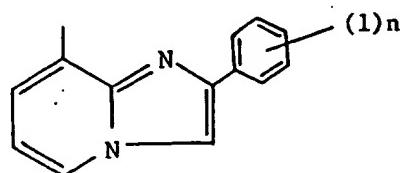


(B¹" is a phenyl or substituted phenyl group, B²" is a hydrogen atom or a C₁-6 alkyl group), and X'" is a phenyl, fluorine-substituted phenyl, thienyl or furyl group.

The C₁-6 alkyl group and substituted phenyl group exemplified in the above R¹, R² and R³ are applicable to those in B¹', B²' and B²" of the formulas (I') and (II'). The halogen-substituted phenyl group for X' may be a phenyl group substituted by one to four halogens of fluorine, chlorine, bromine and so on. The fluorine-substituted phenyl group for X'" may be o-, m- or p-fluorophenyl, o,m- or o,p-difluorophenyl or 2,4,6-trifluorophenyl. The aromatic heterocyclic groups having a chemical bond at C atom mentioned in the symbol X are applicable to those of X'.

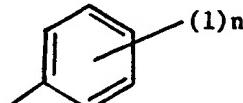
Preferable example of the symbols Q' and Q" is
10

15



wherein n is 0, 1, 2, 3 or 4 and I is the same or different selected from a group comprising C₁-4 alkyl, C₁-4 alkoxy, C₁-4 alkylthio or halogen. The substituted phenyl groups mentioned in R¹ R² and R³ are applicable to the group:

25



(n 0). X' and X'" is preferably 2-thienyl group, etc.

30 The compounds(I) of this invention contain an asymmetric carbon atom, and this invention include each isomer due to the asymmetric carbon atom and a mixture thereof.

The salt of the compounds (I) of this invention may be a salt formed by an alkali metal or alkaline earth metal such as sodium, magnesium, potassium, calcium, etc. with an acidic group (e.g., carboxy, etc.) contained as a substituent, or a salt formed by a mineral acid such as hydrochloric acid, phosphoric acid, 35 sulfuric acid, etc. or an organic acid such as oxalic acid, acetic acid, benzoic acid, etc. with a basic group contained in the substituent(s) or condensed heterocycle.

The carboxylic acids (II) and (IV) having condensed heterocycle, which are used as the starting materials in this invention, or their salts can be prepared by a method similar to the known methods described in, for example, J. Org. Chem., Vol. 37, page 3107 (1972); J. Org. Chem., Vol. 36, page 2678 40 (1971); J. Org. Chem., Vol. 42, page 4197 (1977); J. Med. Chem., Vol. 17, page 645 (1974); J. Med. Chem., Vol. 20, page 386 (1977); J. Med. Chem., Vol. 15, page 982 (1972); J. Med. Chem., Vol. 28, page 876 (1985); Tetrahedron Lett., Vol. 21, page 2195 (1980); J. Chem., Soc., Perkin Trans. I, page 1159 (1987), and the like.

In more detail, some of the carboxylic acids (II) or (IV) or their salts can be prepared, for example, by reacting an aromatic heterocyclic aminocarboxylic acid, its reactive derivative or salt with a compound of the formula (VI) or (VII).

Especially, a compound (VIII) or its salt which is frequently used among novel compounds (IV) or their salts can be prepared by reacting a compound (V) or its salt with a compound (VI) or a compound (VII).

In the formulas (II), (IV), (V) and (VIII), Z denotes a leaving group and accordingly -COZ can denote 50 -COOH or its reactive derivate. Thus, the compound (II) or its salt can be represented by a carboxylic acid of the formula:

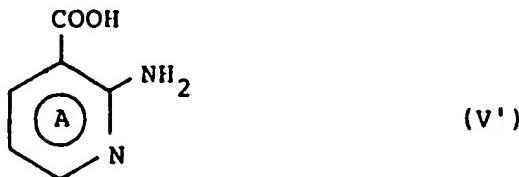
Q-COOH (II')

55 wherein Q has the same meaning as defined above, or its reactive derivative, or its salt; the compound (IV) or its salt be represented by a carboxylic acid of the formula:

Q'-COOH (IV')

wherein Q' has the same meaning as defined above, or its reactive derivative, or its salt; the compound (V) or its salt be represented by a carboxylic acid of the formula:

5



10

wherein the ring (A) has the same meaning as defined above or its reactive derivative, or its salt;

15 the compound (VIII) or its salt be represented by a carboxylic acid of the formula:

$Q^2\text{-COOH}$ (VIII')

wherein Q^2 has the same meaning as defined above, or its reactive derivative, or its salt, respectively.

20 Examples of the reactive derivatives at the carboxyl group in the aromatic heterocyclic amino carboxylic acid and carboxylic acids (II'), (IV'), (V') and (VIII') include the acid anhydrides, active amides, active esters or the like. Specific examples of such reactive derivatives are as follows:

25 1) Acid anhydrides may be mixed anhydrides with halogenic acids (e.g., hydrochloric acid or hydrobromic acid), mono-alkyl carbonates, aliphatic carboxylic acids (e.g., acetic acid, pivaloic acid, valeric acid, isovaleric acid or trichloroacetic acid) or aromatic carboxylic acids (e.g., benzoic acid), or symmetric acid anhydrides.

30 2) Active amides may be amides with pyrazole, imidazole, 4-substituted imidazole, dimethylpyrazole or benztriazole.

35 3) Active esters may be methyl ester, ethyl ester, methoxymethyl ester, propargyl ester, 4-nitrophenyl ester, 2,4-dinitrophenyl ester, trichlorophenyl ester, pentachlorophenyl ester or mesylphenyl ester, or other esters with 1-hydroxy-1H-2-pyrrolidone, N-hydroxysuccinimide or N-hydroxyphthalimide.

Such reactive derivatives at carboxyl group are suitably selected and used depending upon the kind of the used carboxylic acids.

Further, the above mentioned aromatic heterocyclic amino carboxylic acid and carboxylic acids (II'), (IV'), (V') and (VIII') may be used in their free form (i.e., carboxylic acid form), preferably in the presence of a condensing agent such as N,N' -dicyclohexylcarbodiimide, N -cyclohexyl- N' -morpholinoethylcarbodiimide, N -cyclohexyl- N' -(4-dimethylaminocyclohexyl)carbodiimide or N -ethyl- N' -(3-dimethylaminopropyl)-carbodiimide. In addition, the above carboxylic acids may be used as the salts with an alkali metal or alkaline earth metal.

40 The symbol W in the formula (VI) and (VII) denotes a halogen atom such as chlorine, bromine or fluorine. The ring (A) in the formula (V) may be pyridine, pyridazine, pyrimidine or pyrazine ring, which may be substituted by a group as mentioned in R^3 .

Q^2 is preferably Q' or Q'' .

45 This reaction can be carried out without solvent or in a suitable solvent and in the presence of a condensing agent such as a base, if necessary. The suitable solvents may be, alcohols such as ethanol, isopropyl alcohol, etc., aromatic hydrocarbons such as benzene, toluene, xylene, etc., halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride, etc., ethers such as diethyl ether, dioxane, tetrahydrofuran, dimethoxyethane, etc., ketones such as acetone, methyl ethyl ketone, etc., nitriles such as acetonitrile, propionitrile, etc., amides such as dimethylformamide, dimethylacetamide, etc., esters

50 such as methyl acetate, ethyl acetate, butyl acetate, etc., or a mixture thereof. If necessary, a mixed solvent of water and an aromatic hydrocarbon or halogenated hydrocarbon may be used. The solvent is usually used in 1 to 50 times (weight), preferably 5 to 10 times, to the carboxylic acids or their reactive derivatives or salts. The reaction may be accelerated by adding a base to the solvent. Suitable base may be, tertiary amines such as triethylamine, pyridine, 4-dimethylaminopyridine, DBU (1,8-diazabicyclo[5.4.0]-undec-7-ene), etc., alkali metal or alkaline earth metal hydroxide, carbonate or bicarbonate or alkali metal alcoholate

55 such as sodium carbonate, potassium carbonate, sodium bicarbonate, sodium hydroxide, potassium hydroxide, sodium alcoholate, etc., or organic metal salt such as n-butyl lithium, etc. The base is usually used in 1 to 5 equivalents, preferably, 1 to 3 equivalents, to the carboxylic acids or their reactive derivatives

or salts.

The compound of the formula (VI) or (VII) is used in an amount of 1.1 to 2.0 moles, to 1 mole of the carboxylic acid or its reactive derivative or salt in this reaction. This reaction may be carried out at room temperature (e.g., -10°C to 30°C) or at an elevated temperature (e.g., 30 to 100°C) for accelerating the reaction. The reaction time depends on the reaction temperature, etc., and it is usually 15 minutes to 24 hours, preferably 30 minutes to 10 hours.

Thus, the resulting compound (II) or (IV) can be isolated and purified as a free base by a known method such as chromatography on silica gel (Kiesel gel® 60 manufactured by Merck & Co., Inc., eluting solvent: chloroform, ethyl acetate, etc.), or isolated and purified as an acid addition salt with an inorganic acid such as hydrochloric acid, sulfuric acid, phosphoric acid, etc., or with an organic acid such as acetic acid, benzenesulfonic acid, p-toluenesulfonic acid, methanesulfonic acid, citric acid, tartaric acid, oxalic acid, propionic acid, maleic acid, malic acid, malonic acid, fumaric acid, mandelic acid, ascorbic acid, etc. according to a conventional method by conventional means such as concentration, concentration under reduced pressure, extraction, phase transfer, crystallization, recrystallization or chromatography. In case where a carboxy group or the like is contained as a substituent in the compound, said compound can be derived to a salt with alkali metal or alkaline earth metal as exemplified before according to a conventional method, which can be further isolated and purified by conventional means as mentioned above.

The condensed heterocyclic carboxylic acid derivative (II) or (IV) or its salt prepared by the above reaction can also be derived to a nitro-substituted compound by a conventional nitration, or to a halogenated compound by using a halogenating agent such as N-bromosuccinimide (NBS), N-chlorosuccinimide (NCS), or the like.

The amines (III) and their salts (salts with the acids as mentioned in the above compounds (II) and (IV)) can be prepared by a known method or method similar thereto.

A compound (I) or its salt can be prepared by reacting a compound (II) or its salt with a compound (III) or its salt.

In more detail, a compound (I) or its salt can usually be prepared by reacting a compound (II) or its salt with a compound (III) or its salt without solvent or in a suitable solvent and in the presence of a suitable base or condensing agent. The suitable solvents may be, aromatic hydrocarbons such as benzene, toluene, xylene, etc., halogenated hydrocarbons such as methylene chloride, chloroform, carbon tetrachloride, etc., ethers such as diethyl ether, dioxane, tetrahydrofuran, etc., ketones such as acetone, methyl ethyl ketone, etc., nitriles such as acetonitrile, propionitrile, etc., amides such as dimethylformamide, dimethylacetamide, etc., esters such as methyl acetate, ethyl acetate, butyl acetate, etc., or a mixture thereof. If necessary, a mixture of water and an aromatic hydrocarbon or halogenated hydrocarbon may be used. The solvent is usually used in 1 to 50 times (weight), preferably 5 to 10 times, to the compound (II) or its salt. The reaction can be accelerated by adding a base to the solvent. The suitable bases may be, tertiary amines such as triethylamine, pyridine, 4-dimethylaminopyridine, DBU (1,8-diazabicyclo[5.4.0]-undec-7-ene), etc., alkali metal or alkaline earth metal hydroxides, carbonates or bicarbonates or alkali metal alcoholates such as sodium carbonate, potassium carbonate, sodium bicarbonate, sodium hydroxide, potassium hydroxide, sodium alcoholate etc., or organic metal salt such as n-butyl lithium, etc. Such base is usually used in 1 to 20 equivalents, preferably 2 to 5 equivalents, to the compound (II) or its salt. The suitable condensing agents may be, thionyl chloride, phosphorus oxy- chloride, carbonyldiimidazole, N-methyl-2-bromo-pyridinium iodide, dicyclohexylimide, etc., or a mixture thereof. Such condensing agent is usually used in 1 to 10 equivalents, preferably 2 to 5 equivalents, to the compound (II) or its salt.

In the reaction, the compound (II) or its salt is used in an amount of 1.1 to 1.5 moles to 1 mole of the compound (III) or its salt. The reaction may be carried out under cooling to at room temperature (-20 to 30°C), or at an elevated temperature such as about 30 to 100°C to accelerate the reaction. Though the reaction time depends the reaction temperature, etc., it is usually 15 minutes to 15 hours, preferably about 30 minutes to 8 hours.

Thus the resulting compound (I) can be isolated and purified as a free base by a known method such as chromatography on silica gel (Kiesel gel 60 manufactured by Merck & Co., Inc., eluting solvent: chloroform, ethyl acetate etc.), or isolated and purified as an acid addition salt with an inorganic acid such as hydrochloric acid, sulfuric acid, phosphoric acid, etc., or with an organic acid such as acetic acid, benzenesulfonic acid, p-toluenesulfonic acid, methanesulfonic acid, citric acid, tartaric acid, oxalic acid, propionic acid, maleic acid, malic acid, malonic acid, fumaric acid, mandelic acid, ascorbic acid, etc. according to a conventional method, by conventional means as mentioned above. In case where a carboxy group or the like is contained as a substituent in the compound, said compound can be derived to a salt with alkali metal or alkaline earth metal as exemplified before according to a conventional method, and can be isolated and purified by conventional means as mentioned above.

Thus prepared compounds (I) of this invention or their salts possess an excellent effect for preventing and controlling various kinds of plant diseases caused by pathogenic fungi, especially downy mildew of vegetables such as cucumber, Chinese cabbage, onion, pulse, etc. and fruit trees such as vine, citrus, apple, etc., plant blight of tomato, potato, egg plant, green pepper, pumpkin, etc. Further, the compounds 5 (I) of this invention and their salts maintain the stable fungicidal effect for a considerably long period of time after applying to plants (long-lasting effect), and are less in reduction of the efficacy due to little washing off by rain after application by spraying (rain-resistance effect). Thus, the compounds (I) and their salts exert sufficient effects even in the rainy reason when downy mildew or plant blight occurs frequently. And further, the compounds (I) of this invention and their salts possess a safe and advantageous qualities as an 10 agricultural fungicide, because damage on plants is low and toxicity to fish is also low.

The compound (I) of this invention or its salt can be used as a fungicide in a conventional form of agricultural chemicals. That is, a kind or two or more kinds of the compounds (I) of this invention and their salts may preferably be used in accordance with purpose in a form of emulsifiable concentrate, oily preparation, spray, wettable powder, powder, tablet, ointment, etc., which can be formed with a suitable 15 carrier or carriers in a conventional manner, for example, by dissolved or dispersed in a proper liquid carrier, by mixed with a proper solid carrier or adsorbed on a proper solid carrier. If necessary, emulsifying agent, suspending agent, spreading agent, penetrating agent, moisturizing agent, adhesive, stabilizing agent, etc. may be added to the aforementioned preparations, which can be prepared by a conventional method.

20 The suitable rate of the compound (I) of this invention or its salt to the total fungicidal composition is about 1 to 80% by weight for emulsifiable concentrate or wettable powder, about 0.1 to 10% by weight for oily preparation and powder, and about 5 to 50% by weight for granules, though the concentration of the active ingredient may be varied in accordance with the purpose. The emulsifiable concentrates, wettable powders or the like is suitably diluted and extended (for example to 100 - 5000 times) with water or the like 25 on the occasion of use, and then scattered.

Suitable liquid carrier (solvent) to be used may be, for example, water, alcohols (e.g. methanol, ethanol, n-propanol, isopropyl alcohol, ethylene glycol, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol monomethyl ether, diethylene glycol monomethyl ether, propylene glycol monomethyl ether, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), aliphatic hydrocarbons (e.g. kerosine, kerosene, fuel oil, machine 30 oil, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, solvent naphtha, methylnaphthalene, etc.), halogenated hydrocarbons (e.g. methylene chloride, chloroform, carbon tetrachloride, etc.), acid amides (e.g. dimethylformamide, dimethylacetamide, etc.), esters (e.g. ethyl acetate, butyl acetate, fatty acid glycerol ester, etc.), nitriles (e.g. acetonitrile, propionitrile, etc.), or the like. These liquid carriers may be used individually or as an optional mixture thereof.

35 Suitable solid carrier (diluent or extender) may be vegetable powders (e.g. soybean flour, tobacco flour, wheat flour, sawdust, etc.), mineral powders (e.g. clays such as kaolin, bentonite, acid clay, talcs such as talc powder, pyrophyllite, silicas such as diatomaceous earth, mica powder, etc.), alumina, sulfur powder, active carbon, or the like. These solid carriers may be used individually or as an optional mixture thereof.

40 Suitable base for the ointment may be, for example, polyethylene glycol, pectin, polyalcohol esters of higher fatty acids such as glycerin mono-stearate, etc. cellulose derivatives such as methyl cellulose, etc., sodium alginate, bentonite, higher alcohols, polyalcohols such as glycerin, etc., vaseline, white petrolatum, liquid paraffin, lard, various kinds of vegetable oils, lanolin, dehydrated lanolin, hard oil, resins, or the like. These bases may be used individually or as an optional mixture thereof, or together with the surface active agent exemplified in the following.

45 Suitable surface active agent to be used, if necessary, as the emulsifying agent, spreading agent, penetrating agent, dispersing agent, etc. may be nonionic or anionic surface active agent such as soaps, polyoxyalkyl aryl esters (e.g. Nonal®, manufactured by Takemoto Yushi K.K., Japan), alkyl sulfates (e.g. Emal 10®, Eemal 40®, manufactured by Kao-Atlas K.K., Japan), alkyl sulfonates (e.g. Neogen®, Neogen T®, manufactured by Dai-ichi Kogyo Seiyaku K.K., Japan : Neopellex®, manufactured by Kao-Atlas K.K., Japan), polyethylene glycol ethers (e.g. Nonipol 85®, Nonipol 100®, Nonipol 160®, manufactured by Sanyo Kasei K.K. Japan), polyalcohol esters (e.g. Tween 20®, Tween 80®, manufactured by Kao-Atlas K.K., Japan), or the like.

50 The fungicide of this invention can be applied at any time from seeds nursery plant to harvest. The fungicide of this invention can be used for controlling the outbreak of plant blight by previous administration and also for curing the plant blight by administration after the outbreak according to a conventional method.

The amount of the compound (I) of this invention or its salt to be used as an agricultural chemicals is variable in accordance with conditions such as growth stage and growth situation of the plant to be applied, kind of the plant blight, situation of the outbreak, administration time and method of the fungicide, etc. The

compound (I) or its salt is usually used in an amount of about 3 to 300 g, preferably about 10 to 100 g per 10 are. The concentration of the active ingredient to be applied is preferably about 10 to 1000 ppm. The fungicide is usually applied by direct scattering, direct powdering or irrigating to the plant or by powdering to seeds. The amount, concentration and method of application may optionally be varied so far as the 5 fungicide is used safely and effectively. The fungicide of this invention may be used, if necessary, in an optional combination with the other kind of fungicides (e.g. organic chlorine fungicide, organic phosphorus fungicide, benzimidazole-type fungicide, copper fungicide, organic sulfur fungicide, phenolic fungicide, triazole-type fungicide, pyrimidine-type fungicide, acrylic acid-type fungicide, sulfenamide-type fungicide, amino acid-type fungicide, antibiotic substance, etc.), insecticides (e.g. natural insecticide, carbamate-type 10 insecticide, organic phosphorus insecticide, neraistoxin-type insecticide, synthesized pyrethroid, etc.), miticides, nematicides, herbicides, plant hormone drugs, plant growth regulators, stabilizing agents, synergists, attracting agents, repellents, perfumes, coloring agents, fertilizers, plant nutrients, various kinds of amino acids, low or high molecular phosphoric acids, or the like. Metal salts (e.g. copper chloride, copper sulfate, etc.) may also be added for the purpose of synergy.

15 The compounds (I) provided by this invention and their salts exhibit an excellent control or prevent effect against downy mildew and blight of vegetables and fruit trees. The compounds (I) or their salts are not washed away, possess an excellent rain-resistant property and accordingly exhibit the excellent control or prevent effect especially in a rainy season. The compounds (I) of this invention and their salts decrease the blight of vegetables and fruit trees, and can be used as an advantageous fungicide with no substantial 20 damage to the crops.

Test 1 Prevention of blight of tomato

A compound of this invention was dissolved in dimethylformamide (final concentration : 1% by weight).
25 xylene (final concentration : 0.02% by weight) and Tween 20® (final concentration 0.02% by weight) were added to the solution, and the mixture was diluted with water to a fixed concentration of the active ingredient. To this solution was added a spreading agent, Dyne® (manufactured by Takeda Chemical Industries, Ltd., containing 20% (w/w) of polyoxyethylene nonyl phenyl ether and 12% of calcium lignin sulfonate) in a rate of 0.05% (w/w) (final concentration) to give a scattering solution. The solution was 30 sprayed to young seedlings (about 4 weeks seedling) of tomato to an extent that the solution failed in drops. After air-drying, a suspension of zoosporangia of pathogen of tomato blight (concentration : about 10⁵/ml) was inoculated by spraying. After the inoculation, the plants were kept in a humid room at 17°C for 5 days. The rate of area of the affected spots in the plant was surveyed, and the prevention value was shown in accordance with the following coefficients.

- 35 Prevention value 3 : affected area 0 - 5%
- Prevention value 2 : affected area 6 - 15%
- Prevention value 1 : affected area 16 - 30%
- Prevention value 0 : affected area 31% or more.

The results are shown in Table 1.

Test 2 Prevention of downy mildew of cucumber.

A scattering solution containing a compound of this invention in a fixed concentration was prepared by the method described in the above Test 1, and the solution was sprayed to young seedlings (about 3 weeks 45 seedling) of cucumber to an extent that the solution failed in drops. After air-drying, a suspension of zoosporangia of pathogen of downy mildew of cucumber (concentration : about 10⁵/ml) was inoculated by spraying. After the inoculation, the plants were kept in a humid room at 20°C for one day and further in a humid room for 6 days. The rate of area of the affected spots in the plant was surveyed, and the prevention value was shown in accordance with the following coefficients.

- 50 Prevention value 3 : affected area 0 - 5%
- Prevention value 2 : affected area 6 - 15%
- Prevention value 1 : affected area 16 - 30%
- Prevention value 0 : affected area 31% or more

The results are shown in Table 2.

Test 3 Prevention of downy mildew of vine.

A scattering solution containing a compound of this invention in a fixed concentration was prepared by the method described in the above Test 1, and the solution was sprayed to young trees of vine (about 6 weeks seedling) to an extent that the solution failed in drops. After air-drying, a suspension of zoosporangia of pathogen of downy mildew of vine (concentration : about 10^5 /ml) was inoculated by spraying. After inoculation, the plants were kept in a humid room at 18°C for 10 days. The rate of area of the affected spots in the plant was surveyed, and the prevention value was shown in accordance with the following coefficients.

- 10 Prevention value 3 : affected area 0 - 5%
Prevention value 2 : affected area 6 - 15%
Prevention value 1 : affected area 16 - 30%
Prevention value 0 : affected area 31% or more

The results are shown in Table 3.

- 15 In the following Tables 1 - 3, the numbers in the column of the test compound mean the compound No. obtained in the Examples described below.

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Table 1
Prevention of
5 blight of tomato

	Compound No.	200ppm	Compound No.	200ppm
	2-1	3	2-45	3
15	2-2	3	2-52	3
	2-3	3	2-54	3
20	2-4	3	2-55	3
	2-5	3	2-56	3
25	2-7	3	2-57	3
	2-9	3	2-58	3
30	2-10	3	2-59	3
	2-11	2	2-63	3
35	2-15	3	2-64	3
	2-18	3	2-65	3
	2-19	3	2-66	3
40	2-20	3	2-67	3
	2-24	3	2-68	3
45	2-25	3	2-69	3
	2-26	3	2-70	2
	2-27	3	2-71	3
	2-32	3	2-72	3
	2-33	3	2-73	3
	2-34	3	2-78	3
	2-35	2		
	2-41	2		

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Table 1 (continued)

	Compound No.	200ppm	Compound No.	200ppm
5	2-79	3	2-145	3
10	2-80	3	2-146	3
15	2-81	1	2-147	3
20	2-82	3	2-148	3
25	2-83	3	2-149	3
30	2-92	2	2-150	3
35	2-104	3	2-151	3
40	2-109	3	2-152	3
45	2-114	3	2-153	3
50	2-115	2	2-154	3
	2-119	3	2-155	3
	2-120	3	2-156	3
	2-121	3	2-157	3
	2-122	3	2-158	3
	2-123	3	2-159	3
	2-127	3	2-160	3
	2-128	3	2-161	3
	2-134	3	2-162	3
	2-135	3	2-163	3
	2-136	3	2-164	3
	2-137	3	2-166	3
	2-138	3	2-167	3
	2-139	3	2-168	3
	2-140	3	2-170	3
	2-141	3	2-171	3
	2-142	3	2-173	3
	2-143	3	2-174	3
	2-144	3	2-175	3

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Table 1 (continued)

	Compound No.	200ppm	Compound No.	200ppm
	2-176	3	2-190	3
10	2-177	3	2-194	3
	2-178	3	2-195	3
	2-179	3	2-196	3
15	2-180	3	2-197	3
	2-181	3	2-198	3
	2-182	3	2-200	3
20	2-183	3	2-201	3
	2-184	3	2-203	3
	2-185	3	2-204	3
25	2-186	3	2-211	3
	2-187	3	2-212	3
	2-188	3	2-214	3
	2-189	3	2-215	3
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Tabel 2
Prevention of downy
mildew of cucumber

Compound No.	200ppm	Compound No.	200ppm
2-1	3	2-41	3
2-2	3	2-42	3
2-3	3	2-45	3
2-4	3	2-48	3
2-5	3	2-52	3
2-7	3	2-54	3
2-9	3	2-55	3
2-10	3	2-56	3
2-11	3	2-57	3
2-15	3	2-58	3
2-18	3	2-59	3
2-19	3	2-63	3
2-20	3	2-64	3
2-24	3	2-65	3
2-25	3	2-66	3
2-26	3	2-67	3
2-27	3	2-68	3
2-28	3	2-69	3
2-29	3	2-70	3
2-32	3	2-71	3
2-33	3	2-72	3
2-34	3	2-73	3
2-35	2	2-78	3
2-37	3	2-79	3
2-40	1		

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Table 2 (continued)

	Compound No.	200ppm	Compound No.	200ppm
5	2-81	3	2-148	3
10	2-82	3	2-149	3
15	2-83	3	2-150	3
20	2-92	3	2-151	3
25	2-104	3	2-152	2
30	2-109	3	2-153	3
35	2-114	3	2-154	3
40	2-115	3	2-155	3
45	2-119	3	2-156	3
50	2-120	3	2-157	3
	2-121	3	2-158	3
	2-122	3	2-159	3
	2-123	3	2-160	3
	2-127	3	2-161	3
	2-128	3	2-162	3
	2-134	3	2-163	3
	2-135	3	2-164	3
	2-136	3	2-166	3
	2-137	3	2-167	3
	2-138	3	2-168	3
	2-139	3	2-170	3
	2-140	3	2-171	3
	2-141	3	2-173	3
	2-142	3	2-174	3
	2-143	3	2-175	3
	2-144	3	2-176	3
	2-145	3	2-177	3
	2-146	3	2-178	3
	2-147	3	2-179	3

Table 2 (continued)

	Compound No.	200ppm	Compound No.	200ppm
5	2-180	3	2-195	3
10	2-181	3	2-196	3
15	2-182	3	2-197	3
20	2-183	3	2-200	3
25	2-184	3	2-201	3
30	2-185	3	2-203	3
35	2-186	3	2-204	3
40	2-187	3	2-211	3
45	2-188	3	2-212	3
50	2-189	3	2-214	3
55	2-190	3	2-215	3
	2-194	3		

Table 3
Prevention of downy
mildew of vine

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	Compound No.	200ppm	Compound No.	200ppm
	2-1	3	2-35	3
	2-2	3	2-36	3
	2-4	3	2-37	3
	2-5	3	2-41	3
	2-7	3	2-42	1
	2-9	2	2-48	3
	2-10	2	2-49	3
	2-11	3		
	2-15	3		
	2-18	2	2-54	2
	2-19	3	2-55	3
	2-20	2	2-56	3
	2-24	3	2-57	3
	2-25	3	2-58	3
	2-26	3	2-59	3
	2-27	3	2-63	2
	2-34	3	2-64	2

Table 3 (continued)

	Compound No.	200ppm	Compound No.	200ppm
5	2-65	3	2-143	3
10	2-66	3	2-144	3
15	2-67	3	2-145	3
20	2-68	2	2-146	3
25	2-69	3	2-147	3
30	2-70	3	2-148	3
35	2-71	2	2-149	3
40	2-72	2	2-150	3
45	2-73	3	2-151	3
50	2-78	2	2-152	3
	2-79	3	2-153	3
	2-82	3	2-154	3
	2-83	3	2-155	3
	2-92	3	2-156	3
	2-104	1	2-157	3
	2-109	3	2-158	3
	2-119	3	2-159	3
	2-120	3	2-160	3
	2-121	3	2-161	3
	2-122	3	2-162	3
	2-123	3	2-163	3
	2-127	3	2-164	2
	2-128	3	2-165	2
	2-134	2	2-166	3
	2-135	3	2-167	3
	2-140	3	2-168	3
	2-141	3	2-170	3
	2-142	3	2-171	3

It is shown by the above test results that the compounds (I) of this invention and their salts possess an excellent effect for preventing the downy mildew and blight of vegetables and fruit trees.

55 (f) Examples

This invention is explained in more detail by the following Examples. However, this invention shall not be limited to these Examples.

In the following Examples, elution in the column chromatography was carried out under the observation of TLC (Thin Layer Chromatography). The observation of TLC was conducted by using Kesel gel 60F 254 (Art. 5715) manufactured by Merck & Co. as a TLC plate, the same solvent as the one used as an eluent of column chromatography as a developing solvent, and UV detector as a detecting method. The silica gel filled in a column was Kesel gel 60 (70 - 230 mesh, Art. 7734) manufactured by Merck & Co. The NMR spectrum means proton NMR (^1H NMR), and tetramethylsilane was used as an internal or external standard. The NMR spectrum was measured by VARIAN EM 390 (90 MHz) type spectrometer in case special description is not given, and each δ value was shown by ppm. Numerical value in the parentheses means mixing rate by volume of each solvent used in a mixed solvent as an eluent.

10 Each abridgment used in the following Examples has the following meanings.

s : singlet, d : doublet, m : multiplet, br : broad, J : coupling constant, Hz : Hertz, CDCl_3 : heavy chloroform, DMSO_d_6 : heavy dimethyl sulfoxide, % (except for yield) : w/w %.

The room temperature means about 15 to 25 °C.

15 Example 1

Synthesis of methyl imidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1 - 1)

47% Hydrogen bromide (2.5ml, 14.4m moles) was added to diethoxybromoethane (2.0ml, 13.2m moles), and the mixture was stirred at 50 °C for 2 hours. The reaction mixture was cooled to room temperature, and ethanol (7.0ml) and sodium bicarbonate (1.0g, 11.9m moles) were added thereto. The mixture was stirred, and insoluble substance was filtered off. To the filtrate were added methyl 2-aminonicotinate (1.0g, 6.6m moles), sodium bicarbonate (2.0g, 13.8m moles) and ethanol (7.0ml), and the mixture was refluxed under heating for 4 hours. The reaction mixture was cooled to room temperature, and saturated aqueous solution of sodium bicarbonate was added thereto. The mixture was extracted with dichloromethane (100ml x 3), and the extract was washed with water, dried over anhydrous magnesium sulfate (MgSO_4) and concentrated under reduced pressure. The concentrate was purified by column chromatography on silica gel (eluent : chloroform and then chloroform/methanol = 9/1) to give the object compound (0.6g, yield 55%) as yellow crystals. m.p. 67 - 69 °C.

30 Example 2

Synthesis of methyl 2-methylimidazo[1,2-a]-pyridine-8-carboxylate (Compound No. 1 - 3)

35 Bromoacetone (6.5g, 42.7m moles) and methyl 2-aminonicotinate (4.8g, 31.5m moles) were added to ethanol (50ml), and the mixture was refluxed under heating for 17 hours. The reaction mixture was cooled to room temperature, and the solvent was distilled off under reduced pressure. Saturated aqueous solution of sodium bicarbonate (50ml) was added to the residue, and the mixture was extracted with chloroform (100ml x 3). The extract was washed with water, dried over MgSO_4 and concentrated under reduced pressure. The 40 concentrate was purified by column chromatography on silica gel (eluent:chloroform and then chloroform/methanol = 9/1) to give the object compound (4.0g, yield 85%) as an oily substance.

Example 3

45 Synthesis of hydrogen bromide salt of ethyl 2-phenyl-imidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1-25).

50 Phenacyl bromide (11.0g, 55.3m moles) and ethyl 2-aminonicotinate (8.68g, 52.2m moles) were added to methyl ethyl ketone (100 ml), and the mixture was refluxed under heating for 5.5 hours. The reaction mixture was left to stand at room temperature overnight, and the precipitating crystals were collected by filtration to give the object compound (16.3g, yield 89.96%) as crystals. m.p. 174 - 176 °C.

Example 4

55 Synthesis of methyl 3-chloro-2-methylimidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1-15).

Methyl 2-methylimidazo[1,2-a]pyridine-8-carboxylate (5.3g, 27.9m moles) was dissolved in chloroform (30ml), and N-chlorosuccinimide (3.7g, 27.9m moles) was added to the solution and reacted at room

temperature for 40 minutes. To the reaction mixture was added 10% aqueous solution of sodium carbonate (80ml), and the mixture was stirred for 30 minutes. The organic layer was separated from the reaction mixture, and the aqueous layer was extracted with chloroform (10ml x 3). The extracts were combined, washed with water, dried over MgSO_4 and concentrated under reduced pressure. The concentrate was purified by column chromatography on silica gel (eluent:chloroform) to give the object compound (3.6g, yield 57%) as an oily substance.

Example 5

- 10 Synthesis of methyl 3-dimethylaminomethyl-2-methylimidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1-17).

To acetonitrile (10ml) were added 37% formaldehyde (0.3ml) and acetic acid (0.4ml), and 50% aqueous solution of dimethylamine (0.3ml) was added slowly to the mixture at 0°C with stirring. Methyl 2-methylimidazo[1,2-a]pyridine-8-carboxylate (1.0g, 3.7m moles) was added to the mixture and reacted at 50°C for 2 hours and then at room temperature for 2.5 hours. The reaction mixture was concentrated under reduced pressure and neutralized with saturated aqueous solution of NaHCO_3 . The solution was extracted with dichloromethane, and the extract was washed with water, dried over anhydrous sodium sulfate and concentrated under reduced pressure to give the object compound (0.48g, Yield 52%) as crystals. m.p. 123
20 - 124°C.

Example 6

Synthesis of 2-methylimidazo[1,2-a]pyridine-8-carboxylic acid (Compound No. 1-4)

25 Methyl 2-methylimidazo[1,2-a]pyridine-8-carboxylate (4g, 21m moles) was dissolved in a mixture of ethanol (45ml) and water (20ml), and sodium hydroxide (2.5g, 63m moles) was added thereto and refluxed under heating for 30 minutes. The reaction mixture was cooled to room temperature and concentrated under reduced pressure. Water (40ml) was added to the concentrate, and the mixture was adjusted to pH 4
30 with conc. hydrochloric acid. The precipitating crystals were collected by filtration to give the object compound (2.3g, yield 64%).

Example 7

- 35 Synthesis of 2-phenylimidazo[1,2-a]pyridine-8-carboxylic acid (Compound No. 1-26)

Hydrogen bromide salt of ethyl 2-phenylimidazo[1,2-a] pyridine-8-carboxylate (8.4g, 24.2m moles) was added to 20% aqueous solution of NaOH (200ml) and ethanol (100ml), and the mixture was refluxed under heating for 1 hour. The reaction mixture was cooled to room temperature, and alcohol was distilled off under reduced pressure. The concentrate was adjusted to pH 4 with conc. hydrochloric acid, and the precipitating crystals were collected by filtration to give the object compound (5.67g, yield 98.4%) as crystals. m.p. 226°C.

Example 8

- 45 Synthesis of 2-chloromethylimidazo[1,2-a]pyridine-8-carboxylic acid (Compound No. 1-90)

Ethyl 2-chloromethylimidazo[1,2-a]pyridine-8-carboxylate (8.4g, 35.2m moles) was added to conc. hydrochloric acid (100ml), and the mixture was refluxed under heating for 5 hours. The reaction mixture was cooled to room temperature and adjusted to pH 4 with saturated aqueous solution of sodium bicarbonate. The precipitating crystals were collected by filtration to give the object compound (5.67g, yield 76.9%) as crystal. m.p. 250°C (decomp.).

Example 9

Synthesis of 3-nitro-2-(4-nitrophenyl)-imidazo[1,2-a]pyridine-8-carboxylic acid (Compound No. 1-96)

5 Ethyl 2-phenylimidazo[1,2-a]pyridine-8-carboxylate (2.9, 10m mol) was dissolved in conc. sulfuric acid (5ml), and to the solution was slowly added 70% nitric acid (1.3ml) at 10°C. After addition, the mixture was stirred at room temperature for 30 minutes, poured into ice water and adjusted to pH 7 by adding 20% sodium hydroxide. The precipitated crystals were collected by filtration, which were ethyl ester of the title compound (3.6g, yield 99.2%). A solution of the crystals in conc. hydrochloric acid (35ml) was refluxed for
10 1.5 hours and cooled to room temperature. The precipitated crystals were collected by filtration and dried to give the object compound (2.9g, yield 87.3%). m.p. >300°C.

Exmample 10

15 Synthesis of 3-nitroimidazo[1,2-a]pyridine-8-carboxylic acid (Compound No. 1 - 125)

15 Ethyl 2-ethoxycarbonylimidazo[1,2-a]pyridine-8-carboxylate (3.8g, 14.5m mol) was dissolved in conc. sulfuric acid (10ml), and to the solution was slowly added 70% nitric acid (1.5ml) at 10°C. The mixture was stirred at room temperature for 30 minutes, poured into ice water and adjusted to pH 7 by adding 20% sodium hydroxide. The precipitated crystals were filtered to obtain ethyl 2-ethoxycarbonyl-3-nitro-imidazo-[1,2-a]pyridine-8-carboxylate (2.4g, yield 53.8%) as crystals of m.p. 139 - 140°C. A solution of the crystals in conc. hydrochloric acid (35ml) was refluxed for 1.5 hours and cooled to room temperature. The precipitated crystals were collected by filtration and dried to give the object compound (1.2g, yield 74.3%) of m.p. > 300°C.

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Example 11

Synthesis of ethyl 3-formyl-2-phenyl-imidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1 - 119)

30 To a solution of ethyl 2-phenyl-imidazo[1,2-a]pyridine-8-carboxylate hydrogen bromide (14.7g, 4m mol) in DMF (8ml) was dropwise added phosphorus oxychloride (2ml) keeping the inner temperature to below 15°C. Then, the mixture was allowed to react at room temperature for 30 minutes and at 70°C for 2 hours. After completion of the reaction, the reaction mixture was cooled, poured into ice water and adjusted to pH 7 by adding 20% sodium hydroxide. The precipitated crystals were collected by filtration and recrystallized from ethanol to obtain the object compound (1.0g, yield 85.5%) as colorless crystals. m.p. 139°C.

Example 12

Synthesis of ethyl 3-cyano-2-phenyl-imidazo[1,2-a]pyridin-8-carboxylate (Compound No. 1 - 121)

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40 Ethyl 2-phenyl-imidazo[1,2-a]pyridine-8-carboxylate hydrogen bromide (1.96g, 5.35m mol), and triethylamine (0.55g, 5.44m mol) were added to acetonitrile (20ml). To this solution was dropwise added a solution of chlorosulfonylisocyanate (CISO₂NCO) (0.8ml, 9.19m mol) in acetonitrile (5ml) at an inner temperature of 0 - 20°C. Then, the mixture was allowed to react at room temperature for an hour. After 45 completion of the reaction, the mixture was concentrated under reduced pressure. The resulting concentrate to which water was added was extracted with dichloromethane, and the extract was washed with water, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent : chloroform) to give the object compound (1.06g, yield 67.9%) as crystals. m.p. 87 - 89°C.

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Example 13

Synthesis of ethyl 2-ethoxycarbonyl-imidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1 - 123)

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55 A mixture of ethyl bromopyruvate (2.3g, 10.2m mol) and ethyl 2-aminonicotinate (1.7g, 10m mol) in methyl ethyl ketone (17ml) was refluxed for 5 hours. After completion of the reaction, the mixture was cooled to room temperature and distilled under reduced pressure to remove the solvent. The residue to which saturated sodium hydrogen carbonate aqueous solution (50ml) was added was extracted with

chloroform (100ml x 3). The extract was washed with water, dried over MgSO₄ and concentrated under reduced pressure. The concentrate was purified by silica gel column chromatography (eluent : ethyl acetate) to give the object compound (0.7g, yield 26.7%) as crystals. m.p. 97 °C.

5 Example 14

Synthesis of ethyl 2-methyl-3-sulfoimidazo[1,2-a]imidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1 - 127)

10 To a solution of ethyl 2-methyl-imidazo[1,2-a]pyridine-8-carboxylate (1.2g, 5.9m mol) in chloroform (15ml) was added chlorsulfonic acid (0.6ml). The mixture was refluxed for 3 hours, and then concentrated under reduced pressure. The precipitated crystals were collected by filtration, washed sufficiently with water and dried to give the object compound (1.2g, yield 71.4%) as crystals. m.p. 247 - 250 °C (decomp.).

15 Example 15

Synthesis of ethyl 2-methyl-3-N-methylsulfamoylimidazo[1,2-a]pyridine-8-carboxylate (Compound No. 1 - 129)

20 Ethyl 2-methyl-3-sulfo-imidazo[1,2-a]pyridine-8-carboxylate (1.7g) and tri-n-propylamine (2.6g) were added to acetonitrile (17ml). Phosphorus oxychloride (1.1ml) was added to the mixture, keeping the inner temperature to 50 - 60 °C. Then, the mixture was allowed to react at the same temperature for an hour. After completion of the reaction, the mixture was concentrated under reduced pressure, and water was added to the residue. The precipitated crystals were collected by filtration, washed with water and dried to obtain ethyl 2-methyl-3-chlorosulfonyl-imidazo[1,2-a]pyridine-8-carboxylate (1.2g, yield 66.3%) as crystals of m.p. 182 - 183 °C.

The crystals (1.2g) was dissolved in acetonitrile (12ml), to which a solution of 40% methylamine aqueous solution (0.75g) in acetonitrile (3ml) was dropwise added at an inner temperature of 0 - 10 °C. Then, the mixture was allowed to react at room temperature for an hour. After completion of the reaction, 30 the mixture was concentrated under reduced pressure. The concentrate to which water was added was adjusted to pH 7 with dilute hydrochloric acid. The precipitated crystals was collected by filtration, washed with water and dried to obtain the object compound (1.1g, yield 93.2%) as crystals. m.p. 184 - 185 °C.

Example 16

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Synthesis of 2-chloro-imidazo[1,2-a]pyridine-8-carboxylicacid (Compound No. 1 - 135)

A mixture of diethyl bromomalonate (26ml) and ethyl 2-aminonicotinate (13.3g) was allowed to react at 40 80 - 90 °C for 6 hours under nitrogen atmosphere. After completion of the reaction, the mixture was cooled to room temperature, and acetone (100ml) was added thereto. The precipitated crystals was collected by filtration, affording 3,8-diethoxycarbonyl-2-hydroxy-imidazo[1,2-a]pyridine hydrobromide (9.98g, yield 34.8%).

A mixture of the crystals (6.6g) in phosphorus oxychloride (30ml) was reacted at 160 °C for 2 hours in a pressure reaction vessel. After completion of the reaction, excess phosphorus oxychloride was removed 45 under reduced pressure. Ethanol was added to the residue, and the mixture was concentrated under reduced pressure. The concentrate was purified by silica gel column chromatography (eluent : chloroform) to obtain 2-chloro-3,8-diethoxycarbonyl-imidazo-[1,2-a]pyridine (Compound No. 1 - 133) (2.2g, yield 40.3%) as crystals of m.p. 105 - 106 °C.

The crystals (0.9g) were dissolved in ethanol (10ml), and 10% sodium hydroxide (5ml) was added 50 thereto. The mixture was allowed to react at room temperature for an hour and then adjusted to pH 4 with conc. hydrochloric acid. The precipitated crystals were collected by filtration, washed with water and dried to obtain 2-chloro-imidazo[1,2-a]pyridine-3,8-dicarboxylic acid (0.73g, yield 100%) as crystals of m.p. 210 - 212 °C (decomp.). Then, a mixture of the crystals (0.73g) in conc. hydrochloric acid (5ml) was refluxed for 2 hours. The reaction solution was adjusted to pH 4 with saturated sodium hydrogen carbonate aqueous 55 solution, to yield crystals of the object compound (0.5g, yield 83.9%). m.p. 237 °C.

Example 17

Synthesis of α -(imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-furyl)acetonitrile (Compound No. 2-1)

5 α -(2-Furyl)- α -aminoacetonitrile (0.7g, 5.5m moles) was dissolved in acetonitrile (20ml), and imidazo[1,2-a]pyridine-8-carboxylic acid chloride (1.0g, 5.5m moles) was added thereto with stirring and reacted at room temperature for 2 hours. After completion of the reaction, the solvent was removed from the reaction mixture under reduced pressure. To the residue were added water (20ml), saturated aqueous solution of sodium bicarbonate (50ml) and chloroform (50ml), and the mixture was stirred. The organic layer was separated, dried over anhydrous magnesium sulfate and concentrated. The concentrate was purified by column chromatography on silica gel (eluent:ethyl acetate/n-hexane = 2/1) to give the object compound (0.16g, yield 11%) as crystals. m.p. 149 - 151 °C.

Example 18

15 Synthesis of α -(imidazo[1,2-a]pyridin-5-ylcarbonylamino)-(2-furyl)acetonitrile (Compound No.2-224)

20 α -(2-Furyl)- α -aminoacetonitrile (0.7g, 5.5m moles) was dissolved in acetonitrile (20ml), and imidazo[1,2-a]pyridine-5-carboxylic acid chloride (1.0g, 5.5m moles) was added thereto and reacted at room temperature for 2 hours. After completion of the reaction, the solvent was removed from the reaction mixture. To the residue were added water (20ml), saturated aqueous solution of sodium bicarbonate (50ml) and chloroform (50ml), and the mixture was stirred. The organic layer was separated, dried over anhydrous magnesium sulfate and concentrated. The concentrate was purified by column chromatography on silica gel (eluent : methanol/chloroform = 1/9) to give the object compound (0.9g, yield 64%) as amorphous solid.

25 Exmple 19

Synthesis of α -(2-phenyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-furyl)acetonitrile (Compound No. 2 - 24)

30 2-Phenyl-imidazo[1,2-a]pyridine-8-carboxylic acid (1.5g, 5.5m moles) was added to dried tetrahydrofuran (100ml), and carboxyldimidazole (1.5g, 5.5m moles) was added slowly thereto with stirring at room temperature in an atmosphere of nitrogen gas. The mixture was stirred at room temperature for 8 hours, and α -(2-furyl)- α -aminoacetonitrile (0.7g, 5.5m moles) was added to the mixture and reacted at room temperature for 5 hours. The solvent was removed from the reaction mixture under reduced pressure, and water (20ml) and ethyl acetate (50ml) were added to the residue and stirred. The organic layer was separated, dried over anhydrous magnesium sulfate and then concentrated. The concentrate was purified by column chromatography on silica gel (eluent : ethyl acetate/n-hexane = 1/1) to give the object compound (0.9g, yield 64%) as crystals. m.p. 197 - 199 °C.

40 Example 20

Synthesis of α -(3-chloro-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-furyl)acetonitrile [Compound No. 2 - 10]

45 3-Chloro-imidazo[1,2-a]pyridine-8-carboxylic acid (1.8g, 9.2m moles) was added to thionyl chloride (20ml), and the mixture was refluxed under heating and stirring for 30 minutes and then concentrated under reduced pressure. The resultant crude acid chloride and α -(2-furyl)- α -aminoacetonitrile (1.1g, 8.5m moles) were added to acetonitrile (50ml) and reacted at room temperature for 1 hour with stirring. The solvent was removed from the reaction mixture under reduced pressure, and water (20ml), saturated aqueous solution of sodium bicarbonate (50ml) and chloroform (50ml) were added to the residue and stirred. The organic layer was separated, dried over anhydrous magnesium sulfate and then concentrated. The concentrate was purified by column chromatography or silica gel (eluent : ethyl acetate/n-hexane = 1/2) to give the oject compound (0.6g, yield 22%) as crystals. m.p. 142 - 143 °C.

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Example 21

Synthesis of α -(2-phenyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(3-chlorophenyl)acetonitrile (Compound No. 2 - 35)

5 2-Phenyl-imidazo[1,2-a]pyridine-8-carboxylic acid (1.0g, 4.2m moles), α -(3-chlorophenyl)-aminoacetonitrile (0.77g, 4.6m moles) and NaHCO₃ (1.1g, 13.1m moles) were added to acetonitrile (10ml). Phosphorus oxychloride (0.7ml, 7.51m moles, dissolved in 5ml of acetonitrile) was added slowly to the mixture with stirring under ice-cooling. The mixture was reacted at room temperature for 10 hours, and the
10 solvent was removed from the reaction mixture under reduced pressure. Water (50ml) was added to the residue and the mixture was adjusted to pH 7 with saturated aqueous solution of sodium bicarbonate and then extracted with dichloromethane/ethyl acetate (10/1) (100ml x 2). The extract was dried over anhydrous magnesium sulfate and concentrated. Acetonitrile (5ml) was added to the concentrate, and the precipitating crystals were collected by filtration to give the object compound (0.44g, yield 27.2%) as crystals. m.p. 210 -
15 211 °C.

Example 22

Synthesis of α -(3-dimethylaminomethyl-2-phenylimidazo[1,2-a]pyridin-8-ylcarbonylamino)-(3-fluorophenyl)-acetonitrile (Compound No. 2 - 79)

To acetonitrile (10ml) were added 37% formaldehyde (0.3ml) and acetic acid (0.4ml), and 50% aqueous solution of dimethylamine (0.3ml) was added slowly thereto at 0 °C with stirring. To the mixture was added α -(2-phenyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(3-fluorophenyl)acetonitrile (1.0g, 2.7m moles), and the
25 mixture was reacted at 50 °C for 2 hours and further stirred at room temperature for 2.5 hours. The reaction mixture was concentrated under reduced pressure, and the concentrate was neutralized with saturated aqueous solution of NaHCO₃. The solution was extracted with dichloromethane, and the extract was washed with water, dried over anhydrous sodium sulfate and concentrated under reduced pressure. The concentrate was purified by column chromatography or silica gel (eluent : chloroform) to give the object compound
30 (0.47g, yield 40.8%) as crystals. m.p. 123 - 124 °C.

Example 23

Synthesis of α -(3-methylsulfinylmethyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-furyl)acetonitrile (Compound No. 2 - 122)

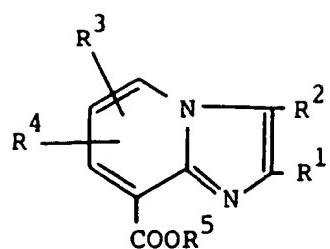
α -(2-Methylthiomethyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-furyl)acetonitrile (0.33g, 1m mole) was added to chloroform (10ml), and m-chloroperbenzoic acid (0.25g, 1.1m moles) was added to the mixture at internal temperature of 5 °C. The mixture was stirred at room temperature for 2.5 hours, and the
40 reaction mixture was treated with saturated aqueous solution of NaHCO₃. The chloroform layer was separated, washed with water, dried over anhydrous sodium sulfate and then concentrated under reduced pressure. The concentrate was purified by column chromatography or silica gel (eluent : ethyl acetate) to give the object compound (0.1g, yield 30.3%) as crystals. m.p. 214 - 216 °C.

Example 24

Synthesis of α -(2-(trifluoromethyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(3-fluorophenyl)acetamide (Compound No. 2 - 172)

50 A mixture of α -(2-trifluoromethyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(3-fluorophenyl)acetonitrile (1.26g) and chlorosulfonic acid (0.5ml) in chloroform (13ml) was refluxed for 1.5 hours. The reaction solution was concentrated under reduced pressure, and water was added to the residue. The precipitated crystals were collected by filtration and recrystallized from ethanol to obtain the object compound (0.45g, yield 34.1%) as crystals. m.p. 118 - 120 °C

55 The compounds (II) obtained in a similar manner to those of Examples 1 - 16 are shown in Table 4, their melting points and NMR data are shown in Table 5, the compounds (I) obtained in a similar manner to those of Examples 17 - 24 are shown in Table 6, and their melting points and NMR data are shown in Table 7, respectively.



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Table 4

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	1-1	H	H	H	H	Me
	1-2	H	H	H	H	H
	1-3	Me	H	H	H	Me
10	1-4	Me	H	H	H	H
	1-5	tBu	H	H	H	Me
	1-6	tBu	H	H	H	H
15	1-7	nPr	H	H	H	H
	1-8	iPr	H	H	H	Me
	1-9	iPr	H	H	H	H
20	1-10	nBu	H	H	H	H
	1-11	tBu	H	H	H	Me
	1-12	tBu	H	H	H	H
25	1-13	H	Cl	H	H	tBu
	1-14	H	Cl	H	H	H
	1-15	Me	Cl	H	H	Me
30	1-16	Me	Cl	H	H	H
	1-17	Me	CH ₂ NMe ₂	H	H	Me
	1-18	Me	CH ₂ NMe ₂	H	H	H
35	1-19	Me	Me	H	H	H
	1-20	Me	CH ₂ CH ₂ CN	H	H	H
	1-21	Me	CHO	H	H	H
40	1-22	Me	NO ₂	H	H	H
	1-23	Me	CH=CMc ₂	H	H	H
	1-24	C ₆ H ₅	H	H	H	Me
45	1-25	C ₆ H ₅	H	H	H	tBu
	1-26	C ₆ H ₅	H	H	H	H
	1-27	2-MeC ₆ H ₄	H	H	H	Me

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Table 4 (continued)

Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	I-28	2-MeC ₆ H ₄	II	II	II
	I-29	2-MeC ₆ H ₄	II	II	Et
	I-30	3-MeC ₆ H ₄	II	II	Me
10	I-31	3-MeC ₆ H ₄	II	II	II
	I-32	4-MeC ₆ H ₄	II	II	Me
	I-33	4-MeC ₆ H ₄	II	II	II
15	I-34	2,5-Me ₂ C ₆ H ₃	II	II	II
	I-35	2,4,6-Me ₃ C ₆ H ₂	II	II	II
	I-36	2-MeOC ₆ H ₄	II	II	Me
20	I-37	2-MeOC ₆ H ₄	II	II	II
	I-38	3-MeOC ₆ H ₄	II	II	Me
	I-39	3-MeOC ₆ H ₄	II	II	II
25	I-40	4-MeOC ₆ H ₄	II	II	Me
	I-41	4-MeOC ₆ H ₄	II	II	II
	I-42	3,4-(MeO) ₂ C ₆ H ₃	II	II	Et
30	I-43	3,4-(MeO) ₂ C ₆ H ₃	II	II	II
	I-44	2-C1C ₆ H ₄	II	II	Me
	I-45	2-C1C ₆ H ₄	II	II	II
35	I-46	3-C1C ₆ H ₄	II	II	Me
	I-47	3-C1C ₆ H ₄	II	II	II
	I-48	4-C1C ₆ H ₄	II	II	Me
40	I-49	4-C1C ₆ H ₄	II	II	II
	I-50	4-BrC ₆ H ₄	II	II	Me
	I-51	4-BrC ₆ H ₄	II	II	II
45	I-52	4-NO ₂ C ₆ H ₄	II	II	Me
	I-53	4-NO ₂ C ₆ H ₄	II	II	II
	I-54	2-FC ₆ H ₄	II	II	II

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Table 4 (continued)

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	I-55	2-F, 4-MeC ₆ H ₃	II	II	II	II
	I-56	2-Me, 4-MeSC ₆ H ₃	II	II	II	II
	I-57	2, 4, 6-Cl ₃ C ₆ H ₂	II	II	II	II
10	I-58	C ₆ H ₅	CH ₂ NMe ₂	II	II	Me
	I-59	C ₆ H ₅	CH ₂ NMe ₂	II	II	II
	I-60	C ₆ H ₅	Cl	II	II	Me
15	I-61	C ₆ H ₅	Cl	II	II	II
	I-62	C ₆ H ₅	Me	II	II	II
	I-63	C ₆ H ₅	C ₆ H ₅	II	II	Me
20	I-64	C ₆ H ₅	C ₆ H ₅	II	II	II
	I-65	C ₆ H ₅	CHO	II	II	Et
	I-66	C ₆ H ₅	NO ₂	II	II	II
25	I-67	C ₆ H ₅	CN	II	II	II
	I-68	C ₆ H ₅	CH ₂ CH ₂ CN	II	II	II
	I-69	C ₆ H ₅	CH=CM ₂	II	II	II
30	I-70	C ₆ H ₅ CH ₂	II	II	II	II
	I-71	CF ₃	II	II	II	Et
	I-72	CF ₃	II	II	II	II
35	I-73	Cl	II	II	II	Et
	I-74	Cl	Cl	II	II	Et
	I-75	OMe	II	II	II	Et
40	I-76	OMe	II	II	II	II
	I-77	SMe	II	II	II	II
	I-78	II	OMe	II	II	II
45	I-79	2-thienyl	II	II	II	Et
	I-80	2-thienyl	II	II	II	II
50	I-81	C ₆ H ₅	II	5-Me	II	Me

Table 4 (continued)

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	I-82	C ₆ H ₅	II	5-Me	II	II
	I-83	C ₆ H ₅	II	5-Me	7-Me	Et
	I-84	C ₆ H ₅	II	5-Me	7-Me	II
10	I-85	C ₆ H ₅ OCH ₂	II	II	II	Me
	I-86	C ₆ H ₅ OCH ₂	II	II	II	II
	I-87	C ₆ H ₅ SCH ₂	II	II	II	Et
15	I-88	C ₆ H ₅ SCH ₂	II	II	II	II
	I-89	CH ₂ Cl	II	II	II	Et
	I-90	CH ₂ Cl	II	II	II	II
20	I-91	C ₆ H ₅ OCH ₂	CH ₂ NMe ₂	II	II	Et
	I-92	CH ₂ OMe	II	II	II	Et
	I-93	CH ₂ SMe	II	II	II	Me
25	I-94	CH ₂ SMe	II	II	II	II
	I-95	4-NO ₂ C ₆ H ₄	NO ₂	II	II	Et
	I-96	4-NO ₂ C ₆ H ₄	NO ₂	II	II	II
30	I-97	2,4-(NO ₂) ₂ C ₆ H ₃	NO ₂	II	II	II
	I-98	2-thiazolyl	II	II	II	II
	I-99	4-Me, 3-NO ₂ C ₆ H ₃	NO ₂	II	II	II
35	I-100	2-Me, 4-tBuC ₆ H ₃	II	II	II	Et
	I-101	2-Me, 4-tBuC ₆ H ₃	II	II	II	II
	I-102	2-Me, 5-tBuC ₆ H ₃	II	II	II	Et
40	I-103	2-Me, 5-tBuC ₆ H ₃	II	II	II	II
	I-104	2,4-Me ₂ C ₆ H ₃	II	II	II	II
	I-105	3,4-Me ₂ C ₆ H ₃	II	II	II	II
45	I-106	2,3,4,5-Me ₄ C ₆ H	II	II	II	Et
	I-107	2,3,4,5-Me ₄ C ₆ H	II	II	II	II

Table 4 (continued)

Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	I-108	2,5-Et ₂ C ₆ H ₃			Et
	I-109	2,5-Et ₂ C ₆ H ₃			
	I-110	4-EtC ₆ H ₄			
10	I-111	4-EtC ₆ H ₄			Et
	I-112	2,5-Cl ₂ C ₆ H ₃			
	I-113	2,5-(MeO) ₂ C ₆ H ₃			
15	I-114	2-OMe,5-C1C ₆ H ₃			
	I-115	2-OMe,5-MeC ₆ H ₃			
	I-116	2-SMe,5-t-BuC ₆ H ₃			
20	I-117	2-SMe,5-MeC ₆ H ₃			
	I-118	2-Me,4-MeSC ₆ H ₃			Et
	I-119	C ₆ H ₅	CHO		Et
25	I-120	C ₆ H ₅	CHO		
	I-121	C ₆ H ₅	CN		Et
	I-122	C ₆ H ₅	CN		
30	I-123	COOEt			Et
	I-124	COOEt	NO ₂		
	I-125		NO ₂		
35	I-126	4-SO ₃ HC ₆ H ₄			
	I-127	Me	SO ₃ H		Et
	I-128	Me	SO ₃ H		
40	I-129	Me	SO ₂ NHMe		Et
	I-130	Me	SO ₂ NHMe		
45	I-133	Cl	COOEt		Et
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Table 4 (continued)

Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	I-135	Cl	H	H	H
10	I-136	Me	NH ₂	H	H
15	I-137	Me	C ₆ H ₅ CH=N	H	H
20	I-138	C ₆ H ₅ O	H	H	H
25	I-139	2-FC ₆ H ₄	H	H	H
30	I-140	4-FC ₆ H ₄	H	H	H
35	I-141	4-FC ₆ H ₄	H	H	H
40	I-142	2,4-F ₂ C ₆ H ₃	H	H	H
45	I-143	2,4-F ₂ C ₆ H ₃	H	H	H
50	I-144	2,6-F ₂ C ₆ H ₃	H	H	H
55	I-145	2,6-F ₂ C ₆ H ₃	H	H	H
60	I-146	Me	NO ₂	H	H
65	I-147	2-thiazolyl	H	H	H
70	I-148	2-SMe,5-MeC ₆ H ₃	H	H	H
75	I-149	2-Me,4-MeSC ₆ H ₃	H	H	H
80	I-150	4-FC ₆ H ₄	H	H	H
85	I-151	2,4-(i-Pr) ₂ C ₆ H ₃	H	H	H
90	I-152	2,4-(i-Pr) ₂ C ₆ H ₃	H	H	H
95	I-153	2-phenyl-imidazo[1,2-b]pyridazine-8-carboxylic acid			
100	I-154	6-chloro-2-phenyl-imidazo[1,2-b]pyridazine-8-carboxylic acid			

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Table 5

Compound No.	m. p. (°C)	NMR (δ value)
1-1	67~68	4.13(3H, s), 6.90(1H, t, J=6.75Hz), 7.70(1H, d, J=0.9Hz), 7.80(1H, d, J=0.9Hz), 8.37(1H, dd, J=6.75Hz, 1.2Hz). (CDCl ₃)
1-2	210 (decomp.)	7.70(1H, d, J=7.5Hz), 8.20(1H, d, J=1.5Hz), 8.55(1H, d, J=7.5Hz), 8.65(1H, d, J=1.5Hz), 9.32(1H, d, J=7.5Hz). (DMSO-d ₆)
1-3	oil	2.45(3H, s), 4.03(3H, s), 6.82(1H, t, J=6.75Hz), 7.45(1H, s), 7.95(1H, d, J=6.75Hz), 8.25(1H, d, J=6.75Hz). (CDCl ₃)
1-4		2.50(3H, s), 7.45(1H, t, J=6.75Hz), 8.15(1H, s), 8.25(1H, d, J=6.75Hz), 9.00(1H, d, J=6.75Hz). (DMSO-d ₆)
1-5	132~134 (HBr salt)	1.32(3H, t, J=7.0Hz), 2.95(2H, q, J=7.0Hz), 4.05(3H, s), 7.60(1H, d, J=7.5Hz), 8.35(1H, s), 8.50(1H, d, J=6.75Hz), 9.25(1H, d, J=6.75Hz). (DMSO-d ₆)
1-6	125~127	1.38(3H, t, J=7.5Hz), 3.00(2H, q, J=7.5Hz), 7.62(1H, t, J=6.75Hz), 8.50(1H, d, J=6.75Hz), 8.55(1H, s), 9.45(1H, d, J=6.75Hz). (DMSO-d ₆)
1-8	oil	1.40(6H, d, J=7.5Hz), 3.00~3.50(1H, m), 4.00(3H, s), 6.75(1H, t, J=6.75Hz), 7.45(1H, s), 7.90(1H, d, J=6.75Hz), 8.26(1H, d, J=6.75Hz, 1.5Hz). (DMSO-d ₆)
1-9	135~137	1.30(6H, d, J=7.5Hz), 3.00~3.60(1H, m), 7.07(1H, t, J=6.75Hz), 7.85(1H, s), 7.99(1H, d, J=6.75Hz), 8.75(1H, d, J=6.75Hz). (DMSO-d ₆)

Table 5 (continued)

Compound No.	m. p. (°C)	NMR (δ value)
1-11	157~158 (IIBr salt)	1.48(9H, s), 4.03(3H, s), 7.58(1H, t, J=6.75Hz), 8.33(1H, s), 8.45(1H, d, J=6.75Hz), 9.12(1H, t, J=6.75Hz). (DMSO d_6)
1-12	228	1.50(9H, s), 7.69(1H, t, J=6.3Hz), 8.40-8.60(2H, m), 9.26(1H, d, J=6.3Hz). (DMSO d_6)
1-13	oil	1.45(3H, t, J=6.0Hz), 4.55(2H, q, J=6.0Hz), 7.05(1H, t, J=6.75Hz), 7.70(1H, s), 8.00(1H, d, J=6.75Hz), 8.28(1H, d, J=6.75Hz). (CDCl $_3$)
1-14		7.56(1H, t, J=6.75Hz), 8.20(1H, s), 8.38(1H, d, J=6.75Hz), 8.90(1H, d, J=6.75Hz). (DMSO d_6)
1-15	oil	2.50(3H, s), 4.05(3H, s), 6.95(1H, t, J=6.75Hz), 8.00(1H, d, J=6.75Hz), 9.00(1H, d, J=6.75Hz). (CDCl $_3$)
1-17	123~124	2.20(6H, s), 2.50(3H, s), 3.65(2H, s), 6.73(1H, t, J=6.75Hz), 7.95(1H, d, J=6.75Hz), 8.42(1H, d, J=6.75Hz). (CDCl $_3$)
1-22	255(sub-limed)	3.20(3H, s), 8.04(1H, t, J=6.75Hz), 9.09(1H, d, J=6.75Hz), 10.04(1H, d, J=6.75Hz). (CF $_3$ COOD)
1-24	oil	4.05(3H, s), 6.83(1H, t, J=7.5Hz), 7.35-7.60(3H, m), 7.95(1H, s), 7.98-8.15(3H, m), 8.30(1H, d, J=7.5Hz). (CDCl $_3$)
1-26	226	7.40-7.70(4H, m), 7.95-8.10(2H, m), 8.33(1H, d, J=6.75Hz), 8.80(1H, s), 9.10(1H, d, J=6.75Hz). (DMSO d_6)
1-27	oil	2.60(3H, s), 4.05(3H, s), 6.88(1H, t, J=6.75Hz), 7.25-7.40(3H, m), 7.80(1H, s), 7.90-8.10(1H, m), 8.35(1H, d, J=6.75Hz). (CDCl $_3$)

Table 5 (continued)

	Compound No.	m. p. (°C)	NMR (δ value)
5	1-28	220(sub-limed)	2.38(3H, s), 7.30-7.80(5H, m), 8.50(1H, J=6.75Hz), 8.75(1H, s), 9.28(1H, d, J=6.75Hz). (DMSO d_6)
10	1-30		2.48(3H, s), 4.03(3H, s), 7.30-7.90(5H, m), 8.42(1H, d, J=6.75Hz), 8.87(1H, s), 9.15(1H, d, J=6.75Hz). (DMSO d_6)
15	1-31	225~227	2.40(3H, s), 7.10-7.50(3H, m), 7.70-7.90(2H, m), 8.10(1H, d, J=6.75Hz), 8.65(1H, s), 8.90(1H, d, J=6.75Hz). (DMSO d_6)
20	1-32	122~124	2.35(3H, s), 4.06(3H, s), 6.80(1H, d, J=6.75Hz), 7.25(2H, d, J=8.25Hz), 7.85-8.05(3H, m), 7.90(1H, s), 8.28(1H, dd, J=6.75Hz, 1.5Hz). (DMSO d_6)
25	1-33	178~180	2.38(3H, s), 7.30-7.50(3H, m), 7.85(2H, d, J=8.25Hz), 8.25(1H, d, J=6.75Hz), 8.70(1H, s), 9.04(1H, d, J=6.75Hz). (DMSO d_6)
30	1-34	233~235	2.33(3H, s), 2.48(3H, s), 7.02-7.30(2H, m), 7.67(1H, m), 8.02(1H, dd, J=7.5Hz, 1.5Hz), 8.37(1H, s), 8.85(1H, dd, J=7.5Hz, 1.5Hz). (DMSO d_6)
35	1-36	(HBr salt)	4.00(3H, s), 4.08(3H, s), 7.10-7.40(2H, m), 7.50-7.80(2H, m), 7.93(1H, dd, J=1.5Hz, 7.5Hz), 8.54(1H, d, J=6.75Hz), 8.95(1H, s), 9.34(1H, d, J=6.75Hz). (DMSO d_6)
40	1-37	238~240	4.00(3H, s), 7.00-7.60(4H, m), 8.05(1H, dd, J=1.5Hz, 7.5Hz), 8.27(1H, d, J=6.75Hz), 8.75(1H, s), 9.10(1H, d, J=6.75Hz). (DMSO d_6)
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Table 5 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 1-38	172~174 (HBr salt)	4.00(3H, s), 4.17(3H, s), 7.20(1H, m), 7.50-7.80(4H, m), 8.54(1H, d, J=6.75Hz), 8.99(1H, s), 9.25(1H, d, J=6.75Hz). (DMSO-d ₆)
10 1-39	209~211	3.90(3H, s), 7.10(1H, m), 7.30-7.75(4H, m), 8.48(1H, d, J=6.75Hz), 9.00(1H, s), 9.25(1H, d, J=6.75Hz). (DMSO-d ₆)
15 1-40	oil	3.84(3H, s), 4.05(3H, s), 6.83(1H, d, J= 6.75Hz), 7.00(3H, d, J=8.25Hz), 7.80- 8.10(4H, m), 8.25(1H, dd, J=6.75Hz, 1.5Hz). (CDCl ₃)
20 1-41	171~173	3.78(3H, s), 7.05(1H, d, J=8.25Hz), 7.30(3H, t, J=6.75Hz), 7.88(2H, d, J= 6.75Hz), 8.60(1H, s), 8.98(1H, d, J= 6.75Hz). (DMSO-d ₆)
25 1-42	224~225 (HBr salt)	1.43(3H, t, J=6.6Hz), 3.88(3H, s), 3.90(3H, s) 4.47(2H, q, J=6.6Hz), 7.15(1H, d, J=9.0Hz), 7.48-7.68(2H, m), 8.42(1H, dd, J=7.5Hz, 1.5Hz), 8.88(1H, s) , 9.12(1H, d, J=7.5Hz). (DMSO-d ₆)
30 1-43	243~245	3.85(3H, s), 3.90(3H, s), 7.10(1H, d, J= 9.0Hz), 7.40-7.78(2H, m), 8.35(1H, d, J= 7.5Hz), 8.83(1H, s), 9.10(1H, d, J=7.5Hz) (DMSO-d ₆)
35 1-45	205(sub- limed)	7.25(1H, t, J=6.3Hz), 7.40-7.60(3H, m), 8.00-8.30(2H, m), 8.84(1H, s), 9.00(1H, d, J=6.75Hz). (DMSO-d ₆)
40 1-46	220(sub- limed) (HBr salt)	4.05(3H, s), 7.50-7.80(3H, m), 7.95(1H, s), 8.10(1H, br), 8.45(1H, d, J=6.75Hz) 8.96(1H, s), 9.15(1H, d, J=6.75Hz). (DMSO-d ₆)

Table 5 (continued)

Compound No.	m. p. (°C)	NMR (δ value)
1-47	225(sub-limed)	7.40-7.60(3H, m), 7.95(1H, m), 8.15(1H, br), 8.35(1H, d, J=6.75Hz), 8.92(1H, s), 9.11(1H, d, J=6.75Hz). (DMSO d_6)
1-48	132~133	4.05(3H, s), 6.85(1H, t, J=6.7Hz), 7.45(2H, d, J=8.3Hz), 7.90(1H, s), 7.80-8.10(3H, m), 8.30(1H, dd, J=6.75Hz, 1.5Hz). (CDCl $_3$)
1-49	220~222	7.50-7.70(3H, m), 8.05(2H, d, J=8.3Hz), 8.50(1H, d, J=6.75Hz), 8.85(1H, s), 9.15(1H, d, J=6.75Hz). (DMSO d_6)
1-50	160~162 (HBr salt)	4.20(3H, s), 7.60-8.00(5H, m), 8.60-8.80(2H, m), 9.10(1H, d, J=7.78Hz). (DMSO d_6)
1-51	205(sub-limed)	7.41(1H, t, J=6.75Hz), 7.68(2H, d, J=8.25Hz), 7.94(2H, d, J=8.25Hz), 8.23(1H, d, J=6.75Hz), 8.85(1H, s), 9.08(1H, d, J=6.75Hz). (DMSO d_6)
1-52	157~158	4.00(3H, s), 7.08(1H, t, J=6.75Hz), 7.96(1H, d, J=6.75Hz), 8.35(4H, s), 8.78(1H, s), 8.85(1H, d, J=6.75Hz). (DMSO d_6)
1-53	240(sub-limed)	7.28(1H, t, J=6.75Hz), 8.20(1H, d, J=6.75Hz), 8.30-8.50(4H, m), 8.82(1H, s), 8.95(1H, d, J=6.75Hz). (DMSO d_6)
1-54	266	7.20(1H, t, J=6.75Hz), 7.25-7.55(3H, m), 8.10(1H, d, J=6.75Hz), 8.18-8.40(1H, m), 8.54(1H, d, J=4.5Hz), 8.95(1H, d, J=6.75Hz). (DMSO d_6)
1-56	199~201	2.48(6H, m), 7.15-7.70(4H, m), 8.32(1H, d, J=6.75Hz), 8.55(1H, s), 9.04(1H, d, J=6.75Hz). (DMSO d_6)
1-60	97~98	4.18(3H, s), 6.99(1H, t, J=6.9Hz), 7.25-7.63(3H, m), 7.93-8.38(4H, m). (CDCl $_3$)
1-61	194~196	7.26(1H, t, J=7.25Hz), 7.92-8.25(3H, m), 8.64(1H, dd, J=1.5Hz, 7.2Hz). (DMSO d_6)

Table 5 (continued)

Compound No.	m. p. (°C)	NMR (δ value)
1-63	129~131	4.08(3H, s), 6.80(3H, t, J=6.3Hz), 7.21-7.35(3H, m), 7.35-7.62(4H, m), 7.65-7.82(3H, m), 7.98(1H, d, J=6.3Hz), 8.08(1H, d, J=6.3Hz). (CDCl ₃)
1-71	oil	1.43(3H, t, J=6.0Hz), 4.48(2H, q, J=6.0Hz), 7.00(1H, t, J=6.3Hz), 8.01(1H, dd, J=7.5Hz, 0.8Hz), 8.42(1H, dd, J=7.5Hz, 0.8Hz), 8.00-8.10(1H, m). (CDCl ₃)
1-72	203~205	7.20(1H, t, J=6.0Hz), 8.55(1H, dd, J=9.0Hz, 1.5Hz), 8.60-8.67(1H, m), 8.83(1H, dd, J=9.0Hz, 1.5Hz). (DMSO-d ₆)
1-79	89~91	6.71-6.70(2H, m), 6.70-6.95(2H, m), 7.21-7.35(3H, m), 7.35-7.62(4H, m), 7.65-7.82(3H, m), 8.08(1H, d, J=6.3Hz). (DMSO-d ₆)
1-81	250(sub-limed) (HBr salt)	2.50(3H, s), 4.05(3H, s), 7.48-7.70(3H, m), 7.88-8.08(2H, m), 8.40(1H, d, J=1.0Hz), 8.80(1H, s), 9.02(1H, d, J=1.0Hz). (DMSO-d ₆)
1-82	250~252	2.40(3H, s), 7.39-7.65(3H, m), 7.95-8.10(2H, m), 8.09(1H, d, J=1.5Hz), 8.50(1H, s), 8.78(1H, d, J=1.5Hz). (DMSO-d ₆)
1-83	157~158	1.50(3H, t, J=6.3Hz), 2.41(3H, s), 2.55(3H, s), 4.58(2H, q, J=6.3Hz), 6.42(1H, s), 7.20-7.50(4H, m), 7.65(1H, s), 7.85-8.10(2H, m). (CDCl ₃)

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Table 5 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
1-84	121~122	2.68(3H,s), 2.71(3H,s), 7.05(1H,s), 7.40-7.70(4H,m), 8.60(1H,s). (DMSO d_6)
1-85		2.18(3H,s), 3.94(2H,s), 4.02(3H,s), 6.81(1H,t, J=6.6Hz), 7.68(1H,s), 7.93(1H,dd, J=6.6Hz, 1.5Hz), 8.28(1H, dd, J=6.6Hz, 1.5Hz). (DMSO d_6)
1-86	250(sub- limed)	5.38(2H,s), 6.88-7.20(3H,m), 7.21-7.5 5(3H,m), 8.27(1H,d, J=7.5Hz), 8.42(1H, s), 9.05(1H,d, J=7.5Hz). (DMSO d_6)
1-88	255(sub- limed)	4.48(2H,s), 7.15-7.55(6H,m), 8.13(1H, s), 8.10(1H,d, J=6.6Hz), 8.89(1H,d, J= 6.6Hz). (DMSO d_6)
1-89	138~139	1.42(3H,t, J=6.3Hz), 1.47(2H,q, J= 6.3Hz), 4.86(2H,s), 6.87(1H,t, J=7.5Hz) .7.72(1H,s), 7.93(1H,dd, J=7.5Hz, 1.5Hz). 8.28(1H,dd, J=7.5Hz, 1.5Hz). (CDCl ₃)
1-90	250 (decomp.)	4.86(2H,s), 6.87(1H,t, J=7.5Hz), 7.72(1H,s), 7.93(1H,dd, J=7.5Hz, 1.5Hz) .8.28(1H,dd, J=7.5Hz, 1.5Hz). (CDCl ₃)
1-94	oil	2.18(3H,s), 3.94(2H,s), 4.02(3H,s), 6.81(1H,t, J=6.6Hz), 7.68(1H,s), 7.93(1H,dd, J=6.6Hz, 1.5Hz), 8.28(1H, dd, J=6.6Hz, 1.5Hz). (CDCl ₃)

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Table 5 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
5 I-96	more than 300	7.60(III, t, J=7.8Hz), 8.04-8.52(III, m), 9.56-9.72(III, m). (DMSO _d ₆)
10 I-98	210(sub- limed)	7.22(III, t, J=6.75Hz), 7.83(III, d, J= 3.0Hz), 8.00(III, d, J=3.0Hz), 8.05(III, d, J=6.75Hz), 8.67(III, s), 8.92(III, d, J= 6.75Hz). (DMSO _d ₆)
15 I-100	oil	1.32(9II, s), 1.45(3II, t, J=7.0Hz), 2.60(3II, s), 4.52(2II, q, J=7.0Hz), 6.75(III, t, J=6.75Hz), 7.20-7.40(2II, m), 7.70-8.00(3II, m), 8.33(III, d, J=6.75Hz). (CDCl ₃)
20 I-101	191~192	1.30(9II, s), 2.56(3II, s), 7.20(III, t, J= 6.75Hz), 7.36(2II, m), 7.82(III, d, J= 9.0Hz), 8.40(III, s), 8.94(III, d, J= 6.75Hz). (DMSO _d ₆)
25 I-102	oil	1.35(9II, s), 1.50(3II, t, J=7.0Hz), 2.51(3II, s), 4.51(2II, q, J=7.0Hz), 6.78(III, t, J=6.75Hz), 7.05-7.40(2II, m), 7.76(III, s), 7.90(III, d, J=6.75Hz), 8.05(III, m), 8.32(III, d, J=6.75Hz). (CDCl ₃)
30 I-103	194~195	1.32(9II, s), 2.45(3II, s), 7.15(III, t, J= 6.75Hz), 7.30(2II, m), 7.85(III, m), 8.08(III, d, J=6.75Hz), 8.45(III, s), 8.90(III, d, J=6.75Hz). (DMSO _d ₆)
35 I-104	210~211	2.37(3II, s), 2.45(3II, s), 7.17(III, d, J= 7.5Hz), 7.23(III, s), 7.60(III, d, J= 6.6Hz), 7.45(III, t, J=6.6Hz), 8.28(III, dd, J=6.6, 1.5Hz), 8.52(III, s), 9.05(III, dd, J=6.6, 1.5Hz). (DMSO _d ₆)
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Table 5 (continued)

Compound No.	m.p.(°C)	N M R (δ value)
1-105	249~250	2.30(3H,s), 2.34(3H,s), 7.31(1H,d,J=6.6Hz), 7.42(1H,d,J=7.5Hz), 7.72(1H,dd,J=7.5Hz,1.5Hz), 7.77(1H,d,J=1.5Hz), 8.28(1H,dd,J=6.6, 1.5Hz), 8.70(1H,s), 9.03(1H,dd,J=6.6, 1.5Hz). (DMSO d_6)
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1-106	211~212 (HBr salt)	1.45(3H,t,J=7.0Hz), 2.20-2.45(12H,br), 4.55(2H,q,J=7.0Hz), 7.19(1H,s), 7.63(1H,d,J=6.75Hz), 8.52(1H,d,J=6.75Hz), 8.52(1H,d,J=6.75Hz), 8.59(1H,s), 9.33(1H,d,J=6.75Hz). (DMSO d_6)
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1-107	265~266	2.20-2.45(12H,m), 7.15(1H,s), 7.67(1H,d,J=6.75Hz), 8.45-8.60(2H,m), 9.29(1H,d,J=6.75Hz). (DMSO d_6)
20		
1-110	212~213 (HBr salt)	1.28(3H,t,J=7.0Hz), 1.48(3H,t,J=7.0Hz), 2.74(2H,q,J=7.0Hz), 4.57(2H,q,J=7.0Hz), 7.13(2H,d,J=7.5Hz), 7.64(1H,t,J=6.75Hz), 7.95(2H,d,J=7.5Hz), 8.53(1H,d,J=6.75Hz), 8.88(1H,s), 9.25(1H,d,J=6.75Hz). (DMSO d_6)
25		
1-111	214~215	1.25(3H,t,J=7.0Hz), 2.75(2H,q,J=7.0Hz), 7.25-7.60(3H,m), 7.91(2H,d,J=7.5Hz), 8.33(1H,d,J=6.75Hz), 8.79(1H,s), 9.10(1H,d,J=6.75Hz). (DMSO d_6)
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Table 5 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
1-112	260(sublimed)	2.35(3H, s), 3.98(3H, s), 7.15(1H, t, J=7.5Hz), 7.30(1H, dd, J=8.0, 1.5Hz), 7.45(1H, d, J=7.5Hz), 7.87(1H, d, J=1.5Hz), 8.25(1H, d, J=7.5Hz), 8.73(1H, s), 9.05(1H, d, J=7.5Hz). (DMSO d_6)
1-113	225(sublimed)	2.37(3H, s), 2.45(3H, s), 7.17(1H, d, J=7.5Hz), 7.23(1H, s), 7.60(1H, d, J=6.6Hz), 7.45(1H, t, J=6.6Hz), 8.28(1H, dd, J=6.6, 1.5Hz), 8.52(1H, s), 9.05(1H, dd, J=6.6, 1.5Hz). (DMSO d_6)
1-114	283~285	4.00(3H, s), 7.33(1H, t, J=6.6Hz), 7.49(1H, d, J=6.3Hz), 7.69(1H, dd, J=6.3, 2.5Hz), 8.12(1H, d, J=2.5Hz), 8.40(1H, d, J=6.3Hz), 8.89(1H, s), 9.16(1H, d, J=6.3Hz). (DMSO d_6)
1-115	235~237	2.35(3H, s), 3.98(3H, s), 7.15(1H, t, J=7.5Hz), 7.30(1H, dd, J=8.0, 1.5Hz), 7.45(1H, d, J=7.5Hz), 7.87(1H, d, J=1.5Hz), 8.25(1H, d, J=7.5Hz), 8.73(1H, s), 9.05(1H, d, J=7.5Hz). (DMSO d_6)
1-118	164~167 (HBr salt)	1.45(3H, t, J=7.0Hz), 2.44(3H, s), 2.53(3H, s), 4.55(2H, q, J=7.0Hz), 7.15~7.40(2H, m), 7.50~7.75(2H, m), 8.47(1H, d, J=6.75Hz), 8.64(1H, s), 9.22(1H, d, J=6.75Hz). (DMSO d_6)

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Table 5 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
5	I-119 139	1.48(3H, t, J=6.9Hz), 4.53(2H, q, J=6.9Hz), 7.20(1H, t, J=7.2Hz), 7.50-7.65(3H, m), 7.82-8.03(2H, m), 8.27(1H, dd, J=1.35, 7.2 Hz), 9.90(1H, dd, J=1.35, 7.2Hz), 10.15 (1H, s). (CDCl ₃)
10	I-120 214~216	7.35-7.70(4H, m), 7.88-8.09(2H, m), 8.32(1 H, dd, J=1.35, 6.6Hz), 9.80(1H, dd, J=1.35, 6.6Hz), 10.12(1H, s). (DMSO-d ₆)
15	I-121 87~89	1.49(3H, t, J=7.5Hz), 4.52(2H, q, J=7.5Hz), 6.99(1H, t, J=7.35Hz), 7.36-7.69(3H, m), 8.01(1H, dd, J=1.35, 7.35Hz), 8.17-8.49(3 H, m). (CDCl ₃)
20	I-122 200~201	7.28(1H, t, J=6.9Hz), 7.40-7.70(3H, m), 8.00-8.23(3H, m), 8.68(1H, dd, J=1.35, 6.9 Hz). (DMSO-d ₆)
25	I-123 97	1.43(3H, t, J=3.9Hz), 1.45(3H, t, J=3.9Hz), 4.03-4.68(4H, m), 6.99(1H, t, J=6.9Hz), 7. 94-8.12(1H, m), 8.30(1H, s), 8.40(1H, d, J= 6.9Hz). (CDCl ₃)
30	I-124 139~140	1.39(6H, t, J=7.8Hz), 4.45(2H, q, J=7.8Hz), 4.48(2H, q, J=7.8Hz), 7.63(1H, t, J=7.65Hz), 8.37(1H, dd, J=1.35, 7.65Hz), 9.52(1H, dd, J=1.35, 7.65Hz). (DMSO-d ₆)
35	I-125 > 300	7.67(1H, t, J=7.5Hz), 8.30(1H, dd, J=1.35, 7.5Hz), 8.80(1H, s), 9.55(1H, dd, J=1.35, 7.5Hz). (DMSO-d ₆)
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Table 5 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 1-127	247~250 (decomp.)	1.40(3H, t, J=7.5Hz), 2.71(3H, s), 2.90- 4.24(1H, br), 4.51(2H, q, J=7.5 Hz), 7.72 (1H, t, J=7.8Hz), 8.57(1H, dd, J=1.35, 7.8 Hz), 9.30(1H, dd, J=1.35, 7.8Hz). (DMSO d_6)
10 1-128	> 300	2.71(3H, s), 7.70(1H, t, J=7.5Hz), 8.52 (1H, dd, J=1.35, 7.5Hz), 9.30(1H, dd, J= 1.35, 7.5Hz). (DMSO d_6)
15 1-129	184~185	1.42(3H, t, J=7.5Hz), 2.65(3H, s), 2.77(3H, s), 4.47(2H, q, J=7.5Hz), 5.25(1H, br), 7.03 (1H, t, J=7.5Hz), 8.11(1H, dd, J=1.35, 7.5Hz) , 8.87(1H, dd, J=1.35, 7.5Hz). (CDCl ₃)
20 1-130	235~236	2.49(3H, d, J=5.4Hz), 2.70(3H, s), 5.40- 6.91(1H, br), 7.60(1H, t, J=6.6Hz), 8.34- 8.74(2H, m), 9.02-9.20(1H, m). (DMSO d_6)

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Table 5 (continued)

Compound No. m.p. (°C)

NMR (δ value)

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1-133 105~106 1.44(6H, t, J=7.5Hz), 4.31~4.66(4H, m),
 7.15(1H, t, J=7.5Hz), 8.17(1H, dd, J=1.35,
 7.5Hz), 9.57(1H, dd, J=1.35, 7.5Hz).
 (CDCl₃)

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1-135 237 7.25(1H, t, J=7.5Hz), 8.19(1H, dd, J=1.35,
 7.5Hz), 7.65~8.29(1H, br), 8.35(1H, s),
 8.88(1H, dd, J=1.35, 7.5Hz). (DMSO-d₆)

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1-136 amorphous 1.42(3H, t, J=7.2Hz), 2.43(3H, s), 2.91(2H,
 br), 4.47(2H, q, J=7.2Hz), 6.81(1H, t, J=7.5
 Hz), 7.82(1H, dd, J=1.35, 7.5Hz), 8.20(1H,
 dd, J=1.35, 7.5Hz). (CDCl₃)

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1-137 231~233 2.70(3H, s), 7.20(3H, t, J=6.9Hz), 7.47-
 7.68(3H, m), 7.93~8.21(3H, m), 8.76~8.95
 (2H, m). (DMSO-d₆)

1-139 270(decomp.)
 (LiBr salt) 1.42(3H, t, J=7.0Hz), 4.54(2H, q, J=7.0Hz),
 7.30~7.70(4H, m), 8.00~8.25(1H, m), 8.39
 (1H, d, J=6.75Hz), 8.77(1H, d, J=4.5Hz),
 9.20(1H, d, J=6.75Hz). (DMSO-d₆)

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Table 5 (continued)

	Compound No.	m.p. (°C)	NMR (δ value)
5	I-140	177~178 (HBr salt)	1.42(3H, t, J=7.0Hz), 4.55(2H, q, J=7.0Hz), 7.10(2H, t, J=9.0Hz), 7.62(1H, t, J=6.75Hz), 8.03(2H, dd, J=9.0, 6.0Hz), 8.48(1H, d, J=6.75Hz), 8.88(1H, s), 9.20(1H, d, J=6.75Hz). (DMSO-d ₆)
10	I-141	220 (sublimed)	7.20-7.50(3H, m), 8.05(2H, dd, J=9.0, 6.0Hz), 8.28(1H, d, J=6.75Hz), 8.73(1H, s), 9.06(1H, d, J=6.75Hz). (DMSO-d ₆)
15	I-142	97~99	1.50(3H, t, J=7.0Hz), 4.65(2H, q, J=7.0Hz), 6.80-7.20(3H, m), 7.90(1H, d, J=6.75Hz), 8.06(1H, d, J=1.0Hz), 8.34(1H, d, J=6.75Hz), 8.45-8.65(1H, m)(CDCl ₃)
20	I-143	240 (sublimed)	7.00-7.40(2H, m), 7.65-8.10(2H, m), 8.56 (1H, s), 8.85(1H, d, J=6.75Hz), 9.01(1H, d, J=6.75Hz). (CF ₃ COOD)
25	I-144	206~208 (HBr salt)	1.41(3H, t, J=7.0Hz), 4.55(2H, q, J=7.0Hz), 7.20-7.90(4H, m), 8.55(1H, d, J=6.75Hz), 8. 88(1H, s), 8.32(1H, d, J=6.75Hz). (DMSO-d ₆)
30	I-145	280~282	7.15-7.80(3H, m), 8.12(1H, d, J=6.75Hz), 8.55(1H, d, J=1.5Hz), 8.99(1H, d, J=6.75Hz). (DMSO-d ₆)
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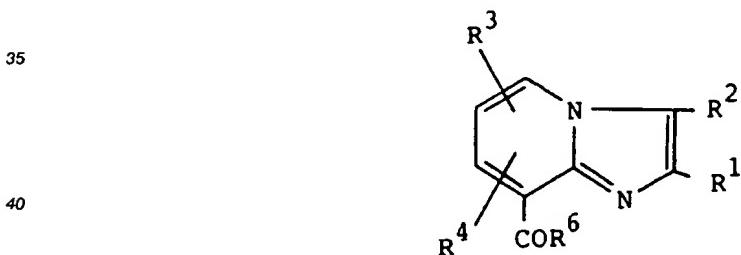
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Table 5 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
5 I-146	143~144	1.45(3H, t, J=7.0Hz), 2.78(3H, s), 4.42(2H, q, J=7.0Hz), 7.50(1H, d, J=6.75Hz), 8.25(1H, d, J=6.75Hz), 9.55(1H, d, J=6.75Hz). 10 (DMSO-d ₆)
10 I-147	143~146 (HBr salt)	1.38(3H, t, J=7.0Hz), 4.42(2H, q, J=7.0Hz), 7.30(1H, m), 8.88(2H, m), 8.30(1H, m), 8.70 (2H, m). (DMSO-d ₆)
15 I-151	oil	1.30(12H, d, J=6.0Hz), 1.45(3H, t, J=7.0Hz), 2.95(1H, m, J=6.0Hz), 3.48(1H, m, J=6.0Hz), 4.45(2H, q, J=7.0Hz), 6.75-7.75(5H, m), 7.95(1H, d, J=6.75Hz), 8.35(1H, d, J=6.75Hz). 20 (CDCl ₃)
20 I-152	99~101	1.15-1.40(12H, m), 2.80-3.40(2H, m), 7.10- 7.60(4H, m), 8.35(1H, d, J=6.75Hz), 8.48(1H, s), 9.09(1H, d, J=6.75Hz). (DMSO-d ₆)
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Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
5	2-1	H	H	H	H	A-1
	2-2	Me	H	H	H	A-1
	2-3	Me	H	H	H	A-2
10	2-4	Me	H	H	H	A-4
	2-5	Et	H	H	H	A-1
	2-6	nPr	H	H	H	A-1
15	2-7	iPr	H	H	H	A-1
	2-8	nBu	H	H	H	A-1
	2-9	tBu	H	H	H	A-1
20	2-10	H	Cl	H	H	A-1
	2-11	Me	Cl	H	H	A-1
	2-12	Me	Me	H	H	A-1
25	2-13	Me	CHO	H	H	A-1
	2-14	Me	NO ₂	H	H	A-1
	2-15	Me	CH ₂ NMe ₂	H	H	A-1
30	2-16	Me	CF ₂ CHF ₂	H	H	A-1
	2-17	Me	CH ₂ NMe ₂	H	H	A-2
	2-18	Me	CH ₂ NMe ₂	H	H	A-4
35	2-19	Me	CH ₂ NMe ₂ ·HCl*	H	H	A-4
	2-20	Me	CH ₂ N(O)O	H	H	A-1
	2-21	Me	CH ₂ S-iPr	H	H	A-4
40	2-22	Me	CH ₂ CH ₂ CN	H	H	A-4
	2-23	Me	CH=CMe ₂	H	H	A-4
	2-24	C ₆ H ₅	H	H	H	A-1
45	2-25	C ₆ H ₅	H	H	H	A-2
	2-26	C ₆ H ₅	H	H	H	A-3

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Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
5	2-27	C ₆ H ₅	II	II	II	A-4
	2-28	C ₆ H ₅	II	II	II	A-5
	2-29	C ₆ H ₅	II	II	II	A-6
10	2-30	C ₆ H ₅	II	II	II	A-7
	2-31	C ₆ H ₅	II	II	II	A-8
	2-32	C ₆ H ₅	II	II	II	A-9
15	2-33	C ₆ H ₅	II	II	II	A-10
	2-34	C ₆ H ₅	II	II	II	A-11
	2-35	C ₆ H ₅	II	II	II	A-12
20	2-36	C ₆ H ₅	II	II	II	A-13
	2-37	C ₆ H ₅	II	II	II	A-14
	2-38	C ₆ H ₅	II	II	II	A-15
25	2-39	C ₆ H ₅	II	II	II	A-16
	2-40	C ₆ H ₅	II	II	II	A-17
	2-41	C ₆ H ₅	II	II	II	A-18
30	2-42	C ₆ H ₅	II	II	II	A-19
	2-43	C ₆ H ₅	II	II	II	A-20
	2-44	C ₆ H ₅	II	II	II	A-21
35	2-45	C ₆ H ₅	II	II	II	A-22
	2-48	C ₆ H ₅	II	II	II	A-25
	2-49	C ₆ H ₅	II	II	II	A-26
40	2-51	C ₆ H ₅	II	II	II	A-28
	2-52	C ₆ H ₅	II	II	II	A-29

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Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
5	2-53	C ₆ H ₅	H	H	H	A-30
	2-54	2-MeC ₆ H ₄	H	H	H	A-1
	2-55	2-MeC ₆ H ₄	H	H	H	A-2
10	2-56	2-MeC ₆ H ₄	H	H	H	A-4
	2-57	3-MeC ₆ H ₄	H	H	H	A-1
	2-58	4-MeC ₆ H ₄	H	H	H	A-1
15	2-59	2,5-Me ₂ C ₆ H ₃	H	H	H	A-1
	2-60	2,5-Me ₂ C ₆ H ₃	H	H	H	A-2
	2-61	2,5-Me ₂ C ₆ H ₃	H	H	H	A-4
20	2-62	2,4,6-Me ₃ C ₆ H ₂	H	H	H	A-1
	2-63	2-MeOC ₆ H ₄	H	H	H	A-1
	2-64	3-MeOC ₆ H ₄	H	H	H	A-1
25	2-65	4-MeOC ₆ H ₄	H	H	H	A-1
	2-66	3,4-(MeO) ₂ C ₆ H ₃	H	H	H	A-1
	2-67	3,4-(MeO) ₂ C ₆ H ₃	H	H	H	A-4
30	2-68	2-ClC ₆ H ₄	H	H	H	A-1
	2-69	3-ClC ₆ H ₄	H	H	H	A-1
	2-70	4-ClC ₆ H ₄	H	H	H	A-1
35	2-71	4-BrC ₆ H ₄	H	H	H	A-1
	2-72	4-NO ₂ C ₆ H ₄	H	H	H	A-1
	2-73	2-FC ₆ H ₄	H	H	H	A-1
40	2-74	2-F,4-MeC ₆ H ₃	H	H	H	A-1
	2-75	2-Me,4-MeSC ₆ H ₃	H	H	H	A-4
	2-76	2,4,6-Cl ₃ C ₆ H ₂	H	H	H	A-1
45	2-77	C ₆ H ₅	CH ₂ NMe ₂	H	H	A-1
	2-78	C ₆ H ₅	CH ₂ NMe ₂	H	H	A-2

Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
5	2-79	C ₆ H ₅	CH ₂ NMe ₂	II	II	A-4
	2-80	C ₆ H ₅	CH ₂ NMe ₂ · HO*	II	II	A-4
	2-81	C ₆ H ₅	Cl	II	II	A-1
10	2-82	C ₆ H ₅	Me	II	II	A-4
	2-83	C ₆ H ₅	C ₆ H ₅	II	II	A-4
	2-84	C ₆ H ₆	CF ₃ CHF ₂	II	II	A-1
15	2-85	C ₆ H ₅	CHO	II	II	A-1
	2-86	C ₆ H ₅	NO ₂	II	II	A-1
	2-87	C ₆ H ₆	CN	II	II	A-1
20	2-88	C ₆ H ₅	CH ₂ CH ₂ CN	II	II	A-1
	2-89	C ₆ H ₆	CH=CM ₂	II	II	A-1
	2-90	C ₆ H ₅	CH(OH)CCl ₃	II	II	A-1
25	2-91	C ₆ H ₅ CH ₂	II	II	II	A-1
	2-92	CF ₃	II	II	II	A-1
	2-93	Cl	II	II	II	A-1
30	2-94	Cl	Cl	II	II	A-1
	2-95	CHO	II	II	II	A-2
	2-96	CN	II	II	II	A-2
35	2-97	CH=CM ₂	II	II	II	A-2
	2-98	CH=NOEt	II	II	II	A-2
	2-99	OMe	II	II	II	A-1
40	2-100	OMe	II	II	II	A-2
	2-101	OMe	II	II	II	A-4
	2-102	SMe	II	II	II	A-1
45	2-103	II	OMe	II	II	A-1
	2-104	2-thienyl	II	II	II	A-1
	2-105	2-furyl	II	II	II	A-1

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Table 6

Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	2-106	2-pyridyl	II	II	II A-1
	2-107	C ₆ H ₅	II	5-Me	II A-1
	2-108	C ₆ H ₅	II	5-Me	II A-2
10	2-109	C ₆ H ₅	II	5-Me	II A-1
	2-110	Me	II	5-Me	II A-1
	2-111	Me	CH ₂ NMe ₂	5-Me	II A-2
15	2-112	C ₆ H ₅	II	5-Me	7-Me A-1
	2-113	C ₆ H ₅	II	5-CF ₃	7-CF ₃ A-1
	2-114	C ₆ H ₅ OCH ₂	II	II	II A-1
20	2-115	C ₆ H ₅ SCH ₂	II	II	II A-1
	2-116	C ₆ H ₅ SOCH ₂	II	II	II A-1
	2-117	C ₆ H ₅ SO ₂ CH ₂	II	II	II A-1
25	2-118	C ₆ H ₅ OCH ₂	CH ₂ NMe ₂	II	II A-1
	2-119	MeOCH ₂	II	II	II A-1
	2-120	MeOCH ₂	CH ₂ N ₂ O	II	II A-1
30	2-121	MeSCH ₂	II	II	II A-1
	2-122	MeSCH ₂	II	II	II A-1
	2-123	MeSCH ₂	CH ₂ NMe ₂	II	II A-1
35	2-124	MeSO ₂ CH ₂	II	II	II A-1
	2-125	O NCH ₂	II	II	II A-1
	2-126	(EtO) ₂ P(O)CH ₂	II	II	II A-1
40	2-127	Me ₂ NCSSCH ₂	II	II	II A-1
	2-128	ClCH ₂	II	II	II A-1
	2-129	CF ₃	C ₆ H ₅ NHCO	II	II A-1
45	2-130	II	C ₆ H ₅ CO	II	II A-2
	2-131	II	C ₆ H ₅ CH(OH)	II	II A-2

Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	2-132	H	C ₆ H ₅ CH ₂	H	H	A-2
	2-133	H	Et	H	H	A-2
	2-134	C ₆ H ₅	H	H	H	A-4
10	2-135	2-MeC ₆ H ₄	CHO	H	H	A-1
	2-136	2-FC ₆ H ₄	H	H	H	A-4
	2-137	2-FC ₆ H ₄	H	H	H	A-2
15	2-138	3,4-MeO ₂ C ₆ H ₃	H	H	H	"
	2-139	2,5-Me ₂ C ₆ H ₃	H	6-Me	H	A-1
	2-140	4-FC ₆ H ₄	H	H	H	A-2
20	2-141	2,4-F ₂ C ₆ H ₃	H	H	H	"
	2-142	2,6-F ₂ C ₆ H ₃	H	H	H	"
	2-143	C ₆ H ₅	CH ₂ SO ₃ H	H	H	"
25	2-144	4-Me ₂ NC ₆ H ₄	H	H	H	"
	2-145	4-NO ₂ C ₆ H ₄	NO ₂	H	H	"
	2-146	C ₆ H ₅	CHO	H	H	"
30	2-147	C ₆ H ₅	F	H	H	"
	2-148	C ₆ H ₅	CH=NC ₆ H ₅	H	H	"
	2-149	4-Me,3-NO ₂ C ₆ H ₃	NO ₂	H	H	"
35	2-150	Me	H	H	H	A-11
	2-151	Et	H	H	H	"
	2-152	2-MeC ₆ H ₄	H	H	H	"
40	2-153	4-FC ₆ H ₄	H	H	H	"
	2-154	Me	NO ₂	H	H	A-2
	2-155	4-C ₆ H ₅ -C ₆ H ₅	H	H	H	"
45	2-156	C ₆ H ₅	H	H	H	"
	2-157	C ₆ H ₅	CN	H	H	"

Table 6

Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
2-158	II	NO ₂	II	II	"
2-159	4-Me, 3-NO ₂ C ₆ H ₃	II	II	II	"
2-160	2,4-(NO ₂) ₂ C ₆ H ₃	NO ₂	II	II	"
2-161	4-SO ₃ H C ₆ H ₄	II	II	II	"
2-162	2,5-Cl ₂ C ₆ H ₃	II	II	II	"
2-163	2,5-(MeO) ₂ C ₆ H ₃	II	II	II	"
2-164	Me	SO ₃ II	II	II	"
2-165	Me	SO ₂ NiIMe	II	II	"
2-166	C ₆ H ₅	II	II	II	"
2-167	Cl	II	II	II	"
2-168	4-NO ₂ C ₆ H ₄	II	II	II	"
2-169	Me	NO ₂	II	II	HNC(OC ₂ H ₅)CONH ₂
2-170	Me	NO ₂	II	II	A-11
2-171	2-thiazolyl	II	II	II	A-2
2-172	CF ₃	II	II	II	HNC(3-FC ₆ H ₄)CONH ₂
2-173	3-HOC ₆ H ₄	II	II	II	A-2
2-174	2-HOC ₆ H ₄	II	II	II	"
2-175	2-MeOC ₆ H ₄	II	II	II	"
2-176	3-MeOC ₆ H ₄	II	II	II	"
2-177	4-MeOC ₆ H ₄	II	II	II	"
2-178	2-ClC ₆ H ₄	II	II	II	"
2-179	3-ClC ₆ H ₄	II	II	II	"
2-180	4-ClC ₆ H ₄	II	II	II	"
2-181	2,4-Me ₂ C ₆ H ₃	II	II	II	"
2-182	3,4-Me ₂ C ₆ H ₃	II	II	II	"
2-183	2-Me, 4-MeSC ₆ H ₃	II	II	II	"

Table 6

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁵
5	2-184	2-Me,4-tBuC ₆ H ₃	H	H	H	"
	2-185	2-Me,5-tBuC ₆ H ₃	H	H	H	"
	2-186	2-Cl,5-MeC ₆ H ₃	H	H	H	"
10	2-187	3-MeC ₆ H ₄	H	H	H	"
	2-188	4-MeC ₆ H ₄	H	H	H	"
	2-189	Me	N=CHC ₆ H ₅	H	H	"
15	2-190	OC ₆ H ₅	H	H	H	"
	2-191	SC ₆ H ₅	H	H	H	"
	2-192	OMe	H	H	H	"
20	2-193	C ₆ H ₅	H	5-OH	6-Cl	"
	2-194	<i>B</i> -naphthyl	H	H	H	"
	2-195	Me	NH ₂	H	H	"
25	2-196	2,3,4,5-Me ₄ C ₆ H	H	H	H	"
	2-197	Et	H	H	H	"
	2-198	2-EtC ₆ H ₄	H	H	H	"
30	2-199	3-EtC ₆ H ₄	H	H	H	"
	2-200	4-EtC ₆ H ₄	H	H	H	"
	2-201	4-BrC ₆ H ₄	H	H	H	"
35	2-202	2,5-Et ₂ C ₆ H ₃	H	H	H	"
	2-203	2-Me,5-ClC ₆ H ₃	H	H	H	"
	2-204	2-Me,5-EtC ₆ H ₃	H	H	H	"
40	2-205	2-Et,5-MeC ₆ H ₃	H	H	H	"
	2-206	2,4-(MeO) ₂ C ₆ H ₃	H	H	H	"
	2-207	2-Me ₂ NCOC ₆ H ₄	H	H	H	"
45	2-208	2-MeOC ₆ H ₂ C ₆ H ₄	H	H	H	"
	2-209	2-Me,4-MeSOC ₆ H ₃	H	H	H	"

	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
5	2-210	2-Me, 4-MeSO ₂ C ₆ H ₃	II	II	II	"
	2-211	5-Me, 2-MeOC ₆ H ₃	II	II	II	"
	2-212	5-Cl, 2-MeOC ₆ H ₃	II	II	II	"
10	2-213	2, 4-(i-Pr) ₂ C ₆ H ₃	II	II	II	"
	2-214	2, 5-(i-Pr) ₂ C ₆ H ₃	II	II	II	"
	2-215	4-iPrC ₆ H ₄	II	II	II	"
15	2-216	5-Me, 2-MeSC ₆ H ₃	II	II	II	"
	2-217	C ₆ H ₁₃	II	II	II	"
	2-218	5-t-Bu, 2-MeSC ₆ H ₃	II	II	II	"
20	2-219	5-Cl, 2-MeSC ₆ H ₃	II	II	II	"
	2-220	4-CNC ₆ H ₄	II	II	II	"
	2-221	2-CF ₃ C ₆ H ₄	II	II	II	"
25	2-222	3-CF ₃ C ₆ H ₄	II	II	II	"
	2-223	2-Me, 5-CF ₃ C ₆ H ₃	II	II	II	"
	2-224	α -(imidazo[1, 2-a]pyridin-5-ylcarbonylamino)-(2-furyl)acetonitrile				
30	2-225	α -(imidazo[1, 2-a]pyridin-6-ylcarbonylamino)-(2-furyl)acetonitrile				

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	Compound No.	R ¹	R ²	R ³	R ⁴	R ⁶
40	2-231	α -(2-phenyl-imidazo[1, 2-b]pyridazin-8-ylcarbonylamino)-(2-thienyl)acetonitrile				
45	2-232	α -(6-chloro-2-phenyl-imidazo[1, 2-b]pyridazin-8-ylcarbonylamino)-(2-thienyl)acetonitrile				

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*HCl:hydrochloride, *HO:oxalate

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A-1 to A-30 for R⁶ in Table 6 mean the following groups.

5	A-1	<chem>NC#Cc1cc(C)on1</chem>	A-11	<chem>NC#Cc1cc(C#N)c(C)c1</chem>	A-21	<chem>NC#Cc1cc(C#N)c(c1)C(=O)c2ccccc2</chem>
10	A-2	<chem>NC#Cc1cc(S)on1</chem>	A-12	<chem>NC#Cc1cc(C#N)c(Cl)c1</chem>	A-22	<chem>NC#Cc1cc(C#N)c(C)c2ccccc2</chem>
15	A-3	<chem>NC#Cc1cc(F)c(F)on1</chem>	A-13	<chem>NC#Cc1cc(C#N)c(C(F)(F)F)c1</chem>		
20	A-4	<chem>NC#Cc1cc(F)c(F)on1</chem>	A-14	<chem>NC#Cc1cc(C#N)c(C)c2ccccc2</chem>		
25	A-5	<chem>NC#Cc1cc(F)c(F)on1</chem>	A-15	<chem>NC#Cc1cc(C#N)c(C)c2=CC=C2</chem>	A-25	<chem>NC#Cc1cc(C#N)c(C)c2ccccc2C(=O)OCC</chem>
30	A-6	<chem>NC#Cc1cc(F)c(OCH3)on1</chem>	A-16	<chem>NC#Cc1cc(C#N)c(C)c2CCOC2</chem>	A-26	<chem>NC#Cc1cc(C#N)c(C)c2ccccc2</chem>
35	A-7	<chem>NC#Cc1cc(F)c(OCH3)on1</chem>	A-17	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>		
40	A-8	<chem>NC#Cc1cc(F)c(Br)on1</chem>	A-18	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>	A-28	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>
	A-9	<chem>NC#Cc1cc(F)c(F)on1</chem>	A-19	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>	A-29	<chem>NC#Cc1cc(C#N)c(C)c2ccccc2S(=O)(=O)C</chem>
	A-10	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>	A-20	<chem>NC#Cc1cc(C#N)c(C)c2cncn2</chem>	A-30	<chem>NC#Cc1cc(C#N)c(C)c2cncn2Cl</chem>

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Table 7

Compound No.	m.p. (°C)	NMR (δ value)
2-1	149~151	6.40-6.55(2H, m), 6.65(1H, d, J=3.0Hz), 6.90(1H, t, J=6.75Hz), 7.50(1H, br), 7.55(1H, d, J=0.9Hz), 7.72(1H, d, J=0.9Hz); 8.12(1H, d, J=6.75Hz), 8.32(1H, d, J=6.75Hz), 11.30(1H, d, J=8.75Hz). (CDCl ₃)
2-2	130~131	2.45(3H, s), 6.50(2H, m), 6.67(1H, d, J=3.0Hz), 6.90(1H, t, J=6.75Hz), 7.40(1H, br), 7.55(1H, d, J=1.5Hz), 8.10-8.30(2H, m), 11.45(1H, d, J=7.5Hz). (CDCl ₃)
2-3	140~141	2.40(3H, s), 6.78(1H, d, J=7.5Hz), 7.15(2H, m), 7.45(1H, m), 7.66(1H, d, J=6.0Hz), 7.88(1H, s), 8.08(1H, d, J=6.75Hz), 8.78(1H, d, J=6.75Hz), 11.28(1H, d, J=7.5Hz). (DMSO-d ₆)
2-4	204~205	2.40(3H, s), 6.55(1H, d, J=7.5Hz), 7.08(1H, t, J=6.75Hz), 7.20-7.70(4H, m), 7.88(1H, s), 8.05(1H, d, J=6.75Hz), 8.75(1H, d, J=6.75Hz), 11.24(1H, d, J=7.5Hz). (DMSO-d ₆)
2-5	102~103	1.30(3H, t, J=7.5Hz), 2.80(2H, q, J=7.5Hz), 6.51-6.70(2H, m), 6.75(1H, d, J=3.0Hz), 7.08(1H, t, J=6.75Hz), 7.83(1H, m), 7.88(1H, s), 8.07(1H, dd, J=6.75Hz, 1.5Hz), 8.78(1H, dd, J=6.75Hz, 1.5Hz), 11.35(1H, d, J=6.75Hz). (DMSO-d ₆)

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Table 7 (continued)

	Compound No.	m.p. (°C)	NMR (δ value)
5	2-7	85~87	1.35(6H,d,J=6.0Hz), 3.08(1H,m), 6.45-6.70(2H, m), 6.70(1H,d,J=3.0Hz), 7.03(1H,t,J=6.75Hz), 7.75(1H,m), 7.80(1H,s), 8.06(1H,dd,J=6.75Hz, 1.5Hz), 8.73(1H,dd,J=6.75Hz,1.5Hz), 11.48(1H, d,J=6.75Hz). (DMSO d_6)
10	2-9	112~114	1.32(9H,s), 6.48-6.65(2H,m), 6.73(1H,d,J=3.0 Hz), 7.05(1H,t,J=6.75Hz), 7.78(1H,m), 7.84(1H, s), 8.07(1H,d,J=6.75Hz), 8.74(1H,d,J=6.75Hz), 11.53(1H,d,J=6.75Hz). (DMSO d_6)
15	2-10	142~143	6.50(2H,m), 6.65(1H,d,J=3.75Hz), 7.15(1H,t,J =6.75Hz), 7.45(1H,d,J=1.5Hz), 7.58(1H,s), 8.25(2H,m), 10.95(1H,d,J=7.5Hz). (CDCl ₃)
20	2-11	157~159	2.45(3H,s), 6.35-6.50(2H,m), 6.65(1H,d, J=3.95Hz), 7.08(1H,t,J=6.75Hz), 7.50(1H,d, J=1.5Hz), 8.10-8.30(2H,m), 11.05(1H,d,J=8.25 Hz). (CDCl ₃)
25	2-15	162~164	2.20(6H,s), 2.40(3H,s), 3.65(2H,s), 6.50 (2H,m), 6.68(1H,d,J=3.0Hz), 6.92(1H,t,J= 6.75Hz), 7.48(1H,br), 8.12(1H,d,J=6.75Hz), 8.40(1H,d,J=6.75Hz), 11.58(1H,d,J=7.50Hz). (CDCl ₃)
30	2-17	123~124	2.20(6H,s), 2.40(3H,s), 3.70(2H,s), 6.47(1H,d, J=7.5Hz), 6.95(1H,d,J=6.75Hz), 7.10-7.50 (4H,m), 8.20(1H,d,J=6.75Hz), 8.45(1H,d,J= 6.75Hz), 11.58(1H,d,J=7.50Hz). (CDCl ₃)
35	2-19	171~174	
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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 2-20	184~186	2.35-2.60(4H, m), 2.42(3H, s), 3.55-3.75(4H, m), 3.77(2H, s), 6.50(2H, m), 6.65(1H, d, J=3.0Hz), 6.95(1H, t, J=6.75Hz), 7.55(1H, br), 8.25(1H, d, J=6.75Hz), 8.47(1H, d, J=6.75Hz), 11.45(1H, d, J =7.5Hz). (DMSO d_6)
10 2-24	197~199	6.35-6.50(2H, m), 6.68(1H, d, J=3.75Hz), 6.95 (1H, t, J=6.75Hz), 7.35-7.65(4H, m), 7.70-7.90 (2H, m), 7.92(1H, s), 8.10-8.30(2H, m), 11.56(1H, d, J=7.50Hz). (CDCl $_3$)
15 2-25	187~189	6.76(1H, d, J=6.3Hz), 7.05-7.32(2H, m), 7.33- 7.65(4H, m), 7.70-7.82(1H, m), 7.86-8.02(2H, m), 8.04-8.20(1H, m), 8.59(1H, s), 8.73-8.90(1H, m), 11.34(1H, d, J=6.3Hz). (DMSO d_6)
20 2-26	176~177	6.60(1H, d, J=7.5Hz), 7.14(1H, t, J=6.75Hz), 7.35-7.60(7H, m), 7.80-8.00(2H, m), 8.15(1H, d, J=6.75Hz), 8.67(1H, s), 8.84(1H, d, J=6.75Hz), 11.48(1H, d, J=7.5Hz). (DMSO d_6)
25 2-27	192~193	6.50(1H, d, J=6.6Hz), 7.14(1H, t, J=7.5Hz), 7.36 -7.73(7H, m), 7.80-7.99(2H, m), 8.02-8.20 (1H, m), 8.56(1H, s), 8.72-8.89(1H, m), 11.30(1H, d, J=6.6Hz). (DMSO d_6)
30 2-28	205~206	6.36(1H, d, J=6.6Hz), 6.98-7.53(6H, m), 7.68- 7.95(4H, m), 8.03-8.20(1H, m), 8.42(1H, s), 8.66 -8.80(1H, m), 11.33(1H, d, J=6.6Hz). (CDCl $_3$, DMSO d_6)

Table 7 (continued)

	Compound No.	m.p. (°C)	NMR (δ value)
5	2-29	168~170	3.82(3H, s), 6.35(1H, d, J=6.3Hz), 7.03~7.66(8H, m), 7.78~7.95(2H, m), 8.10(1H, dd, J=1.35Hz, 7.5Hz), 8.54(1H, s), 8.78(1H, dd, J=1.35Hz, 7.5Hz), 11.28(1H, d, J=6.3Hz). (DMSO d_6)
10	2-30	181~182	3.80(3H, s), 3.85(3H, s), 6.24(1H, d, J=6.3Hz), 7.04~7.53(7H, m), 7.70~7.91(2H, m), 8.10(1H, dd, J=1.5Hz, 7.2Hz), 8.53(1H, s), 8.79(1H, dd, J=1.5Hz, 7.2Hz), 11.22(1H, d, J=6.3Hz). (DMSO d_6)
15	2-31	203~204	6.48(1H, d, J=6.45Hz), 7.12(1H, t, J=7.2Hz), 7.32~7.65(4H, m), 7.68~8.02(5H, m), 8.10(1H, dd, J=1.35, 7.2Hz), 8.55(1H, s), 8.80(1H, dd, J=1.35, 7.2Hz), 11.25(1H, d, J=6.45Hz). (DMSO d_6)
20	2-32	219~220	6.55(1H, d, J=6.75Hz), 7.15(1H, t, J=7.05Hz), 7.24~7.65(6H, m), 7.88~8.03(2H, m), 8.10(1H, dd, J=1.35, 7.05Hz), 8.53(1H, s), 8.82(1H, dd, J=1.35, 7.05Hz), 11.30(1H, d, J=6.75Hz). (DMSO d_6)
25	2-33	207~208	3.71(3H, s), 6.10~6.26(1H, m), 6.43~6.60(2H, m), 6.89~7.01(1H, m), 7.13(1H, t, J=7.5Hz), 7.31~7.58(3H, m), 7.72~7.92(2H, m), 8.11(1H, dd, J=1.35, 7.5Hz), 8.55(1H, s), 8.79(1H, dd, J=1.35, 7.5Hz), 11.13(1H, d, J=6.45Hz). (DMSO d_6)
30	2-34	174~175	6.28(1H, d, J=7.5Hz), 6.95(1H, t, J=6.9Hz), 7.23~7.84(10H, m), 7.90(1H, s), 8.11~8.32(2H, m), 11.48(1H, d, J=7.5Hz). (CDCl ₃)
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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-35	210~211	6.32(1H,d,J=7.5Hz), 7.03(1H,t,J=7.2Hz), 7.17 -7.92(4H,m), 8.16(1H,dd,J=1.35Hz,7.2Hz), 8.22(1H,s), 8.57(1H,dd,J=1.35Hz,7.2Hz), 11.16(1H,d,J=7.5Hz). (DMSO _d ₆ +CDCl ₃)
2-36	192~193	6.52(1H,d,J=7.35Hz), 7.05(1H,t,J=7.2Hz), 7.32-7.53(3H,m), 7.61-7.91(4H,m), 7.92-8.22 (3H,m), 8.33(1H,s), 8.65(1H,dd,J=1.35Hz,7.2 Hz), 11.41(1H,d,J=7.35Hz). (CDCl ₃ +DMSO _d ₆)
2-37	189~190	2.42(3H,s), 6.34(1H,d,J=6.3Hz), 7.14(1H,t,J= 7.2Hz), 7.30-7.68(7H,m), 7.74-7.92(2H,m), 8.11(1H,dd,J=1.35Hz,7.2Hz) 8.55(1H,s), 8.79 (1H,dd,J=1.35Hz,7.2Hz), 11.25(1H,d,J=6.3Hz). (DMSO _d ₆)
2-40	168~169	1.23(6H,d,J=7.2Hz), 2.12-2.50(1H,m), 5.05(1H, dd,J=6.9Hz,7.5Hz), 7.16(1H,t,J=6.9Hz), 7.28- 7.65(3H,m), 7.90-8.20(3H,m), 8.60(1H,s), 8.82 (1H,dd,J=1.35Hz,6.9Hz), 10.90(1H,d,J=7.5Hz). (DMSO _d ₆)
2-41	181~182	6.37(1H,d,J=7.5Hz), 6.95(1H,t,J=7.2Hz), 6.27 -8.37(1H,m), 8.79-8.94(1H,m), 11.97(1H,d,J= 7.50Hz). (CDCl ₃)
2-42	213~215	6.58(1H,d,J=6.45Hz), 7.13(1H,t,J=7.2Hz), 7.31-7.71(4H,m), 7.80-8.30(4H,m), 8.55(1H,s), 8.68-8.87(2H,m), 8.96(1H,d,J=2.85Hz), 11.30 (1H,d,J=6.45Hz). (CDCl ₃)

Table 7 (Continued)

	Compound No.	m.p. (°C)	N M R (δ value)
5	2-45	182~183	3.31(2H,d,J=7.5Hz),5.32-5.62(1H,m),6. 93(1H,t,J=6.6Hz),7.23-7.62(8H,m),7.6 5-8.02(3H,m),8.07-8.36(2H,m),11.03 (1H,d,J=8.7Hz). (CDCl ₃)
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25	2-48	192~193	2.42(3H,s),6.20-6.31(1H,m),6.56(1H,d, J=3.3Hz),7.15(1H,t,J=7.2Hz),7.28- 7.63(3H,m),7.89-8.06(3H,m),8.12(1H,d d,J=1.35Hz,7.2Hz),8.59(1H,s),8.81(1H, dd,J=1.35Hz,7.2Hz),11.37(1H,d,J= 6.9Hz). (DMSO-d ₆)
30			
35	2-49	173~174	4.60(2H,d,J=6.3Hz),7.12(1H,t,J=6.9Hz) ,7.24-7.62(3H,m),8.00-8.25(3H,m), 8.58(1H,s),8.71-8.87(1H,m),10.59(1H, t,J=6.3Hz). (DMSO-d ₆)
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Table 7 (Continued)

	Compound No.	m.p. (°C)	NMR (δ value)
5	2-52	196~197	2.41(3H,s), 6.49(1H,d,J=7.8Hz), 6.80-7.06(2H,m), 7.23-7.57(4H,m), 7.75-7.95(3H,m), 8.07-8.32(2H,m), 11.48(1H,d,J=7.8Hz). (CDCl ₃)
10	2-53	159~161	6.60(1H,d,J=6.6Hz), 7.12(1H,t,J=6.9Hz), 7.32-7.61(3H,m), 7.69(1H,d,J=9.3Hz), 7.82-8.14(3H,m), 8.22(1H,dd,J=1.2, 9.3Hz), 8.57(1H,s), 8.72-8.89(2H,m), 11.26(1H,d,J=6.6Hz). (DMSO-d ₆)
15	2-54	175~177	2.54(3H,s), 6.55-6.70(2H,m), 6.75(1H,d,J=3.0Hz), 7.20(1H,t,J=6.75Hz), 7.30-7.50(3H,m), 7.80-8.00(2H,m), 8.15(1H,d,J=6.75Hz), 8.45(1H,s), 8.85(1H,d,J=6.75Hz), 11.35(1H,d,J=7.50Hz). (DMSO-d ₆)
20	2-55	175~176	2.45(3H,s), 6.75(1H,d,J=7.5Hz), 7.10-8.30(8H,m), 8.42(1H,s), 8.86(1H,d,J=6.75Hz), 11.27(1H,d,J=7.5Hz). (DMSO-d ₆)
25	2-56	119~120	2.45(3H,s), 6.53(1H,d,J=7.5Hz), 7.10-7.90(9H,m), 8.15(1H,d,J=6.75Hz), 8.45(1H,s), 8.86(1H,d,J=6.75Hz), 11.28(1H,d,J=7.5Hz). (DMSO-d ₆)
30	2-57	214~216	2.40(3H,s), 6.50-6.70(2H,m), 6.82(1H,d,J=3.0Hz), 7.00-7.55(3H,m), 7.65-7.95(3H,m), 8.12(1H,d,J=6.75Hz), 8.55(1H,s), 8.80(1H,d,J=6.75Hz), 11.45(1H,d,J=6.75Hz). (DMSO-d ₆)

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Table 7 (Continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-58	198~200	2.35(3H,s), 6.60-6.75(2H,m), 6.80(1H,d, J=3.0Hz), 7.15(1H,t,J=6.75Hz), 7.32(2H, d,J=8.35Hz), 7.75-8.00(3H,m), 8.10(1H,d, J=6.75Hz), 8.54(1H,s), 8.80(1H,d,J= 6.75Hz), 11.52(1H,d,J=6.75Hz). (DMSO _d ₆)
2-59	173~175	2.39(3H,s), 2.50(3H,s), 6.40(1H,d,J= 6.6Hz), 6.41-6.58(2H,m), 6.72(1H,d,J= 3.0Hz), 7.08(1H,t,J=6.0Hz), 7.18(1H,m), 7.52-7.72(2H,m), 8.05(1H,s), 8.27(1H,dd, J=7.5Hz, 0.3Hz) 8.59(1H,dd,J=7.5Hz, 0.3Hz), 11.48(1H,d,J=6.6Hz). (CDCl ₃)
2-60	134~135	2.34(3H,s), 2.47(3H,s), 6.53(1H,d,J= 7.5Hz), 6.90-7.25(4H,m), 7.31-7.48(2H, m), 7.80(1H,s), 7.18-7.40(2H,m), 11.56 (1H,d,J=7.5Hz). (CDCl ₃)
2-63	167~169	4.01(3H,s), 6.55-6.70(2H,m), 6.80(1H,d, J=3.0Hz), 7.00-7.50(4H,m), 7.95(1H,br), 8.00-8.25(2H,m), 8.68(1H,s), 8.87(1H,t, J=6.75Hz), 11.51(1H,d,J=6.0Hz). (DMSO _d ₆)
2-64	167~169	3.82(3H,s), 6.55-6.70(2H,m), 6.82(1H,d, J=3.0Hz), 6.85-7.60(5H,m), 7.89(1H,m), 8.13(1H,d,J=6.75Hz), 8.58(1H,s), 8.80 (1H,d,J=6.75Hz), 11.42(1H,d,J=6.75Hz). (DMSO _d ₆)
2-65	179~180	3.85(3H,s), 6.55-6.70(2H,m), 6.70(1H,d, J=3.0Hz), 7.00-7.25(3H,m), 7.85-8.05 (3H,m), 8.12(1H,d,J=6.75Hz), 8.45(1H,s) , 8.80(1H,d,J=6.75Hz), 11.45(1H,d,J= 6.75Hz). (DMSO _d ₆)

Table 7 (Continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-66	186~188	3.84(6H, s), 6.58-6.71(2H, m), 6.80(1H, d, J=3.0 Hz), 7.13-7.68(2H, m), 7.10(1H, d, J=7.5Hz), 8.10(1H, d, J=6.75Hz), 8.50(1H, s), 8.80(1H, d, J=6.75Hz), 11.40(1H, d, J=7.5Hz). (DMSO d_6)
2-67	140~141	3.83(3H, s), 3.90(3H, s), 6.40(1H, d, J=7.5Hz), 6.83-7.20(3H, m), 7.25-7.60(5H, m), 7.88(1H, s), 8.18(1H, dd, J=6.0Hz, 1.5Hz), 8.25(1H, dd, J=6.0Hz, 1.5Hz), 11.55(1H, d, J=7.5Hz). (CDCl $_3$)
2-68	176~178	6.55-6.70(2H, m), 6.78(1H, d, J=3.0Hz), 7.18(1H, t, J=6.75Hz), 7.34-7.66(3H, m), 7.78(1H, br), 8.10-8.30(2H, m), 8.76(1H, s), 8.88(1H, d, J=6.75Hz), 11.30(1H, d, J=6.75Hz). (DMSO d_6)
2-69	235~237	6.55-6.75(2H, m), 6.82(1H, d, J=3.0Hz), 7.20(1H, t, J=6.75Hz), 7.35-7.70(2H, m), 7.88-8.30(4H, m), 8.66(1H, s), 8.84(1H, d, J=6.75Hz), 11.32(1H, d, J=6.75Hz). (DMSO d_6)
2-70	222~224	6.55-6.70(2H, m), 6.83(1H, d, J=3.0Hz), 7.18(1H, t, J=6.75Hz), 7.53(2H, d, J=8.25Hz), 7.90-8.20(4H, m), 8.61(1H, s), 8.82(1H, d, J=6.75Hz), 11.38(1H, d, J=6.75Hz). (DMSO d_6)
2-71	233~234	6.55-6.75(2H, m), 6.82(1H, d, J=3.0Hz), 7.16(1H, t, J=6.75Hz), 7.78(2H, d, J=8.25Hz), 7.90(2H, d, J=8.25Hz), 7.98(1H, m), 8.15(1H, d, J=6.75Hz), 8.60(1H, s), 8.83(1H, d, J=6.75Hz), 11.32(1H, d, J=6.75Hz). (DMSO d_6)

Table 7 (Continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-72	249~251	6.55-6.70(2H, m), 6.78(1H, d, J=3.0Hz), 7.19 (1H, t, J=6.75Hz), 7.95-8.45(6H, m), 8.75-8.90 (2H, m), 11.25(1H, d, J=6.75Hz). (DMSO d_6)
2-73	208~210	6.65(2H, m), 6.83(1H, d, J=3.0Hz), 7.21(1H, t, J=6.75Hz), 7.30-7.60(3H, m), 7.95(1H, m), 8.05-8.35(2H, m), 8.54(1H, d, J=4.5Hz), 8.90(1H, d, J=6.75Hz), 11.46(1H, d, J=7.5Hz). (DMSO d_6)
2-78	173~175	2.26(6H, s), 3.92(2H, s), 6.53(1H, d, J=8.1Hz), 6.90-7.11(2H, m), 7.32-7.55(4H, m), 7.63-7.84 (2H, m), 8.28(1H, dd, J=7.5Hz, 0.75Hz), 8.63(1H, dd, J=7.5Hz, 0.75Hz), 11.65(1H, d, J=8.1Hz). (CDCl ₃)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-79	176~177	2.26(6H, s), 3.93(2H, s), 6.36(1H, d, J=8.1Hz), 6.91-7.34(2H, m), 7.43-7.60(6H, m), 7.66-7.85 (2H, m), 8.21-8.38(1H, m), 8.57-8.73(1H, m), 11.65(1H, d, J=8.1Hz). (CDCl ₃)
2-80	~125	
2-81	173	6.58-6.70(2H, m), 6.80(1H, d, J=3.3Hz), 7.32(1H, t, J=6.9Hz), 7.40-7.73(3H, m), 7.88-8.29(4H, m), 8.65(1H, dd, J=1.5Hz, 6.9Hz), 11.05(1H, d, J=7.2 Hz). (DMSO-d ₆)
2-82	169~171	2.70(3H, s), 6.48(1H, d, J=6.3Hz), 7.18(1H, t, J= 6.9Hz), 7.28-7.86(9H, m), 8.12(1H, dd, J=1.5Hz, 6.9Hz), 8.62(1H, dd, J=1.5Hz, 6.9Hz), 11.39(1H, d, J=6.3Hz). (DMSO-d ₆)
2-83	129~131	6.32(1H, d, J=6.3Hz), 6.91(1H, t, J=6.3Hz), 7.15 -7.75(14H, m), 8.05(1H, dd, J=6.3Hz, 1.5Hz), 8.25(1H, dd, J=6.3Hz, 1.5Hz), 11.68(1H, d, J=6.3 Hz). (CDCl ₃)
2-92	145~146	6.31(1H, d, J=8.4Hz), 7.12(1H, t, J=6.5Hz), 7.20 -7.55(4H, m), 7.98(1H, s), 7.30(1H, dd, J=7.5Hz, 1.5Hz), 7.35(1H, dd, J=7.5Hz, 1.5Hz), 10.85(1H, d, J=8.4Hz). (CDCl ₃)
2-104	221~222	6.15-6.70(2H, m), 6.70-6.95(2H, m), 7.20(1H, t, J=6.5Hz), 7.80-7.95(1H, m), 8.27(1H, dd, J=7.5 Hz, 1.5Hz), 8.41(1H, s), 8.83(1H, dd, J=7.5Hz, 1.5Hz), 11.50(1H, d, J=6.0Hz). (DMSO-d ₆)

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Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-109	197~198	2.42(3H,s), 6.29(1H,d,J=8.4Hz), 7.15-7.55(7H,m), 7.70-7.85(2H,m), 7.80(1H,s), 8.05(2H,s), 11.53(1H,d,J=8.4Hz). (CDCl ₃)
2-112	206~207	2.57(3H,s), 2.71((3H,s), 6.32(1H,d,J=6.0Hz), 6.50(1H,d,J=6.3Hz), 6.54(1H,d,J=6.3Hz), 6.67(1H,d,J=3.0Hz), 7.31-7.52(3H,m), 7.55(1H,m), 7.62(1H,s), 7.71-7.92(2H,m), 12.30(1H,d,J=6.0Hz). (CDCl ₃)
2-114	119~121	4.22(2H,s), 6.38(1H,d,J=9.0Hz), 6.92(1H,t,J=8.0Hz), 7.10-7.50(9H,m), 7.55(1H,s), 8.19(2H,d,J=6.3Hz), 11.23(1H,d,J=9.0Hz). (CDCl ₃)
2-115	138~139	5.28(2H,s), 6.44(1H,d,J=9.0Hz), 6.95(1H,t,J=8.0Hz), 7.00-7.50(9H,m), 7.70(1H,s), 8.25(2H,d,J=6.3Hz), 11.21(1H,d,J=9.0Hz). (CDCl ₃)
2-119	127~128	3.50(3H,s), 4.65(2H,s), 6.33-6.50(2H,m), 6.93(1H,t,J=6.3Hz), 7.49(1H,m), 7.62(1H,s), 8.15-8.32(2H,m), 11.25(1H,d,J=7.5Hz). (CDCl ₃)
2-120	108~109	2.31-2.57(4H,m), 3.47(3H,s), 3.55-3.75(4H,m), 3.90(2H,s), 4.63(2H,s), 6.37-6.53(2H,m), 6.93(1H,t,J=6.3Hz), 7.48(1H,m), 8.23(1H,dd,J=7.5Hz,1.5Hz), 8.51(1H,dd,J=7.5Hz,1.5Hz), 11.32(1H,d,J=7.5Hz). (CDCl ₃)
2-121	165~166	2.17(3H,s), 3.80(2H,s), 6.33-6.50(2H,m), 6.60-6.73(1H,m), 6.95(1H,d,J=6.0Hz), 7.50(1H,m), 7.60(1H,s), 8.12-8.30(2H,m), 11.27(1H,d,J=7.5Hz). (CDCl ₃)

Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-122	214~216	2.61(3H,d,J=3.0Hz),4.20(2H,s),6.33- 6.51(2H,m),6.58-6.75(1H,m),7.08(1H,t, J=6.0Hz),7.60(1H,m),8.00(1H,s),8.18 (1H,dd,J=6.0Hz,1.5Hz),8.60(1H,dd,J= 6.0Hz,1.5Hz),11.08(1H,d,J=6.3Hz). (CDCl ₃ , DMSO-d ₆)
2-123	117~118	2.19(3H,s),2.25(6H,s),3.72(2H,s), 3.83(2H,s),6.32-6.48(2H,m),6.65(1H,d, J=3.0Hz),6.98(1H,d,J=6.0Hz),7.50(1H, m),7.72(1H,dd,J=6.0Hz,1.5Hz),8.50(1H, dd,J=6.0Hz,1.5Hz),11.10(1H,d,J=6.6Hz) (CDCl ₃)
2-127	169~171	3.38(3H,br),3.55(3H,br),4.75(2H,s), 6.36-6.51(2H,m),6.59-6.70(1H,m),6.93 (1H,t,J=6.0Hz),7.45-7.55(1H,m),7.78 (1H,s),8.28(1H,d,J=7.5Hz),11.21(1H, br). (CDCl ₃)
2-128	184~186	4.73(2H,s),6.32-6.50(2H,m),6.65(1H,d, J=3.0Hz),6.98(1H,d,J=6.0Hz),7.50(1H, m),7.72(1H,s),8.23(1H,d,J=7.5Hz), 11.10(1H,br). (CDCl ₃)
2-134	120~125 (hydro- chloride)	5.70(1H,br), 6.50(1H,d,J=6.3Hz), 7.16-7.82(8H,m),7.82-8.10(2H,m), 8.16-8.32(1H,m), 8.68(1H,s), 8.82- 9.00(1H,m), 11.21(1H,d,J=6.3Hz). (DMSO-d ₆)
2-135	112~115	2.40(3H,s), 6.35(2H,m), 6.63(1H,d,J= 3.0Hz), 7.20-7.60(8H,m), 8.55(1H,d,J= 6.75Hz), 9.80(1H,d,J=6.75Hz), 9.82 (1H,s), 11.07(1H,d,J=8.5Hz). (CDCl ₃)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-136	171~172	6.50(III,d,J=7.5Hz), 7.10-7.75(8II,m), 7.95(III,m), 8.18(III,d,J=6.75Hz), 8.50 (III,d,J=1.5Hz), 8.90(III,d,J=6.75Hz), 11.20(III,d,J=7.5Hz). (DMSO d_6)
2-137	190~191	6.75(III,d,J=7.5Hz), 7.05-7.55(6II,m), 7.72(III,d,J=6.0Hz), 7.95-8.25(III,m), 8.15(III,d,J=6.75Hz), 8.48(III,d,J= 1.5Hz), 8.88(III,d,J=6.75Hz), 11.25(III, d,J=7.5Hz). (DMSO d_6)
2-138	192~193	3.83(3II,s), 3.91(3II,s), 6.58(III,d,J= 7.5Hz), 6.80-7.15(3II,m), 7.82(II,s), 8.23(2II,m), 11.58(III,d,J=7.5Hz). (CDCl ₃)
2-139	184~185	2.38(6II,s), 2.43(3II,s), 6.32(III,d,J= 7.5Hz), 6.32-6.49(2II,m), 6.61(III,m), 6.95-7.20(2II,m), 7.50(III,m), 6.62(2II, m), 8.05(III,m), 11.50(III,d,J=7.5Hz). (CDCl ₃)
2-140	215~217	6.77(III,d,J=7.5Hz), 7.08-7.15(III,m), 7.52(III,d,J=3.0Hz), 7.77(III,dd,J=6.0, 3.0Hz), 7.85-8.20(3II,m), 8.58(III,s), 8.80(III,d,J=6.75Hz), 11.25(III,d,J= 7.5Hz). (DMSO d_6)
2-141	220~222	6.75(III,d,J=7.5Hz), 7.10-7.50(5II,m), 7.77(III,dd,J=3.75,5.25Hz), 7.90-8.35 (2II,m), 8.50(III,d,J=1.0Hz), 8.90(III,d, J=6.75Hz), 11.13(III,d,J=7.5Hz). (DMSO d_6)
2-142	154~156	6.58(III,d,J=7.5Hz), 6.80-7.50(7II,m), 8.05(III,t,J=1.5Hz), 8.15-8.40(2II,m), 11.56(III,d,J=7.5Hz). (CDCl ₃)

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Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-143	165~166	5.10(2H,s), 6.52(1H,d,J=7.5Hz), 7.00-7.21(2H,m), 7.40-7.60(4H,m), 7.72-7.88(2H,m), 8.28(1H,m), 8.68(1H,m), 11.63(1H,d,J=7.5Hz). (CDCl ₃)
2-144	181~182	3.00(6H,s), 6.55(1H,d,J=7.5Hz), 6.73(2H,d,J=9.0Hz), 6.82-7.15(2H,m), 7.20(2H,d,J=9.0Hz), 7.32-7.50(2H,m), 7.74(1H,s), 8.15(2H,m), 11.70(1H,d,J=7.54Hz). (CDCl ₃)
2-145	202~204	6.70-6.85(1H,m), 7.03-7.19(1H,m), 7.38-7.50(1H,m), 7.60-7.83(2H,m), 8.08-8.63(5H,m), 9.67(1H,dd,J=1.35,7.35Hz), 10.49(1H,d,J=6.75Hz). (DMSO-d ₆)
2-146	182~184 (decomp.)	6.35(1H,d,J=7.65Hz), 6.99-7.16(1H,m), 7.21-7.33(1H,m), 7.36-7.66(5H,m), 7.70-7.92(2H,m), 8.58(1H,dd,J=1.35,7.5Hz), 9.83(1H,dd,J=1.35,7.2Hz), 10.15(1H,s), 11.19(1H,d,J=7.65Hz). (CDCl ₃)
2-147	162~164	6.58(1H,d,J=7.5Hz), 6.80-7.50(7H,m), 8.05(1H,d,J=1.5Hz), 8.15-8.40(2H,m), 11.56(1H,d,J=7.5Hz). (CDCl ₃)
2-148	177~179	6.57(1H,d,J=7.8Hz), 6.99-7.12(1H,m), 7.15-7.62(11H,m), 7.68-7.86(2H,m), 8.50(1H,dd,J=1.35,7.5Hz), 8.88(1H,s), 10.30(1H,dd,J=1.35,7.5Hz), 11.42(1H,d,J=7.8Hz). (CDCl ₃)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 2-149	208~210	2.63(3H, s), 6.71(1H, d, $J=7.5$ Hz), 7.00-7.18(1H, m), 7.38-7.50(1H, m), 7.56-7.82(3H, m), 8.14(1H, dd, $J=1.35, 8.25$ Hz), 8.48-8.63(2H, m), 9.58-9.73(1H, m), 10.51(1H, d, $J=7.5$ Hz). (DMSO d_6)
10 2-150	149~151	2.43(3H, s), 6.60(1H, d, $J=7.5$ Hz), 7.22(1H, t, $J=6.75$ Hz), 7.40-7.80(5H, m), 7.94(1H, s), 8.15(1H, dd, $J=6.75, 1.50$ Hz), 8.85(1H, dd, $J=6.75, 1.50$ Hz), 11.28(1H, d, $J=7.51$ Hz). (DMSO d_6)
15 2-151	134~135	1.30(3H, t, $J=7.0$ Hz), 2.80(2H, q, $J=7.0$ Hz), 6.40(1H, d, $J=7.5$ Hz), 6.93(1H, t, $J=6.75$ Hz), 7.30-7.75(6H, m), 8.08-8.30(2H, m), 11.52(1H, d, $J=7.5$ Hz). (CDCl ₃) ¹
20 2-152	141~143	2.45(3H, s), 6.42(1H, d, $J=7.5$ Hz), 7.05-7.38(1H, m), 7.40-7.85(6H, m), 8.13(1H, d, $J=6.75$ Hz), 8.40(1H, s), 8.85(1H, d, $J=6.75$ Hz), 11.18(1H, d, $J=7.5$ Hz). (DMSO d_6)
25 2-153	235~237	6.40(1H, d, $J=7.5$ Hz), 7.00-7.40(3H, m), 7.45-8.00(7H, m), 8.12(1H, d, $J=6.75$ Hz), 8.50(1H, s), 8.80(1H, d, $J=6.75$ Hz), 11.19(1H, d, $J=7.5$ Hz). (DMSO d_6)
30 2-154		2.85(3H, s), 6.55(1H, d, $J=7.5$ Hz), 7.09(1H, m), 7.20-7.55(3H, m), 8.60(1H, d, $J=6.75$ Hz), 9.60(1H, d, $J=6.75$ Hz), 10.85(1H, d, $J=7.5$ Hz). (CDCl ₃)
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Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-155	163~165	6.53(III,d,J=7.5Hz), 6.95(III,t,J=6.75Hz), 7.00-7.30(III,m), 7.32-7.76(III,m), 7.92(III,s), 8.21(2II,m), 11.57(III,d,J=7.5Hz). (CDCl ₃)
2-156	111~115 (hydrochloride)	6.75(III,d,J=6.75Hz), 6.68-7.11(III,br), 7.11-7.82(7II,m), 7.88-8.11(2II,m), 8.23(III,dd,J=1.35,7.5Hz), 8.68(III,s), 8.92(III,dd,J=1.35,7.5Hz), 11.26(III,d,J=6.75Hz). (DMSO-d ₆)
2-157	174~176	6.52(III,d,J=7.5Hz), 7.00-7.19(2II,m), 7.36-7.58(5II,m), 7.98-8.16(2II,m), 8.25-8.48(2II,m), 11.27(III,d,J=7.5Hz). (CDCl ₃)
2-158	167~168	6.57(III,d,J=8.4Hz), 7.02-7.18(III,m), 7.38-7.70(3II,m), 8.63(III,dd,J=1.35,7.5Hz), 8.66(III,s), 9.61(III,dd,J=1.35,7.5Hz), 10.70(III,d,J=8.4Hz). (CDCl ₃ , DMSO-d ₆)
2-159	238~240 (decomp.)	2.58(3II,s), 6.68(II,d,J=6.9Hz), 7.03-7.25(2II,m), 7.46-7.72(3II,m), 8.01-8.24(2II,m), 8.45-8.52(II,m), 8.63(II,s), 8.70-8.86(II,m), 11.11(II,d,J=6.9Hz). (DMSO-d ₆)
2-160	219~220 (decomp.)	6.67(II,d,J=7.2Hz), 6.92-7.10(II,m), 7.27-7.39(II,m), 7.49-7.64(II,m), 7.68-8.02(3II,m), 8.19-8.38(II,m), 8.52(II,dd,J=1.35,7.35Hz), 9.62(II,dd,J=1.35,7.35Hz), 10.37(II,d,J=7.2Hz). (DMSO-d ₆)

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Table 7 (continued)

Compound No.	m.p.(°C)	NMR (δ value)
2-161	265 (decomp.)	6.72(1H,d,J=6.6Hz), 7.10-7.57(3H,m), 7.66-8.01(5H,m), 8.23(1H,dd,J=1.35, 7.5Hz), 8.70(1H,s), 8.91(1H,dd,J=1.35, 7.5Hz), 11.09(1H,d,J=6.6Hz), 13.82(1H,s). (DMSO d_6)
2-162	17.8~179	6.48(1H,d,J=6.5Hz), 7.00(1H,t,J=6.5Hz), 7.00-7.20(1H,m), 8.10(1H,m) 8.20-8.35(2H,m), 8.41(1H,s), 11.18(1H,d,J=6.5Hz). (DMSO d_6)
2-163	212~213	3.71(3H,s), 3.97(3H,s), 6.58(1H,d,J=6.5Hz), 6.85-7.25(4H,m), 7.45-7.75(3H,m), 8.21(1H, d,J=7.5Hz), 8.40(1H,s), 8.52(1H,d,J=7.5Hz), 11.50(1H,d,J=6.5Hz). (DMSO d_6)
2-164	amorphus (triethylamine)	1.36(9H,t,J=7.5Hz), 2.65(3H,s), 3.17(6H,q, J=7.5Hz), 6.56(1H,d,J=7.8Hz), 6.92-7.18(2H, m), 7.28-7.50(2H,m), 8.28(1H,dd,J=1.35, 7.5Hz), 9.00(1H,dd,J=1.35, 7.5Hz), 11.44(1H, d,J=7.8Hz). (CDCl ₃)
2-165	150~152	2.53(3H,s), 2.69(3H,s), 6.55(1H,d,J=7.5Hz), 7.01-7.32(2H,m), 7.36-7.81(3H,m), 8.32-8.50(1H,m), 8.97(1H,dd,J=1.35, 6.6Hz), 11.19(1H,d,J=7.5Hz). (DMSO d_6 +CDCl ₃)
2-166	183~186 (p-loluenesulfonate)	2.29(3H,s), 6.00-6.39(1H,br), 6.73(1H,d,J=7.67-7.78(1H,m), 7.85-8.01(2H,m), 8.19(1H, dd,J=1.35, 7.5Hz), 8.62(1H,s), 8.87(1H,dd, J=1.35, 7.5Hz), 11.19(1H,d,J=7.2Hz). (DMSO d_6)

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Table 7 (continued)

Compound No.	m.p.(°C)	NMR(δ value)
5 2-167	195~196	6.73(1H,d,J=7.5Hz), 7.03-7.33(2H,m), 7.36-7.48(1H,m), 7.65(1H,dd,J=1.35, 5.4Hz), 8.17(1H,dd,J=1.35, 7.8Hz), 8.25(1H,s), 8.78(1H,dd,J=1.35, 7.2Hz), 10.42(1H,d,J=7.5Hz). (DMSO d_6)
10 2-168	253~256	6.55-6.75(3H,m), 7.20(1H,t,J=6.75Hz), 8.00-8.50(5H,m), 8.75-8.90(2H,m), 11.25(1H,d,J=6.0Hz). (DMSO d_6)
15 2-169	196~198	1.15(3H,t,J=7.0Hz), 2.80(3H,s), 3.67(2H,q, J=7.0Hz), 5.74(1H,d,J=9.0Hz), 7.40-7.90(2H,m), 7.60(1H,t,J=6.75Hz), 8.50(1H,d,J=6.75Hz), 9.60(1H,d,J=6.75Hz), 10.50(1H,d,J=9.0Hz). (DMSO d_6)
20 2-170	185~187	2.72(3H,s), 6.52(1H,d,J=7.5Hz), 7.40-7.75(6H,m), 8.50(1H,d,J=6.75Hz), 10.72(1H,d,J=7.5Hz) (DMSO d_6)
25 2-171	222~223	6.75(1H,d,J=6.5Hz), 7.10-7.30(2H,m), 7.55(1H,m), 7.65-8.80(2H,m), 7.95(1H,d,J=3.5Hz), 8.20(1H,d,J=6.75Hz), 8.64(1H,s), 8.85(1H,d, J=6.75Hz), 10.98(1H,d,J=6.5Hz). (DMSO d_6)
30 2-172	118~120	5.05(2H,br), 6.54(1H,d,J=7.2Hz), 7.21-7.85(6H,m), 8.16-8.31(1H,m), 8.72-8.98(2H,m), 10.48(1H,d,J=7.2Hz). (DMSO d_6)
35 2-173	178~180 (hydrochloride)	6.78(1H,d,J=6.5Hz), 7.20(1H,t,J=6.5Hz), 7.30-7.82(7H,m), 8.10(1H,m), 8.56(1H,s), 8.84(1H,m), 11.18(1H,d,J=6.5Hz). (DMSO d_6)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 2-174	188~190 (hydrochloride)	6.73(II,d,J=6.5Hz), 6.95(II,t,J=6.5Hz), 7.00-7.98(8II,m), 8.20(III,m) 8.25(II,s), .8.61(III,m), 11.25(III,d,J=6.5Hz). (DMSO _d ₆)
10 2-175	158~159	4.00(3II,s), 6.75(II,d,J=7.5Hz), 6.93- 7.45(5II,m), 7.55(III,m), 7.75(II,m), 7.95-8.30(2II,m), 8.58(III,s), 8.85(II,d, J=6.75Hz), 11.45(III,d,J=7.5Hz). (DMSO _d ₆)
15 2-176	160~161	3.75(3II,s), 6.78(II,d,J=7.5Hz), 6.85- 7.63(7II,m), 7.72(II,dd,J=6.0,1.0Hz), 8.17(II,dd,J=6.75,1.5Hz), 8.59(III,s), 8.82(II,dd,J=6.75,1.5Hz), 11.35(II,d,J=7.5Hz). (DMSO _d ₆)
20 2-177	229~230	3.86(3II,s), 6.74(II,d,J=7.5Hz), 6.90- 7.25(3II,m), 7.50(III,m), 7.72(II,dd,J=6.0,1.0Hz), 7.88(2II,d,J=8.5Hz), 8.12 (II,dd,J=6.75,1.5Hz), 8.42(III,s), 8.88 (II,dd,J=6.75,1.5Hz), 11.40(II,d,J=7.5Hz). (DMSO _d ₆)
25 2-178	172~173	6.78(II,d,J=7.5Hz), 7.15-7.85(6II,m), 8.00-8.35(3II,m), 8.85(II,s), 8.95(II,d, J=6.75Hz), 11.25(III,d,J=7.5Hz). (DMSO _d ₆)
30 2-179	225~226	6.75(II,d,J=7.5Hz), 7.05-7.30(2II,m), 7.40-7.60(3II,m), 7.65-8.05(3II,m), 8.18 (II,d,J=6.75Hz), 8.65(II,s), 8.81(II,d, J=6.75Hz), 11.23(II,d,J=7.5Hz). (DMSO _d ₆)
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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 2-180	228~229	6.75(1H,d,J=7.5Hz), 7.00-7.30(2H,m), 7.40-7.65(2H,m), 7.77(1H,dd,J=6.0, 1.0Hz), 7.95(2H,d,J=8.5Hz), 8.15(1H,dd, J=6.75,1.5Hz), 8.63(1H,s), 8.84(1H,dd, J=6.75,1.5Hz), 11.35(1H,d,J=7.5Hz). (DMSO d_6)
10 2-181	179~180	2.37(3H,s), 2.48(3H,s), 6.67(1H,d,J= 7.5Hz), 6.91-7.18(4H,m), 7.33-7.45(2H, m), 7.60(1H,d,J=9.0Hz), 7.78(1H,s), 8.28-8.40(2H,m), 11.53(1H,d,J=7.5Hz). (CDCl ₃)
15 2-182	193~195	2.30(6H,s), 6.50(1H,d,J=7.5Hz), 6.91 (1H,t,J=6.0Hz), 7.03-7.30(3H,m)7.39- 7.51(2H,m), 7.53-7.65(1H,m), 7.85(1H,s) , 8.10-8.28(2H,m), 11.60(1H,d,J=7.5Hz). (CDCl ₃)
20 2-183	205~207	2.50(6H,br), 6.75(1H,d,J=8.5Hz), 7.00- 7.30(4H,m), 7.38-7.53(1H,m), 7.65-7.85 (2H,m), 8.14(1H,d,J=6.75Hz), 8.35(1H,s) , 8.85(1H,d,J=6.75Hz), 11.28(1H,d,J= 8.5Hz). (DMSO d_6)
25 2-184	103~104	1.34(9H,s), 2.50(3H,s), 6.60(1H,d,J= 8.5Hz), 6.80-7.15(2H,m), 7.20-7.45(4H, m), 7.60-7.85(2H,m), 8.18(1H,d,J= 6.75Hz), 8.30(1H,d,J=6.75Hz), 11.59(1H, d,J=8.5Hz). (CDCl ₃)
30 2-185	129~130	1.32(9H,s), 2.45(3H,s), 6.65(1H,d,J= 8.5Hz), 6.80-7.20(2H,m), 7.21-7.51(4H, m), 7.75-7.90(2H,m), 8.21(1H,d,J= 6.75Hz), 8.32(1H,d,J=6.75Hz), 11.55(1H, d,J=8.5Hz). (CDCl ₃)
35 40 45 50 55		

T a b l e 7 (continued)		N M R (δ value)
Compound No.	m.p. (°C)	
5 2-186	159~160	2.35(3H,s), 6.75(1H,d,J=8.5Hz), 7.08 -7.30(3H,m), 7.38-7.56(2H,m), 7.72(1H, d,J=1.5Hz), 7.90(1H,m), 8.18(1H,d,J= 6.75Hz), 8.78(1H,s), 8.92(1H,d,J=6.75 Hz), 11.18(1H,d,J=8.5Hz). (DMSO d_6)
10 2-187	184~185	2.40(3H,s), 6.75(1H,d,J=7.5Hz), 7.00- 7.90(8H,m), 8.18(1H,d,J=6.75Hz), 8.58 (1H,s), 8.85(1H,d,J=6.75Hz), 11.43(1H, d,J=7.5Hz). (DMSO d_6)
15 2-188	218~220	2.35(3H,s), 6.76(1H,d,J=7.5Hz), 7.00- 7.40(4H,m), 7.54(1H,m), 7.65-7.95(3H,m) .8.13(1H,dd,J=6.75,1.5Hz), 8.50(1H,s), 8.83(1H,dd,J=6.75,1.5Hz), 11.38(1H,d, J=7.5Hz). (DMSO d_6)
20 2-189	186~188 (decomp.)	2.67(3H,s), 6.61(1H,d,J=7.8Hz), 6.92 -7.16(2H,m), 7.33-7.67(6H,m), 7.86- 8.07(2H,m), 8.23(1H,dd,J=1.35,6.45Hz), 8.61(1H,dd,J=1.35,6.45Hz), 8.71(1H,s), 11.33(1H,d,J=7.8Hz). (CDCl ₃)
25 2-190	132~134	6.49(1H,d,J=7.8Hz), 6.90-7.50(10H,m), 8.10-8.30(2H,m), 10.71(1H,d,J=7.8Hz). (CDCl ₃)
30 2-191	155~157	6.71(1H,d,J=7.5Hz), 6.99-7.19(2H,m), 7.20-7.53(6H,m), 7.60(1H,dd,J=1.35, 5.1Hz), 8.13(1H,dd,J=1.35,7.5Hz), 8.38 (1H,s), 8.88(1H,dd,J=1.35,6.75Hz), 10.80(1H,d,J=7.5Hz). (DMSO d_6)
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Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-194	235~237	6.75(1H,d,J=6.3Hz), 7.05-7.34(2H,m), 7.50-7.60(3H,m), 7.78-8.07(5H,m), 8.15 (1H,dd,J=1.5,6.45Hz), 8.39-8.47(1H,m), 8.69(1H,s), 8.83(1H,dd,J=1.5, 6.45Hz), 11.38(1H,d,J=6.3Hz). (DMSO d_6)
2-195	170~172	2.37(3H,s), 3.02(2H,br), 6.60(1H,d,J= 8.1Hz), 6.70-7.14(2H,m), 7.35-7.51(2H, m), 8.00-8.29(2H,m), 11.49(1H,d,J= 8.1Hz). (CDCl ₃)
2-196	187~189	2.20-2.45(12H,m), 6.74(1H,d,J=8.5Hz), 7.00-7.28(2H,m), 7.35(1H,s), 7.45(1H,d, J=3.0Hz), 7.63(1H,d,J=6.0Hz), 8.05- 8.28(2H,m), 8.82(1H,d,J=6.75Hz), 11.40 (1H,d,J=8.5Hz). (DMSO d_6)
2-197	118~120	1.35(3H,t,J=7.0Hz), 2.76(2H,q,J=7.0Hz) , 6.62(1H,d,J=7.5Hz), 6.85-7.10(2H,m), 7.35(1H,m), 7.65(1H,d,J=4.5Hz), 7.75(1 H,s), 8.98(1H,d,J=6.75,1.5Hz), 8.62(1H, d,J=6.75Hz), 11.27(1H,d,J=7.5Hz). (DMSO d_6)
2-200	195~196	1.23(3H,t,J=7.0Hz), 2.66(2H,q,J=7.0Hz) , 6.71(1H,d,J=8.5Hz), 7.00-7.40(4H,m), 7.52(1H,d,J=3.0Hz), 7.60-7.90(3H,m), 8.10(1H,d,J=6.75Hz), 8.43(1H,s), 8.75 (1H,d,J=6.75Hz), 11.40(1H,d,J=8.5Hz). (DMSO d_6)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
5 2-201	237~238	6.72(III,d,J=8.5Hz), 7.05-7.27(2II,m), 7.45-7.95(6II,m), 8.13(III,dd,J=6.75, 1.5Hz), 8.55(III,s), 8.80(III,dd,J=6.75, 1.5Hz), 11.26(III,d,J=8.5Hz). (DMSO d_6)
10 2-202	91~94	1.03-1.37(6II,m), 1.47-3.00(4II,m), 6.82(III,d,J=7.5Hz), 7.05-7.31(4II,m), 7.38-7.49(III,m), 7.52-7.61(III,m), 7.63-7.76(III,m), 8.15(III,d,J=1.35, 7.5Hz), 8.38(III,s), 8.88(III,dd,J=1.35, 6.95Hz), 11.21(III,d,J=7.5Hz). (DMSO d_6)
15 2-203	189~190	2.54(3II,s), 6.75(III,d,J=8.5Hz), 7.05-7.40(4II,m), 7.48(III,m), 7.70(III,m), 7.93(III,m), 8.25(III,d,J=6.75Hz), 8.50(III,s), 8.85(III,d,J=6.75Hz), 11.12(III,d,J=8.5Hz). (DMSO d_6)
20 2-204	141~142	1.18(3II,t,J=7.8Hz), 2.44(3II,s), 2.60(2II,q,J=7.8Hz), 6.77(III,d,J=7.2Hz), 7.02-7.30(3II,m), 7.40-7.52(III,m), 7.63-7.77(2II,m), 8.13(III,dd,J=1.35, 7.5Hz), 8.41(III,s), 8.83(III,dd,J=1.35, 6.75Hz), 11.28(III,d,J=7.2Hz). (DMSO d_6)
25 2-209	195~197	2.62(3II,s), 2.81(3II,s), 6.65(III,d,J=8.5Hz), 6.90-7.50(5II,m), 7.80-8.15(3II,m), 8.42(III,s), 8.78(III,d,J=6.75Hz), 11.08(III,d,J=8.5Hz). (DMSO d_6)
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Table 7 (continued)

Compound No.	m.p. (°C)	N M R (δ value)
2-210	237~238	2.61(3H,s), 3.22(3H,s), 6.74(1H,d,J=8.5Hz), 7.05-7.35(2H,m), 7.48(1H,m), 7.65-8.22(5H,m), 8.58(1H,s), 8.88(1H,d,J=6.75Hz), 11.18(1H,d,J=8.5Hz). (DMSO _d ₆)
2-211	201~202	2.30(3H,s), 3.94(3H,s), 6.49(1H,d,J=7.5Hz), 6.91(1H,t,J=7.5Hz), 7.00-7.30(3H,m), 7.35-7.52(3H,m), 7.89(1H,d,J=2.0Hz), 8.22(1H,s), 8.18(1H,dd,J=7.5,1.5Hz), 8.25(1H,dd,J=7.5,1.5Hz), 11.62(1H,d,J=7.5Hz). (CDCl ₃)
2-212	244~245	4.00(3H,s), 6.50(1H,d,J=7.5Hz), 6.99-7.35(3H,m), 7.48-7.61(2H,m), 8.05-8.25(2H,m), 8.42(1H,s), 8.59(1H,dd,J=7.5,1.5Hz), 11.29(1H,d,J=7.5Hz). (DMSO _d ₆ +CDCl ₃)
2-213	138~139	1.10-1.40(12H,m), 2.75-3.15(1H,m), 3.55-3.90(1H,m), 6.82(1H,d,J=8.5Hz), 7.05-7.70(7H,m), 8.18(1H,d,J=6.75Hz), 8.23(1H,s), 8.89(1H,d,J=6.75Hz), 11.20(1H,d,J=8.5Hz). (DMSO _d ₆)
2-214	153~154	1.12-1.31(6H,m), 1.30(3H,d,J=6.0Hz), 2.70-3.18(1H,m), 3.40-3.70(1H,m), 6.67(1H,d,J=8.5Hz), 6.90-7.20(2H,m), 7.70(1H,s), 8.15-8.40(2H,m), 11.42(1H,d,J=8.5Hz). (CDCl ₃)

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Table 7 (continued)

Compound No.	m.p. (°C)	NMR (δ value)
2-215	207~209	1.32(3H, d, J=7.5Hz), 2.75-3.15(1H, m), 6.55(1H, d, J=7.5Hz), 6.98(1H, t, J=6.0Hz), 7.08-7.18(1H, m), 7.28(1H, d, J=8.5Hz), 7.35-7.55(2H, m), 7.75(2H, d, J=8.5Hz), 7.89(1H, s), 8.20(1H, dd, J=6.0, 1.5Hz), 8.25(1H, dd, J=6.0, 1.5Hz), 11.60(1H, d, J=7.5Hz). (CDCl ₃)
2-231	175~176	6.50(1H, d, J=7.5Hz), 7.05-7.15(1H, m), 7.35-7.50(4H, m), 7.80-8.00(1H, m), 7.85(1H, t, J=4.5Hz), 8.32(1H, s), 8.50(1H, d, J=4.5Hz), 11.12(1H, d, J=7.5Hz). (CDCl ₃)
2-232	209~210	6.48(1H, d, J=7.5Hz), 7.05-7.20(1H, m), 7.35-7.55(4H, m), 7.75-7.95(1H, m), 7.82(1H, s), 8.38(1H, s), 10.98(1H, d, J=7.5Hz). (CDCl ₃)

Formulation Example 1

Emulsifiable concentrates, as prepared by blending the following components:

Compound No. 2-2	20% (by weight)
xylene	75%
polyoxyethylenealkylaryl ether (Nonipol 85 ^R)	5%

Formulation Example 2

Wettable powders, as prepared by blending and grinding the following components:

Compound No. 2-24	50% (by weight)
diatomaceous earth	44%
polyoxyethylenealkylaryl ether (Nonipol 85 ^R)	6%

Formulation Example 3

Powders, as prepared by blending the following mixture:

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Compound No. 2-27	3% (by weight)
clay	40%
talc	57%

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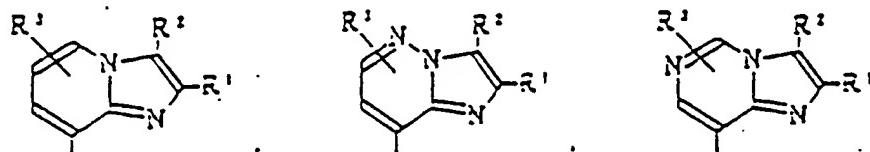
Claims

Claims for the following Contracting States : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

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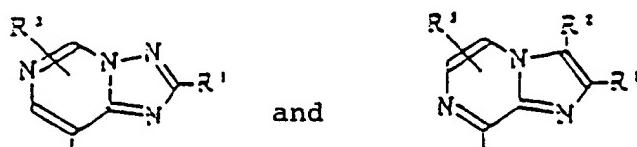
1. A condensed heterocyclic compound of the formula: or an agriculturally acceptable salt thereof, wherein:
 (1) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the group consisting of

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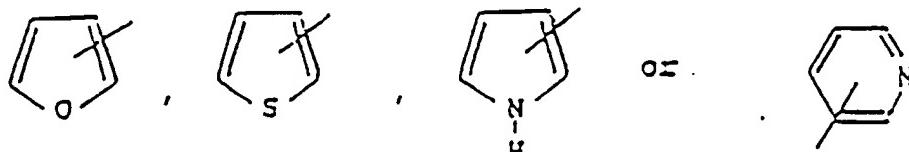
in which R¹ is C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, alkoxy carbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

R² and R³ are hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or dialkylsulfamoyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

X is hydrogen ; a group attached through a carbon atom selected from the group consisting of C₁₋₁₀ alkyl, C₂₋₄ alkenyl, C₁₋₁₀ haloalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkenyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl and a heterocyclic ring of the formula

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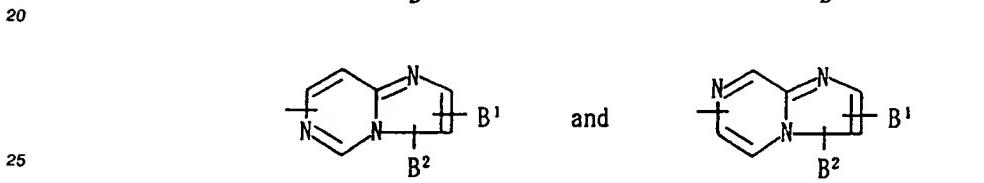
a group attached through an oxygen atom selected from the group consisting of C₁₋₁₀ alkoxy, C₆₋₁₀ aryloxy and C₇₋₁₀ aralkyloxy; a group attached through a sulfur atom selected from the group consisting of C₁₋₁₀ alkylthio, C₆₋₁₀ arylthio and C₇₋₁₀ aralkylthio; a group attached through a nitrogen atom selected from the group consisting of C₁₋₁₀ alkylamino, C₆₋₁₀ arylamino, C₇₋₁₀ aralkylamino and a heterocyclic ring of the formula



10 wherein each of said groups attached through a carbon atom, an oxygen atom, a sulfur atom or a nitrogen atom is unsubstituted or substituted with one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, carboxyl, sulfonyl, halogen, C₁-4 alkoxy, C₁-4 alkylthio, phenylthio and benzylthio; and Y is cyano, carbamoyl, thiocarbamoyl or trichloromethyl group; or (2) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the group consisting of

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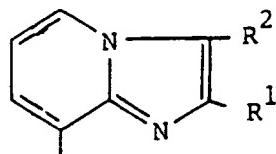
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in which the substituents B¹ and B² on the condensed heterocyclic group for Q may be the same or different each other, and are hydrogen atom, nitro, amino, hydroxyl, cyano, C₁-3 acyl, carbamoyl, carboxyl, C₁-4 alkoxy carbonyl, sulfo, halogen, C₁-4 alkoxy, C₆-10 aryloxy, C₆-10 arylcarbonyl, C₆-10 aryl, C₇-10 aralkyl, C₃-7 cycloalkyl, C₁-4 alkylthio, C₆-10 arylthio, C₇-10 aralkylthio, mono- or di-C₁-4 alkylsulfamoyl, C₁-6 alkyl, mono-, di-, tri- or tetra-halogen-substituted phenyl, mono-, di-, tri- or tetra-C₁-4 alkyl-substituted phenyl, mono-, di-, tri- or tetra-C₁-4 alkoxy-substituted phenyl, mono-, di-, tri- or tetra-C₁-4 alkylthio-substituted phenyl, 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, or 5 or 6 membered heterocyclic group selected from pyridyl, furyl, thienyl and thiazolyl; and X and Y have the same meaning as defined above;

or (3) Q is:

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and

- R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
- or R¹ is methyl; R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
- or R¹ is methyl, R² is isopropylthiomethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is 2-cyanoethyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is methyl, R² is 2-methyl-1-propenyl, X is 3-fluorophenyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 2-N-methylpyrrolyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 3-trifluoromethylphenyl and Y is cyano;
- or R¹ is phenyl, R² is hydrogen, X is 3-methylphenyl and Y is cyano;

or R¹ is phenyl, R² is hydrogen, X is 5-methylfur-2-yl and Y is cyano;
 or R¹ is phenyl, R² is hydrogen, X is 2-pyridylmethyl and Y is cyano;
 or R¹ is phenyl, R² is hydrogen, X is 3-methylthien-2-yl and Y is cyano;
 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is 2-cyanoethyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is 2-methyl-1-propenyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is 2,2,2-trichloro-1-hydroxyethyl, X is 2-furyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is 2-methyl-1-propenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is ethoxyiminomethyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is phenoxyethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylthiomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylsulfinylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenoxyethyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is methoxymethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methoxymethyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylsulfinylmethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
 or R¹ is methylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is morpholinomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is diethoxyphosphorylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is (dimethylthiocarbamoyl)thiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is chloromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is phenylcarbamoyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is hydrogen, R² is 1-hydroxybenzyl, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is sulfomethyl, X is 2-thienyl and Y is cyano;
 or R¹ is 4-dimethylaminophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 or R¹ is biphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 4-sulfophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is hydrogen, X is 3-fluorophenyl and Y is formamide;
 or R¹ is 3-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is methyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 or R¹ is 2-dimethylcarbamoylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methoxymethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfinylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfonylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 4-cyanophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 3-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-5-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;

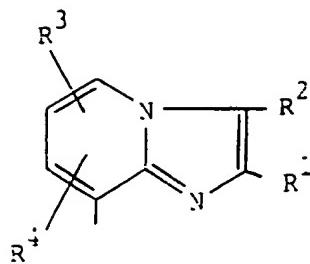
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or (4) Q is:

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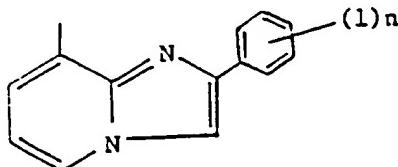


and

15 R¹ is methyl, R² is N,N-dimethylaminomethyl, R³ is 5-methyl, R⁴ is hydrogen, X is 2-thienyl and
Y is cyano;
or R¹ is phenyl, R² is hydrogen, R³ is 5-methyl, R⁴ is 7-methyl, X is 2-furyl and Y is cyano;
or R¹ is phenyl, R² is hydrogen, R³ is 5-trifluoromethyl, R⁴ is 7-trifluoromethyl, X is 2-furyl and Y
is cyano;
20 or R¹ is phenyl, R² is hydrogen, R³ is 5-hydroxy, R⁴ is 6-chloro, X is 2-thienyl and Y is cyano.

2. A compound or claim 1, wherein Q is

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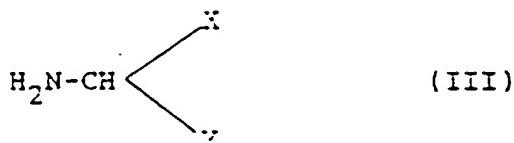
wherein n is 0, 1, 2, 3 or 4 and I is the same or different and selected from a group comprising a C₁-4 alkyl, C₁-4 alkoxy, C₁-4 alkylthio or halogen,
X is phenyl, fluorine-substituted phenyl, thienyl or furyl group, and
Y is cyano,
35 or its salt.

3. A compound of claim 1, which is α -(2-phenylimidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-thienyl)-acetonitrile or its salt.
- 40 4. A compound of claim 1, which is α -{2-(2-methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 45 5. A compound of claim 1, which is α -{2-(3-methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 50 6. A compound of claim 1, which is α -{2-(2,4-dimethoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
7. A compound of claim 1, which is α -{2-(2,4-diisopropylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 55 8. A compound of claim 1, which is α -{2-(3-trifluoromethylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
9. A method for preparing a condensed heterocyclic compound of the formula (I) in claim 1 or its salt
which comprises reacting a compound of the formula

Q-CO-Z (II)

wherein Q has the same meaning as defined in claim 1 and COZ denotes a carboxylic group or its reactive derivative or its salt with a compound of the general formula

5



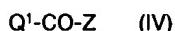
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wherein X and Y are each as defined in claim 1, or its salt.

10. A fungicidal composition comprising a condensed heterocyclic compound of the formula (I) in claim 1
15 or its salt, and a carrier or diluent.

11. A condensed heterocyclic carboxylic acid compound of the formula:

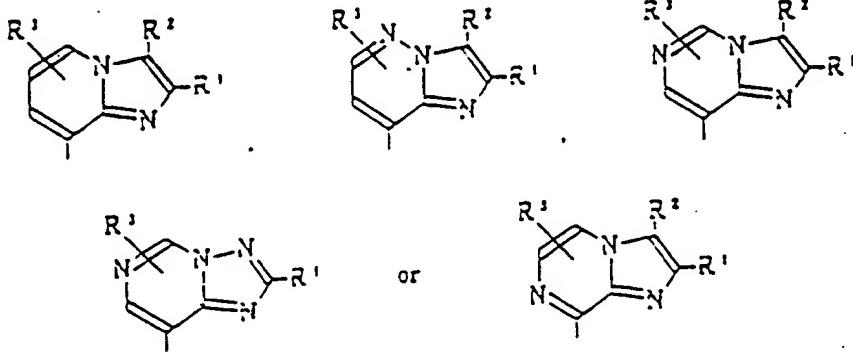
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wherein Q¹ is a condensed heterocyclic group having a nitrogen atom in the bridgehead as follows

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in which R¹ is an C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, 40 alkoxycarbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

R² and R³ are hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or dialkylsulfamoyl, alkoxycarbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group,
45 and COZ denotes a carboxylic group or its reactive derivative; or its salt.

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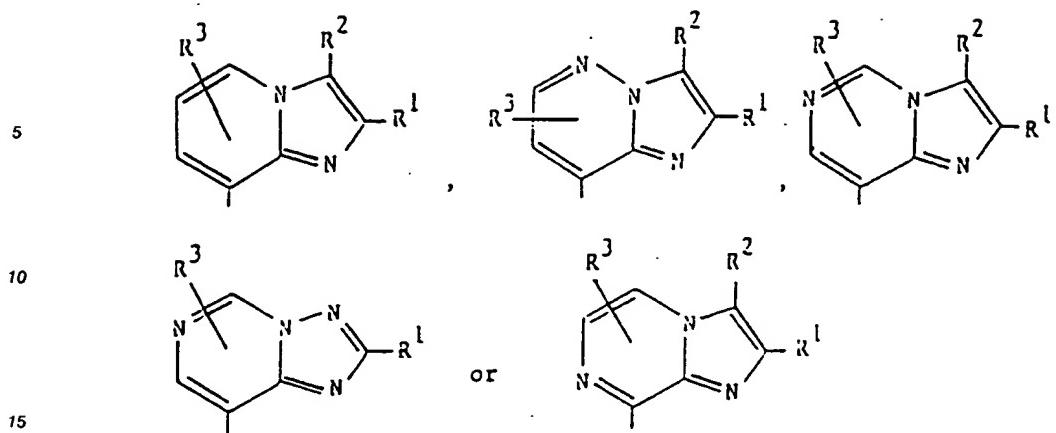
12. A process for preparing a compound of the formula

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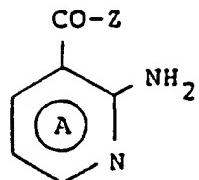


wherein Q² is a group of the general formula:

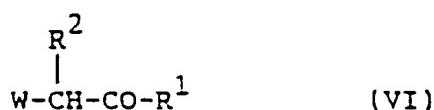
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in which R¹, R² and R³ have the same meanings as defined in claim 11 or its salt which comprises reacting a compound of the formula:



wherein the ring \textcircled{A} is a pyridine, pyridazine, pyrimidine or pyrazine group which is unsubstituted or substituted by an C_{1-6} alkyl, halogen, nitro, amino, sulfo, mono- or di-alkylsulfonyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group, and COZ denotes a carboxylic group or its reactive derivative, or its salt with a compound of the formula:



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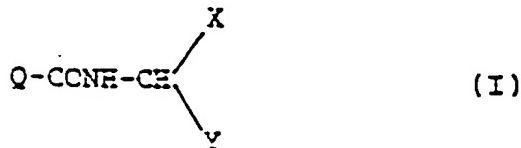
wherein W is a halogen atom, and R¹ and R² have the same meanings as defined above.

- 50 13. Use of a compound as claimed in claims 1 to 8 as fungicide more particularly for controlling various kinds of plant blight such as downy mildew and blight.

Claims for the following Contracting State : ES

1. A method for preparing a condensed heterocyclic compound of the formula (I):

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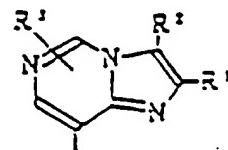
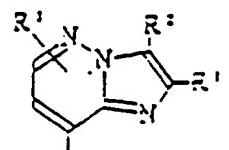
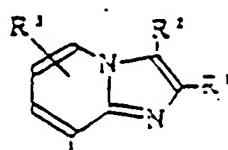


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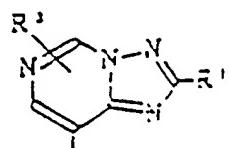
or an agriculturally acceptable salt thereof, wherein:

(1) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the group consisting of

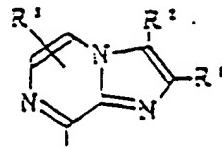
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and



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in which R¹ is C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, alkoxy carbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

30

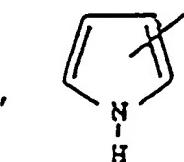
R² and R³ are hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or dialkylsulfamoyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group;

35

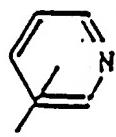
X is hydrogen ; a group attached through a carbon atom selected from the group consisting of C₁₋₁₀ alkyl, C₂₋₄ alkenyl, C₁₋₁₀ haloalkyl, C₃₋₆ cycloalkyl, C₃₋₆ cycloalkenyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl and a heterocyclic ring of the formula

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or



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a group attached through an oxygen atom selected from the group consisting of C₁₋₁₀ alkoxy, C₆₋₁₀ aryloxy and C₇₋₁₀ aralkyloxy; a group attached through a sulfur atom selected from the group consisting of C₁₋₁₀ alkylthio, C₆₋₁₀ arylthio and C₇₋₁₀ aralkylthio; a group attached through a nitrogen atom selected from the group consisting of C₁₋₁₀ alkylamino, C₆₋₁₀ arylamino, C₇₋₁₀ aralkylamino and a heterocyclic ring of the formula

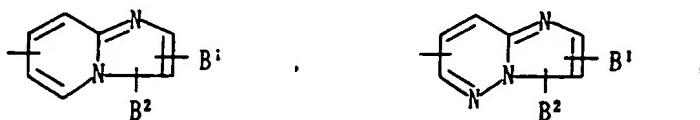
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10 wherein each of said groups attached through a carbon atom, an oxygen atom, a sulfur atom or a nitrogen atom is unsubstituted or substituted with one to four substituents selected from the group consisting of nitro, amino, hydroxy, cyano, carboxyl, sulfonyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, phenylthio and benzylthio; and Y is cyano, carbamoyl, thiocarbamoyl or trichloromethyl group; or (2) Q is a condensed heterocyclic group having a nitrogen atom in the bridgehead selected from the group consisting of

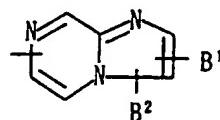
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and

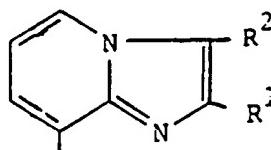


30 in which the substituents B¹ and B² on the condensed heterocyclic group for Q may be the same or different each other, and are hydrogen atom, nitro, amino, hydroxyl, cyano, C₁₋₃ acyl, carbamoyl, carboxyl, C₁₋₄ alkoxy carbonyl, sulfo, halogen, C₁₋₄ alkoxy, C₆₋₁₀ aryloxy, C₆₋₁₀ aryl carbonyl, C₆₋₁₀ aryl, C₇₋₁₀ aralkyl, C₃₋₇ cycloalkyl, C₁₋₄ alkylthio, C₆₋₁₀ arylthio, C₇₋₁₀ aralkylthio, mono- or di-C₁₋₄ alkylsulfamoyl, C₁₋₆ alkyl, mono-, di-, tri- or tetra-halogen-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkyl-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkoxy-substituted phenyl, mono-, di-, tri- or tetra-C₁₋₄ alkylthio-substituted phenyl, 2-chloro-4-nitrophenyl, 4-nitrophenyl, 2-methyl-4-aminophenyl, 2-bromo-4-nitrophenyl, 2-nitro-4-methylphenyl, or 5 or 6 membered heterocyclic group selected from pyridyl, furyl, thienyl and thiazolyl; and X and Y have the same meaning as defined above;

35 or (3) Q is:

40

45



and

50 R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
or R¹ is methyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
or R¹ is methyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
or R¹ is methyl; R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
or R¹ is methyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
or R¹ is methyl, R² is isopropylthiomethyl, X is 3-fluorophenyl and Y is cyano;
or R¹ is methyl, R² is 2-cyanoethyl, X is 3-fluorophenyl and Y is cyano;
or R¹ is methyl, R² is 2-methyl-1-propenyl, X is 3-fluorophenyl and Y is cyano;
or R¹ is phenyl, R² is hydrogen, X is 2-N-methylpyrrolyl and Y is cyano;
or R¹ is phenyl, R² is hydrogen, X is 3-trifluoromethylphenyl and Y is cyano;

or R¹ is phenyl, R² is hydrogen, X is 3-methylphenyl and Y is cyano;
 or R¹ is phenyl, R² is hydrogen, X is 5-methylfur-2-yl and Y is cyano;
 or R¹ is phenyl, R² is hydrogen, X is 2-pyridylmethyl and Y is cyano;
 or R¹ is phenyl, R² is hydrogen, X is 3-methylthien-2-yl and Y is cyano;
 5 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenyl, R² is 1,1,2,2-tetrafluoroethyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is 2-cyanoethyl, X is 2-furyl and Y is cyano;
 10 or R¹ is phenyl, R² is 2-methyl-1-propenyl, X is 2-furyl and Y is cyano;
 or R¹ is phenyl, R² is 2,2,2-trichloro-1-hydroxyethyl, X is 2-furyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is 2-methyl-1-propenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is ethoxyiminomethyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 15 or R¹ is phenoxyethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylthiomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylsulfinylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is phenoxyethyl, R² is N,N-dimethylaminomethyl, X is 3-fluorophenyl and Y is cyano;
 20 or R¹ is methoxymethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methoxymethyl, R² is morpholinomethyl, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylsulfinylmethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is methylthiomethyl, R² is N,N-dimethylaminomethyl, X is 2-furyl and Y is cyano;
 25 or R¹ is methylsulfonylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is morpholinomethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is diethoxyphosphorylmethyl, R² is hydrogen, X is 3-fluorophenyl and Y is cyano;
 or R¹ is (dimethylthiocarbamoyl)thiomethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 or R¹ is chloromethyl, R² is hydrogen, X is 2-furyl and Y is cyano;
 30 or R¹ is trifluoromethyl, R² is phenylcarbamoyl, X is 3-fluorophenyl and Y is cyano;
 or R¹ is hydrogen, R² is 1-hydroxybenzyl, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is sulfomethyl, X is 2-thienyl and Y is cyano;
 or R¹ is 4-dimethylaminophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is phenyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 35 or R¹ is biphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 4-sulfophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is trifluoromethyl, R² is hydrogen, X is 3-fluorophenyl and Y is formamide;
 or R¹ is 3-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-hydroxyphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 40 or R¹ is methyl, R² is benzylidenamino, X is 2-thienyl and Y is cyano;
 or R¹ is 2-dimethylcarbamoylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methoxymethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfinylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-4-methylsulfonylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 45 or R¹ is 4-cyanophenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 3-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;
 or R¹ is 2-methyl-5-trifluoromethylphenyl, R² is hydrogen, X is 2-thienyl and Y is cyano;

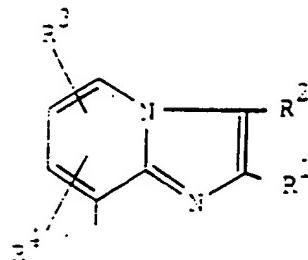
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or (4) Q is:

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and

15 R¹ is methyl, R² is N,N-dimethylaminomethyl, R³ is 5-methyl, R⁴ is hydrogen, X is 2-thienyl and Y is cyano;

or R¹ is phenyl, R² is hydrogen, R³ is 5-methyl, R⁴ is 7-methyl, X is 2-furyl and Y is cyano;

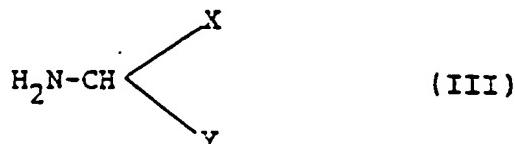
or R¹ is phenyl, R² is hydrogen, R³ is 5-trifluoromethyl, R⁴ is 7-trifluoromethyl, X is 2-furyl and Y is cyano;

20 or R¹ is phenyl, R² is hydrogen, R³ is 5-hydroxy, R⁴ is 6-chloro, X is 2-thienyl and Y is cyano which comprises reacting a compound of the formula

Q-CO-Z (II)

25 wherein Q has the same meaning as defined above and COZ denotes a carboxylic group or its reactive derivative or its salt with a compound of the general formula

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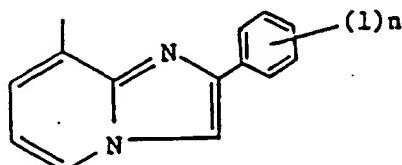
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wherein X and Y are each as defined above, or its salt.

2. A method of claim 1, wherein Q is

40

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50 wherein n is 0, 1, 2, 3 or 4 and I is the same or different and selected from a group comprising a C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio or halogen,

X is phenyl, fluorine-substituted phenyl, thienyl or furyl group, and

Y is cyano,

or its salt.

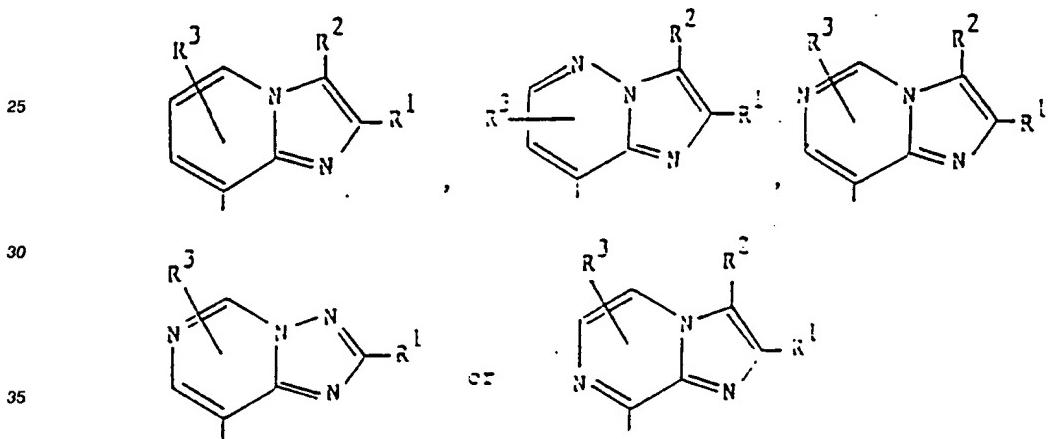
55 3. A method for preparing a compound according to claim 1, which is α -(2-phenylimidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-thienyl)acetonitrile or its salt.

4. A method for preparing a compound according to claim 1, which is α -{2-(2-methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.

5. A method for preparing a compound according to claim 1, which is α -{2-(3-methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
6. A method for preparing a compound according to claim 1, which is α -{2-(2,4-dimethoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 10 7. A method for preparing a compound according to claim 1, which is α -{2-(2,4-diisopropylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 15 8. A method for preparing a compound according to claim 1, which is α -{2-(3-trifluoromethylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitrile or its salt.
- 10 9. A method for preparing a fungicidal composition comprising mixing a condensed heterocyclic compound of the formula (I) in claim 1 or its salt, and a carrier or diluent.
- 15 10. A process for preparing a compound of the formula



20 wherein Q² is a condensed heterocyclic group having a nitrogen atom in the bridgehead as follows

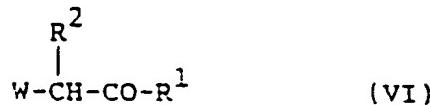


25 in which R¹ is an C₁₋₆ alkyl, halogen, C₁₋₄ alkoxy, C₁₋₄ alkylthio, C₆₋₁₀ aryloxy, C₆₋₁₀ arylthio, alkoxy carbonyl, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group; R² and R³ are a hydrogen, C₁₋₆ alkyl, halogen, nitro, amino, sulfo, mono- or
30 di-alkylsulfamoyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group.
35 and COZ denotes a carboxylic group or its reactive derivative; or its salt which comprises reacting a compound of the formula:



wherein the ring A is a pyridine, pyridazine, pyrimidine or pyrazine group which is unsubstituted or

5 substituted by an C₁-6 alkyl, halogen, nitro, amino, sulfo, mono- or di-alkylsulfamoyl, alkoxy carbonyl, formyl, cyano, phenyl, phenyl substituted with 1 to 4 group(s), which may be the same or different and are selected from the group consisting of halogen, C₁-4 alkyl, C₁-4 alkoxy, C₁-4 alkylthio and nitro or 5 or 6 membered aromatic heterocyclic group, and COZ denotes a carboxylic group or its reactive derivative, or its salt with a compound of the formula:



or



wherein W is a halogen atom, and R¹ and R² have the same meanings as defined above.

11. Use of a compound as prepared according to claims 1 to 8 as fungicide more particularly for controlling various kinds of plant blight such as downy mildew and blight.

Patentansprüche

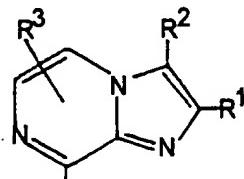
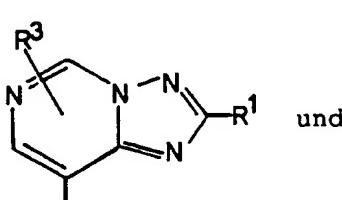
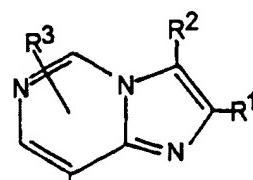
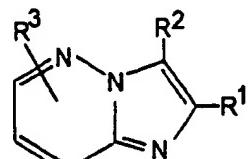
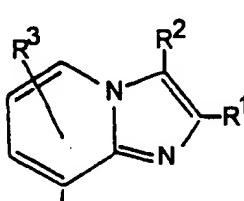
25 Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

1. Kondensierte heterocyclische Verbindung der Formel:



35 oder ein landwirtschaftlich verträgliches Salz davon, worin:

(1) Q eine kondensierte heterocyclische Gruppe mit einem Stickstoffatom im Brückenkopf darstellt, ausgewählt aus der Gruppe, bestehend aus



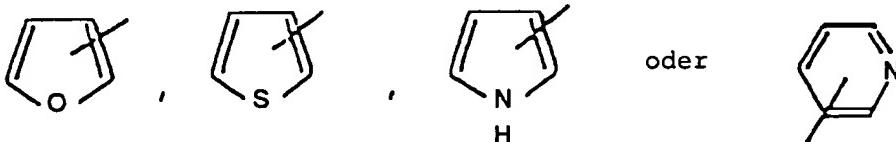
worin R' C₁-6-Alkyl, Halogen, C₁-4-Alkoxy, C₁-4-Alkylthio, C₆-10-Aryloxy, C₆-10-Arylthio, Alkoxycarbonyl, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein

können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylothio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe darstellt;

R² und R³ Wasserstoff, C₁₋₆-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylothio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe darstellen;

X Wasserstoff; eine Gruppe, gebunden durch ein Kohlenstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁₋₁₀-Alkyl, C₂₋₄-Alkenyl, C₁₋₁₀-Halogenalkyl, C₃₋₆-Cycloalkyl, C₃₋₆-Cycloalkenyl, C₆₋₁₀-Aryl, C₇₋₁₀-Aralkyl und einem heterocyclischen Ring der Formel

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oder

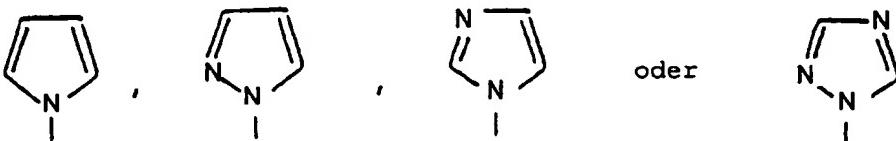


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einer Gruppe, gebunden durch ein Sauerstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁₋₁₀-Alkoxy, C₆₋₁₀-Aryloxy und C₇₋₁₀-Aralkyloxy; eine Gruppe, gebunden durch ein Schwefelatom, ausgewählt aus der Gruppe, bestehend aus C₁₋₁₀-Alkylothio, C₆₋₁₀-Arylothio und C₇₋₁₀-Aralkylothio; eine Gruppe, gebunden durch ein Stickstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁₋₁₀-Alkylamino, C₆₋₁₀-Arylamino, C₇₋₁₀-Aralkylamino und einem heterocyclischen Ring der Formel

25

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oder

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worin jede der Gruppen, gebunden durch ein Kohlenstoffatom, ein Sauerstoffatom, ein Schwefelatom oder ein Stickstoffatom, unsubstituiert oder substituiert mit ein bis vier Substituenten ist, ausgewählt aus der Gruppe, bestehend aus Nitro, Amino, Hydroxy, Cyano, Carboxyl, Sulfonyl, Halogen, C₁₋₄-Alkoxy, C₁₋₄-Alkylothio, Phenylthio und Benzylthio; und

40

Y eine Cyano-, Carbamoyl-, Thiocarbamoyl- oder Trichlormethylgruppe darstellt;
oder (2) Q eine kondensierte, heterocyclische Gruppe mit einem Stickstoffatom in dem Brückenkopf ist, ausgewählt aus der Gruppe, bestehend aus

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und

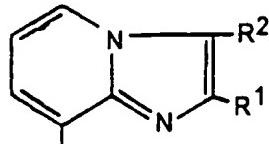
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worin die Substituenten B¹ und B² an der kondensierten, heterocyclischen Gruppe für Q gleich oder voneinander verschieden sein können und ein Wasserstoffatom, Nitro, Amino, Hydroxyl, Cyano, C₁₋₃-Acyl, Carbamoyl, Carboxyl, C₁₋₄-Alkoxy carbonyl, Sulfo, Halogen, C₁₋₄-Alkoxy, C₆₋₁₀-Aryloxy, C₆₋₁₀-Aryl carbonyl, C₆₋₁₀-Aryl, C₇₋₁₀-Aralkyl, C₃₋₇-Cycloalkyl, C₁₋₄-Alkylothio, C₆₋₁₀-Arylothio,

5 C_{7-10} -Aralkylthio, Mono- oder Di- C_{1-4} -alkylsulfamoyl, C_{1-6} -Alkyl, mono-, di-, tri- oder tetrahalogen-substituiertes Phenyl, mono-, di-, tri- oder tetra- C_{1-4} -alkylsubstituiertes Phenyl, mono-, di-, tri- oder tetra- C_{1-4} -alkoxysubstituiertes Phenyl, mono-, di-, tri- oder tetra- C_{1-4} -alkylthiosubstituiertes Phenyl, 2-Chlor-4-nitrophenyl, 4-Nitrophenyl, 2-Methyl-4-aminophenyl, 2-Brom-4-nitrophenyl, 2-Nitro-4-methylphenyl oder eine 5- oder 6-gliedrige, heterocyclische Gruppe, ausgewählt aus Pyridyl, Furyl, Thienyl und Thiazolyl, darstellen; und X und Y die gleichen wie vorstehend definierten Bedeutungen aufweisen; oder
 (3) Q ist

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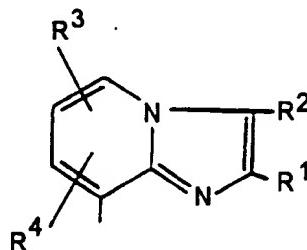


und

- 20 R^1 ist Methyl, R^2 ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist 1,1,2,2-Tetrafluorethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist N,N-Dimethylaminomethyl, X ist 2-Thienyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist Morpholinomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist Isopropylthiomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist 2-Cyanoethyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Methyl, R^2 ist 2-Methyl-1-propenyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 2-N-Methylpyrrolyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 3-Trifluormethylphenyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 3-Methylphenyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 5-Methylfur-2-yl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 2-Pyridylmethyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist Wasserstoff, X ist 3-Methylthien-2-yl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist N,N-Dimethylaminomethyl, X ist 2-Thienyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist 1,1,2,2-Tetrafluorethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist 2-Cyanoethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist 2-Methyl-1-propenyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Phenyl, R^2 ist 2,2,2-Trichlor-1-hydroxyethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Trifluormethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist 2-Methyl-1-propenyl, R^2 ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R^1 ist Ethoxyiminomethyl, R^2 ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R^1 ist Phenoxyimethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenylthiomethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenylsulfinylmethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenylsulfonylmethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Phenoxyimethyl, R^2 ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Methoxymethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methoxymethyl, R^2 ist Morpholinomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methylthiomethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methylsulfinylmethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methylthiomethyl, R^2 ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Methylsulfonylmethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Morpholinomethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist Diethoxyphosphorylmethyl, R^2 ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R^1 ist (Dimethylthiocarbamoyl)thiomethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R^1 ist Chlormethyl, R^2 ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;

oder R¹ ist Trifluormethyl, R² ist Phenylcarbamoyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Wasserstoff, R² ist 1-Hydroxybenzyl, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Sulfomethyl, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 4-Dimethylaminophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 5 oder R¹ ist Phenyl, R² ist Benzylidenamino, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Biphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 4-Sulfophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 10 oder R¹ ist Trifluormethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Formamid;
 oder R¹ ist 3-Hydroxyphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Hydroxyphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Methyl, R² ist Benzylidenamino, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Dimethylcarbamoylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Methoxymethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 15 oder R¹ ist 2-Methyl-4-methylsulfonylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Methyl-4-methylsulfonylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 4-Cyanophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 3-Trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Methyl-5-trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 20 oder (4) Q ist

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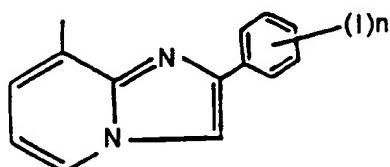
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und

R¹ ist Methyl, R² ist N,N-Dimethylaminomethyl, R³ ist 5-Methyl, R⁴ ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 35 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Methyl, R⁴ ist 7-Methyl, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Trifluormethyl, R⁴ ist 7-Trifluormethyl, X ist 2-Furyl und Y ist Cyano;
 40 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Hydroxy, R⁴ ist 6-Chlor, X ist 2-Thienyl und Y ist Cyano.

2. Verbindung nach Anspruch 1, worin Q

45



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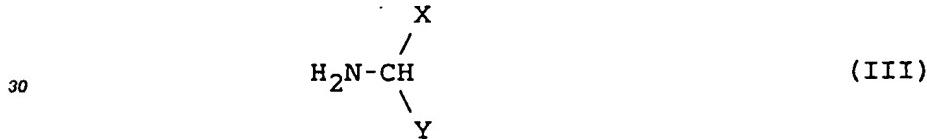
darstellt,

worin n 0, 1, 2, 3 oder 4 ist und I gleich oder verschieden ist und ausgewählt ist aus der Gruppe,
 bestehend aus C₁-4-Alkyl, C₁-4-Alkoxy, C₁-4-Alkylthio oder Halogen,
 X ist Phenyl, fluorsubstituiertes Phenyl, Thiienyl oder eine Furylgruppe und
 Y ist Cyano
 oder deren Salz.

3. Verbindung nach Anspruch 1, nämlich α -(2-Phenyl-imidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-thienyl)-acetonitril oder deren Salz.
4. Verbindung nach Anspruch 1, nämlich α -{2-(2-Methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.
5. Verbindung nach Anspruch 1, nämlich α -{2-(3-Methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.
10. 6. Verbindung nach Anspruch 1, nämlich α -{2-(2,4-Dimethoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.
15. 7. Verbindung nach Anspruch 1, nämlich α -{2-(2,4-Diisopropylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.
8. Verbindung nach Anspruch 1, nämlich α -{2-(3-Trifluormethylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.
20. 9. Verfahren zur Herstellung einer kondensierten, heterocyclischen Verbindung der Formel (I) nach Anspruch 1 oder deren Salz, umfassend Umsetzen einer Verbindung der Formel

Q-CO-Z (II)

worin Q die gleiche Bedeutung wie in Anspruch 1 definiert aufweist und COZ eine Carboxylgruppe oder deren reaktives Derivat oder deren Salz bedeutet, mit einer Verbindung der allgemeinen Formel



worin X und Y jeweils wie in Anspruch 1 definiert sind oder deren Salz.

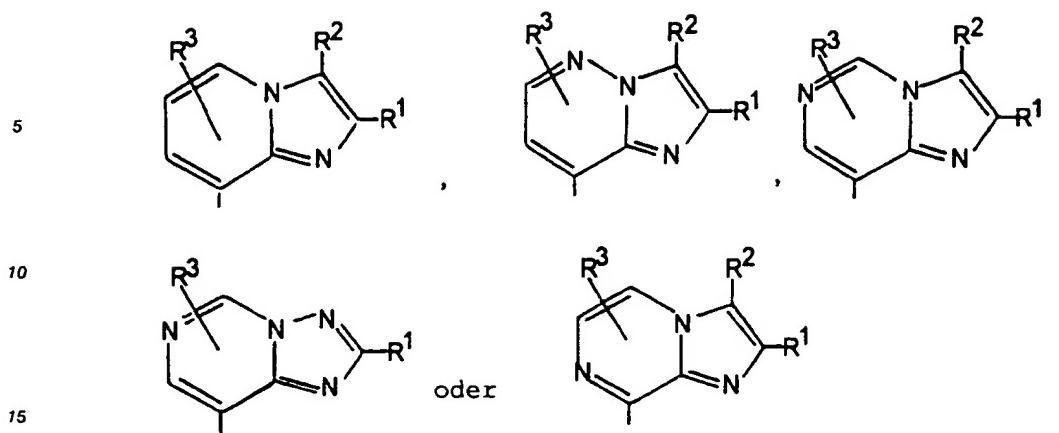
35. 10. Fungizide Zusammensetzung, umfassend eine kondensierte, heterocyclische Verbindung der Formel (I) nach Anspruch 1 oder deren Salz und ein Träger- oder Verdünnungsmittel.
11. Kondensierte, heterocyclische Carbonsäureverbindung der Formel
40. 40
- Q¹-CO-Z (IV)

worin Q¹ eine kondensierte, heterocyclische Gruppe mit einem Stickstoffatom in dem Brückenkopf ist, wie nachstehend

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20 worin R¹ C₁₋₆-Alkyl, Halogen, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio, C₆₋₁₀-Aryloxy, C₆₋₁₀-Arylthio, Alkoxy-carbonyl, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe bedeutet;

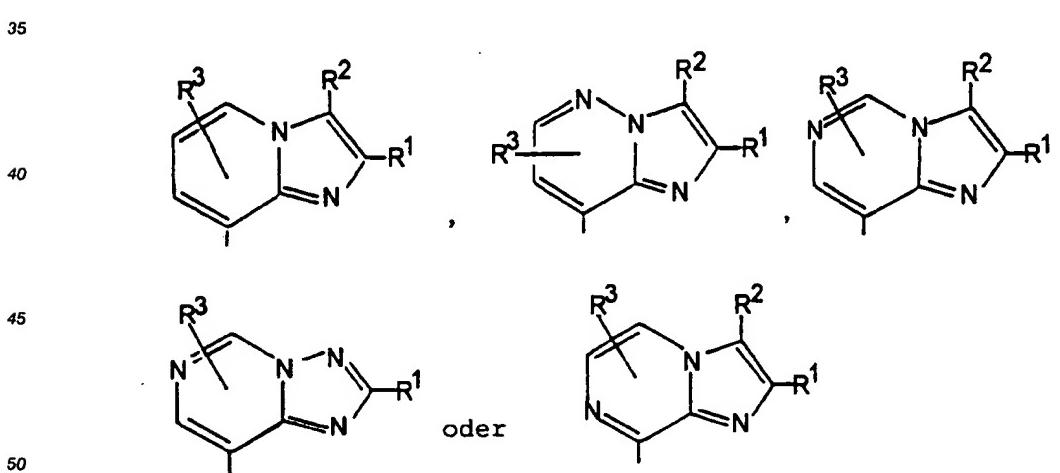
R² und R³ Wasserstoff, C₁₋₆-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können, und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe bedeuten,

und CO₂ eine Carboxylgruppe oder deren reaktives Derivat bedeutet; oder deren Salz.

12. Verfahren zur Herstellung einer Verbindung der Formel

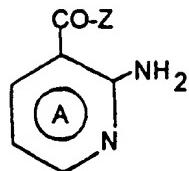
30 63 62 7 9(M)

wurde Ω^2 eine Gruppe der allgemeinen Formel



darstellt, worin R¹, R² und R³ die gleichen Bedeutungen wie in Anspruch 11 definiert aufweisen oder deren Salz, umfassend Umsetzen einer Verbindung der Formel

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worin der Ring \textcircled{A} eine Pyridin-, Pyridazin-, Pyrimidin- oder Pyrazingruppe darstellt, unsubstituiert oder substituiert mit C₁-6-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁-4-Alkyl, C₁-4-Alkoxy, C₁-4-Alkylthio und Nitro oder einer 5- oder 6-gliedrigen aromatischen, heterocyclischen Gruppe und COZ eine Carboxylgruppe oder deren reaktives Derivat oder deren Salz bedeutet, mit einer Verbindung der Formel:

20



oder

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worin W ein Halogenatom darstellt und R¹ und R² die gleichen, wie vorstehend definierten Bedeutungen aufweisen.

30 13. Verwendung einer Verbindung nach den Ansprüchen 1 bis 8 als Fungizid, insbesondere zur Bekämpfung verschiedener Arten von Pflanzenkrankheiten, wie Mehltau und Brand.

Patentansprüche für folgenden Vertragsstaat : ES

35 1. Verfahren zur Herstellung einer kondensierten heterocyclischen Verbindung der Formel (I):

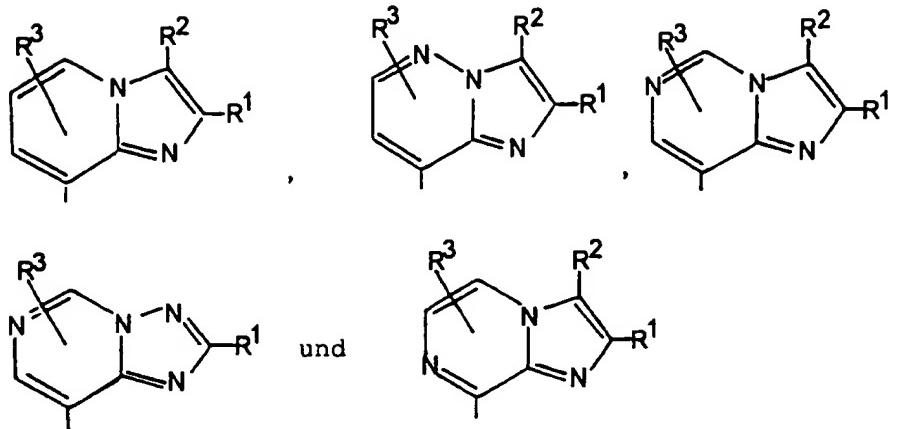
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45 oder ein landwirtschaftlich verträgliches Salz davon, worin:
(1) Q eine kondensierte heterocyclische Gruppe mit einem Stickstoffatom im Brückenkopf darstellt, ausgewählt aus der Gruppe, bestehend aus

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55



20 worin R¹ C₁₋₆-Alkyl, Halogen, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio, C₆₋₁₀-Aryloxy, C₆₋₁₀-Arylthio, Alkoxy carbonyl, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe darstellt:

²⁵ R² und R³ Wasserstoff, C₁-₆-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁-₄-Alkyl, C₁-₄-Alkoxy, C₁-₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe darstellen:

X Wasserstoff; eine Gruppe, gebunden durch ein Kohlenstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁₋₁₀-Alkyl, C₂₋₄-Alkenyl, C₁₋₁₀-Halogenalkyl, C₃₋₆-Cycloalkyl, C₃₋₆-Cycloalkenyl, C₆₋₁₀-Aryl, C₇₋₁₀-Aralkyl und einem heterocyclischen Ring der Formel



40 einer Gruppe, gebunden durch ein Sauerstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁-10-Alkoxy, C₆-10-Aryloxy und C₇-10-Aralkyloxy; eine Gruppe, gebunden durch ein Schwefel-atom, ausgewählt aus der Gruppe, bestehend aus C₁-10-Alkylthio, C₆-10-Arylthio und C₇-10-Aralkylthio; eine Gruppe, gebunden durch ein Stickstoffatom, ausgewählt aus der Gruppe, bestehend aus C₁-10-Alkylamino, C₆-10-Arylamino, C₇-10-Aralkylamino und einem heterocyclischen Ring der Formel



55 worin jede der Gruppen, gebunden durch ein Kohlenstoffatom, ein Sauerstoffatom, ein Schwefel-
atom oder ein Stickstoffatom, unsubstituiert oder substituiert mit ein bis vier Substituenten ist,
ausgewählt aus der Gruppe, bestehend aus Nitro, Amino, Hydroxy, Cyano, Carboxyl, Sulfonyl,
Halogen, C₁-Alkoxy, C₁-Alkylthio, Phenylthio und Benzylthio; und

Y eine Cyano-, Carbamoyl-, Thiocarbamoyl- oder Trichlormethylgruppe darstellt;
oder (2) Q eine kondensierte, heterocyclische Gruppe mit einem Stickstoffatom in dem Brückenkopf
ist, ausgewählt aus der Gruppe, bestehend aus

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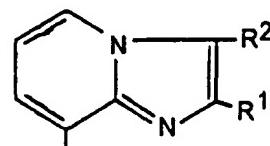


15

worin die Substituenten B¹ und B² an der kondensierten, heterocyclischen Gruppe für Q gleich
oder voneinander verschieden sein können und ein Wasserstoffatom, Nitro, Amino, Hydroxyl, Cyano,
C₁-C₃-Acyl, Carbamoyl, Carboxyl, C₁-C₄-Alkoxy carbonyl, Sulfo, Halogen, C₁-C₄-Alkoxy, C₆-C₁₀-Aryloxy,
C₆-C₁₀-Arylcarbonyl, C₆-C₁₀-Aryl, C₇-C₁₀-Aralkyl, C₃-C₇-Cycloalkyl, C₁-C₄-Alkylthio, C₆-C₁₀-Arylthio,
C₇-C₁₀-Aralkylthio, Mono- oder Di-C₁-C₄-alkylsulfamoyl, C₁-C₆-Alkyl, mono-, di-, tri- oder tetrahalogen-
substituiertes Phenyl, mono-, di-, tri- oder tetra-C₁-C₄-alkylsubstituiertes Phenyl, mono-, di-, tri- oder
tetra-C₁-C₄-alkoxysubstituiertes Phenyl, mono-, di-, tri- oder tetra-C₁-C₄-alkylthiosubstituiertes Phenyl,
2-Chlor-4-nitrophenyl, 4-Nitrophenyl, 2-Methyl-4-aminophenyl, 2-Brom-4-nitrophenyl, 2-Nitro-4-me-
thylphenyl oder eine 5- oder 6-gliedrige, heterocyclische Gruppe, ausgewählt aus Pyridyl, Furyl,
Thienyl und Thiazolyl, darstellen; und X und Y die gleichen wie vorstehend definierten Bedeutungen
aufweisen; oder

(3) Q ist

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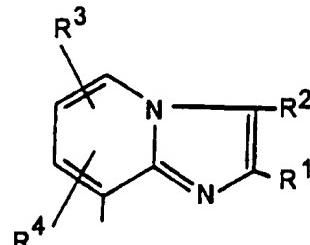


35

und

- R¹ ist Methyl, R² ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist 1,1,2,2-Tetrafluorethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist N,N-Dimethylaminomethyl, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist Morphinomethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist Isopropylthiomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist 2-Cyanoethyl, X ist 3-Fluorphenyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist 2-Methyl-1-propenyl, X ist 3-Fluorphenyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 2-N-Methylpyrrolyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 3-Trifluormethylphenyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 3-Methylphenyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 5-Methylfur-2-yl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 2-Pyridylmethyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist Wasserstoff, X ist 3-Methylthien-2-yl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist N,N-Dimethylaminomethyl, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist 1,1,2,2-Tetrafluorethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist 2-Cyanoethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist 2-Methyl-1-propenyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Phenyl, R² ist 2,2,2-Trichlor-1-hydroxyethyl, X ist 2-Furyl und Y ist Cyano;

- oder R¹ ist Trifluormethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist 2-Methyl-1-propenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Ethoxyminomethyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Phenoxyethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Phenylthiomethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Phenylsulfinylmethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Phenylsulfonylmethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Phenoxyethyl, R² ist N,N-Dimethylaminomethyl, X ist 3-Fluorphenyl und Y ist
 Cyano;
- oder R¹ ist Methoxymethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Methoxymethyl, R² ist Morphinomethyl, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Methylthiomethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Methylsulfinylmethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Methylthiomethyl, R² ist N,N-Dimethylaminomethyl, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Methylsulfonylmethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Morphinomethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Diethoxyphosphorylmethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist (Dimethylthiocarbamoyl)thiomethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Chlormethyl, R² ist Wasserstoff, X ist 2-Furyl und Y ist Cyano;
- oder R¹ ist Trifluormethyl, R² ist Phenylcarbamoyl, X ist 3-Fluorphenyl und Y ist Cyano;
 oder R¹ ist Wasserstoff, R² ist 1-Hydroxybenzyl, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Sulfomethyl, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 4-Dimethylaminophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Benzylidenamino, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist Biphenylyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 4-Sulfophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Trifluormethyl, R² ist Wasserstoff, X ist 3-Fluorphenyl und Y ist Formamid;
- oder R¹ ist 3-Hydroxyphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Hydroxyphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist Methyl, R² ist Benzylidenamino, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Dimethylcarbamoylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Methoxymethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Methyl-4-methylsulfinylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist 2-Methyl-4-methylsulfonylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist 4-Cyanophenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 2-Trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist 3-Trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
- oder R¹ ist 2-Methyl-5-trifluormethylphenyl, R² ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder (4) Q ist

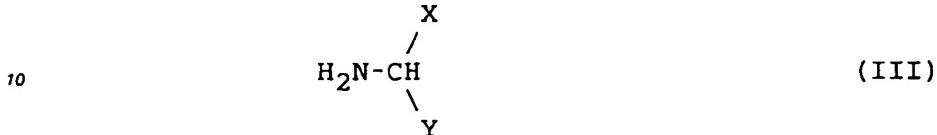


- 50 und
 R¹ ist Methyl, R² ist N,N-Dimethylaminomethyl, R³ ist 5-Methyl, R⁴ ist Wasserstoff, X ist 2-Thienyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Methyl, R⁴ ist 7-Methyl, X ist 2-Furyl und Y ist Cyano;
- 55 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Trifluormethyl, R⁴ ist 7-Trifluormethyl, X ist 2-Furyl und Y ist Cyano;
 oder R¹ ist Phenyl, R² ist Wasserstoff, R³ ist 5-Hydroxy, R⁴ ist 6-Chlor, X ist 2-Thienyl und Y ist

Cyano, umfassend Umsetzen einer Verbindung der Formel

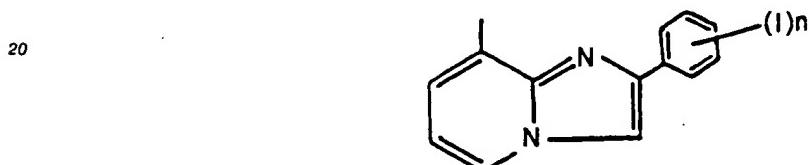
Q-CO-Z (II)

5 worin Q die gleiche Bedeutung wie vorstehend definiert aufweist und COZ eine Carboxylgruppe oder deren reaktives Derivat oder deren Salz bedeutet, mit einer Verbindung der allgemeinen Formel



worin X und Y jeweils wie in Anspruch 1 definiert sind oder deren Salz.

2. Verfahren nach Anspruch 1, worin



25

darstellt,

worin n 0, 1, 2, 3 oder 4 ist und L gleich oder verschieden ist und ausgewählt ist aus der Gruppe, bestehend aus C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkythio oder Halogen,

30 X ist Phenyl, fluosubstituiertes Phenyl, Thienyl oder eine Furylgruppe und
Y ist Cyano
oder deren Salz.

3. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -(2-Phenylimidazo[1,2-a]pyridin-8-ylcarbonylamino)-(2-thienyl)acetonitril oder deren Salz.

4. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -{2-(2-Methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.

40 5. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -{2-(3-Methoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.

45 6. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -{2-(2,4-Dimethoxyphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.

7. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -{2-(2,4-Diisopropylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.

50 8. Verfahren zur Herstellung einer Verbindung nach Anspruch 1, nämlich α -{2-(3-Trifluormethylphenyl)imidazo[1,2-a]pyridin-8-ylcarbonylamino}-(2-thienyl)acetonitril oder deren Salz.

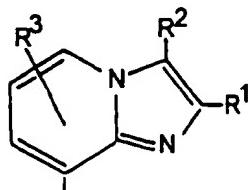
9. Verfahren zur Herstellung einer fungiziden Zusammensetzung, umfassend Vermischen einer kondensierten heterocyclischen Verbindung der Formel (I) in Anspruch 1 oder deren Salz und ein Träger- oder Verdünnungsmittel.

55 10. Verfahren zur Herstellung einer Verbindung der Formel

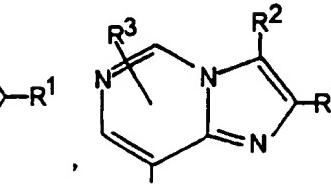
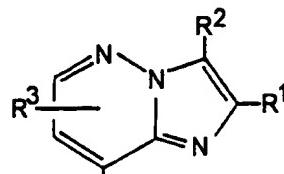
$$Q^2\text{-CO-Z} \quad (\text{IV})$$

worin Q² eine kondensierte, heterocyclische Gruppe mit einem Stickstoffatom in dem Brückenkopf ist, wie nachstehend

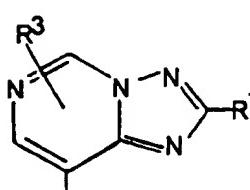
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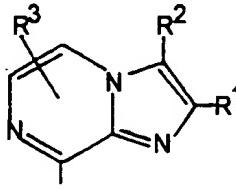


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oder



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worin R¹ C₁₋₆-Alkyl, Halogen, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio, C₆₋₁₀-Aryloxy, C₆₋₁₀-Arylthio, Alkoxy carbonyl, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe bedeuten;

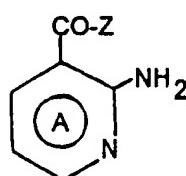
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R² und R³ Wasserstoff, C₁₋₆-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können, und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder eine 5- oder 6-gliedrige, aromatische, heterocyclische Gruppe bedeuten

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und COZ eine Carboxylgruppe oder deren reaktives Derivat bedeutet; oder deren Salz, umfassend Umsetzen einer Verbindung der Formel

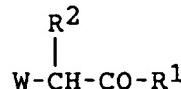
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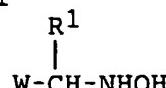
worin der Ring A eine Pyridin-, Pyridazin-, Pyrimidin- oder Pyrazingruppe darstellt, unsubstituiert oder substituiert mit C₁₋₆-Alkyl, Halogen, Nitro, Amino, Sulfo, Mono- oder Dialkylsulfamoyl, Alkoxy carbonyl, Formyl, Cyano, Phenyl, Phenyl, substituiert mit 1 bis 4 Gruppe(n), die gleich oder verschieden sein können und ausgewählt sind aus der Gruppe, bestehend aus Halogen, C₁₋₄-Alkyl, C₁₋₄-Alkoxy, C₁₋₄-Alkylthio und Nitro oder einer 5- oder 6-gliedrigen aromatischen, heterocyclischen Gruppe und COZ eine Carboxylgruppe oder deren reaktives Derivat oder deren Salz bedeutet, mit einer Verbindung der Formel:

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(VI)

oder



(VII)

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worin W ein Halogenatom darstellt und R¹ und R² die gleichen, wie vorstehend definierten Bedeutungen aufweisen.

11. Verwendung einer Verbindung nach den Ansprüchen 1 bis 8 als Fungizid, insbesondere zur Bekämpfung verschiedener Arten von Pflanzenkrankheiten, wie Mehltau und Brand.

Revendications

Revendications pour les Etats contractants sulvants : AT, DE, CH, DE, DK, FR, GB, GR, IT, LI, LU, NL, SE

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1. Composé hétérocyclique condensé, de formule :

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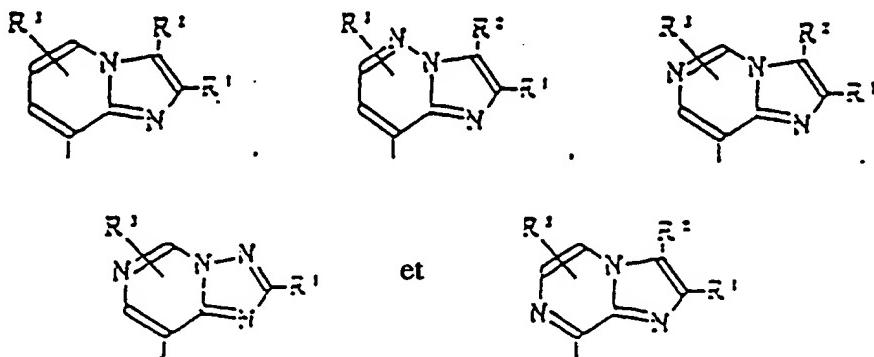


ou sel d'un tel composé, acceptable en agriculture, où :

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- 1) Q représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par

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où R¹ représente un atome d'halogène ou un groupe alkyle en C₁₋₆, alcoxy en C₁₋₄, alkylthio en C₁₋₄, aryloxy en C₆₋₁₀, arylthio en C₆₋₁₀, alcoxycarbonyle, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore un groupe hétérocyclique aromatique à 5 ou 6 chaînons, et R² et R³ représentent des atomes d'hydrogène ou d'halogène ou des groupes alkyle en C₁₋₆, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons ;

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X représente un atome d'hydrogène, un groupe lié par un atome de carbone et choisi dans l'ensemble constitué par les groupes alkyle en C₁₋₁₀, alcényle en C₂₋₄, halogénoalkyle en C₁₋₁₀, cycloalkyle en C₃₋₆, cycloalcényle en C₃₋₆, aryle en C₆₋₁₀, aralkyle en C₇₋₁₀, et les groupes hétérocycliques de formule :

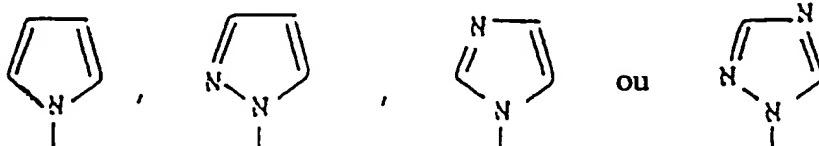
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un groupe lié par un atome d'oxygène et choisi parmi les groupes alcoxy en C₁-10, aryloxy en C₆-10 et aralcoxy en C₇-10, un groupe lié par un atome de soufre et choisi parmi les groupes alkylthio en C₁-10, arylthio en C₆-10 et aralkylthio en C₇-10, ou un groupe lié par un atome d'azote et choisi parmi les groupes alkylamino en C₁-10, arylamino en C₆-10, aralkylamino en C₇-10 et les groupes hétérocycliques de formule

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chacun de ces groupes liés par un atome de carbone, d'oxygène, de soufre ou d'azote portant ou non des substituants, au nombre de 1 à 4 et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes nitro, amino, hydroxy, cyano, carboxy, sulfo, alcoxy en C₁-4, alkylthio en C₁-4, phénylthio et benzylthio ; et

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Y représente un groupe cyano, carbamyle, thiocarbamyle ou trichlorométhyle ; ou bien

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2) Q représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par

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où les substituants B¹ et B² placés sur le groupe hétérocyclique condensé représenté par Q peuvent être identiques ou différents l'un de l'autre et sont des atomes d'hydrogène ou d'halogène ou des groupes nitro, amino, hydroxy, cyano, acyle en C₁-3, carbamyle, carboxy, (alcoxy en C₁-4)-carboxylique, sulfo, alcoxy en C₁-4, aryloxy en C₆-10, (aryle en C₆-10)-carboxylique, aryle en C₆-10, aralkyle en C₇-10, cycloalkyle en C₃-7, alkylthio en C₁-4, arylthio en C₆-10, aralkylthio en C₇-10, mono- ou di-(alkyle en C₁-4)-sulfamyle, alkyle en C₁-6, phényle portant un, deux, trois ou quatre substituants halogéno, phényle portant un, deux, trois ou quatre substituants alkyle en C₁-4, phényle portant un, deux, trois ou quatre substituants alcoxy en C₁-4, phényle portant un, deux, trois ou quatre substituants alkylthio en C₁-4, 2-chloro-4-nitrophényle, 4-nitrophényle, 2-méthyl-4-aminophényle, 2-bromo-4-nitrophényle ou 2-nitro-4-méthylphényle, ou encore des groupes hétérocycliques à cinq ou six chaînons, choisis parmi les groupes pyridyle, furyle, thiényle et thiazolyde ; et

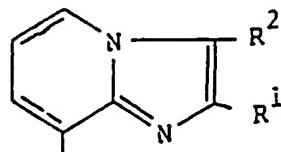
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X et Y ont les mêmes significations que celles qui sont indiquées plus haut ; ou bien

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3) Q représente

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et R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano;

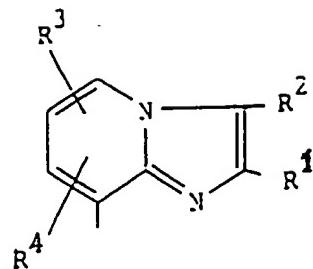
ou R¹ représente un groupe méthyle, R² représente un groupe 1,1,2,2-tétrafluoroéthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 5 ou R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthyle, R² représente un groupe morpholinométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 10 ou R¹ représente un groupe méthyle, R² représente un groupe isopropylthiométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthyle, R² représente un groupe 2-cyanoéthyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 15 ou R¹ représente un groupe méthyle, R² représente un groupe 2-méthyl-1-propényle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe N-méthyl-2-pyrrolyle et Y représente un groupe cyano ;
 20 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 3-trifluorométhyl-phényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 3-méthylphényle et Y représente un groupe cyano ;
 25 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 5-méthyl-2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 2-pyridyl-méthyle et Y représente un groupe cyano ;
 30 ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 3-méthyl-2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 35 ou R¹ représente un groupe phényle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe 1,1,2,2-tétrafluoroéthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 40 ou R¹ représente un groupe phényle, R² représente un groupe 2-cyanoéthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe 2-méthyl-1-propényle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 45 ou R¹ représente un groupe phényle, R² représente un groupe 2,2,2-trichloro-1-hydroxyéthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe trifluorométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 50 ou R¹ représente un groupe 2-méthyl-1-propényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe éthoxyiminométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 55 ou R¹ représente un groupe phénoxyméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phénylthiométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phénylsulfinylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phénylsulfonylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phénoxyméthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthoxyméthyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;

ou R¹ représente un groupe méthoxyméthyle, R² représente un groupe morpholinométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylthiométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 5 ou R¹ représente un groupe méthylsulfinylméthyle R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylthiométhyle, R₂ représente un groupe N,N-diméthyaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 10 ou R¹ représente un groupe méthylsulfonylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe morpholinométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 15 ou R¹ représente un groupe diethoxyphosphorylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe (diméthylthiocarbamyl)thiométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 20 ou R¹ représente un groupe chlorométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe trifluorométhyle, R² représente un groupe phénylcarbamyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 25 ou R¹ représente un atome d'hydrogène, R² représente un groupe 1-hydroxybenzyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe sulforméthyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 30 ou R¹ représente un groupe 4-diméthylamino-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe benzylidèneamino, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 35 ou R¹ représente un groupe biphenylyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 4-sulfophényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 40 ou R¹ représente un groupe trifluorométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 3-hydroxyphényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 45 ou R¹ représente un groupe 2-hydroxyphényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthyle, R² représente un groupe benzylidèneamino, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-diméthylcarbamyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 50 ou R¹ représente un groupe 2-méthoxyméthyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-4-méthylsulfinylméthyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-4-méthylsulfonylméthyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 55 ou R¹ représente un groupe 4-cyanophényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 3-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-5-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ; ou bien

4) Q représente

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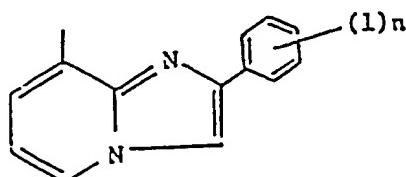


et R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, R³ représente un groupe 5-méthyle, R⁴ représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-méthyle, R⁴ représente un groupe 7-méthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-trifluorométhyle, R⁴ représente un groupe 7-trifluorométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-hydroxy, R⁴ représente un atome 6-chloro, X représente un groupe 2-thiényle et Y représente un groupe cyano.

2. Composé conforme à la revendication 1, dans lequel Q représente

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où n vaut 0, 1, 2, 3 ou 4, et (l) représente des substituants identiques ou différents et choisis dans l'ensemble constitué par les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄ et alkylthio en C₁₋₄,

X représente un groupe phényle, phényle portant un ou des atomes de fluor en tant que substituants, thiényle ou furyle, et
Y représente un groupe cyano,
ou sel d'un tel composé.

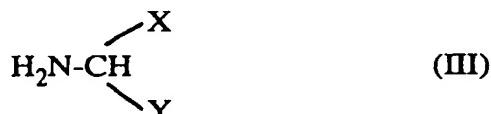
3. Composé conforme à la revendication 1, qui est l' α -(2-phényl-imidazo[1,2-a]pyridine-8-yl-carbonylamino)-(2-thiényl)acetonitrile ou l'un de ses sels.
4. Composé conforme à la revendication 1, qui est l' α -{2-(2-méthoxyphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényl)acetonitrile ou l'un de ses sels.
5. Composé conforme à la revendication 1, qui est l' α -{2-(3-méthoxyphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényl)acetonitrile ou l'un de ses sels.
6. Composé conforme à la revendication 1, qui est l' α -{2-(2,4-diméthoxyphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényl)acetonitrile ou l'un de ses sels.
7. Composé conforme à la revendication 1, qui est l' α -{2-(2,4-diisopropylphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényl)acetonitrile ou l'un de ses sels.

8. Composé conforme à la revendication 1, qui est l'-{2-(3-trifluorométhylphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényl)acetonitrile ou l'un de ses sels.

9. Procédé de préparation d'un composé hétérocyclique condensé de formule (I) indiquée dans la revendication 1, ou d'un sel d'un tel composé, qui comporte le fait de faire réagir un composé de formule

Q-CO-Z (II)

- 10 dans laquelle Q possède les mêmes significations que celles indiquées dans la revendication 1 et CO-Z désigne le groupe carboxy ou l'un de ses dérivés réactifs,
ou un sel d'un tel composé, avec un composé de formule générale



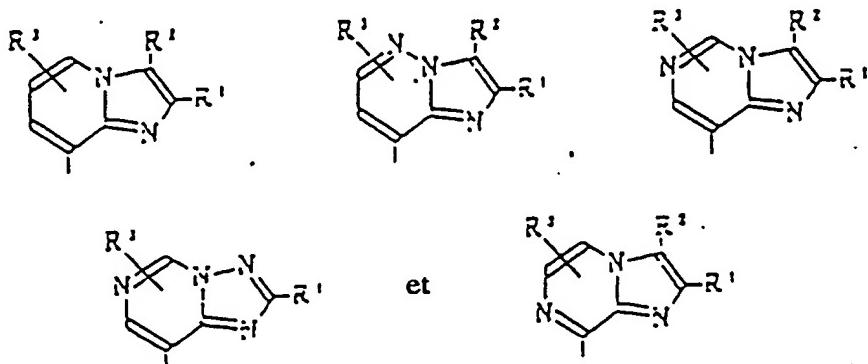
- 20 dans laquelle X et Y possèdent chacun les mêmes significations que celles indiquées dans la revendication 1,
ou avec un sel d'un tel composé.

10. Composition fongicide comprenant un composé hétérocyclique condensé de formule (I), conforme à la revendication 1, ou un sel d'un tel composé, et un véhicule ou un diluant.

- #### **11. Composé hétérocyclique condensé à fonction de type acide carboxylique, de formule**

$$Q^1-CO-Z \quad (IV)$$

- dans laquelle Q' représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par



50 où R¹ représente un atome d'halogène ou un groupe alkyle en C₁₋₆, alcoxy en C₁₋₄, alkylthio en C₁₋₄, aryloxy en C₆₋₁₀, arylthio en C₆₋₁₀, alcoxycarbonyle, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore un groupe hétérocyclique aromatique à 5 ou 6 chaînons, et

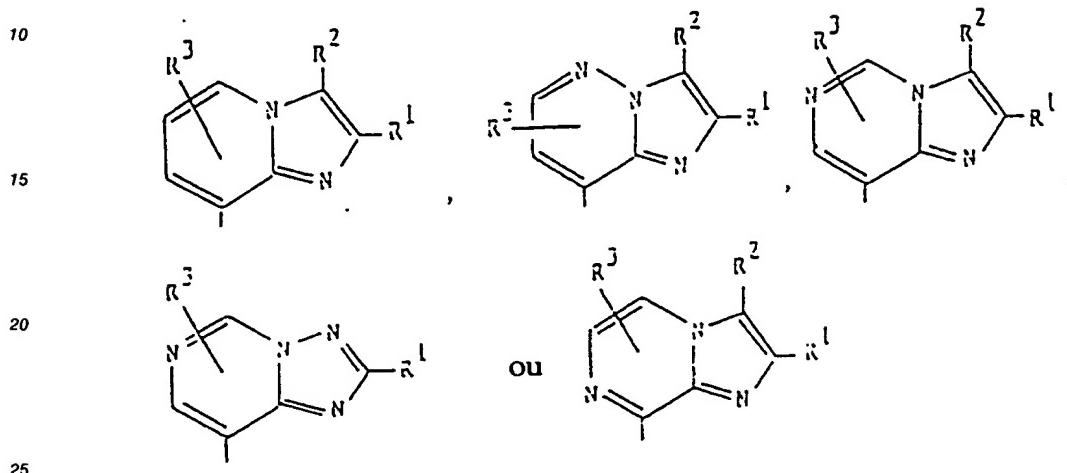
55 R² et R³ représentent des atomes d'hydrogène ou des groupes alkyle en C₁₋₆, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alkoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons,

et -COZ désigne le groupe carboxy ou l'un de ses dérivés réactifs, ou sel d'un tel composé.

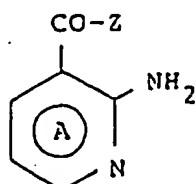
12. Procédé de préparation d'un composé de formule

5 Q²-CO-Z (VIII)

dans laquelle Q^2 représente un groupe de formule générale



dans laquelle R¹, R² et R³ ont les mêmes significations que celles qui sont indiquées dans la revendication 11,
ou d'un sel d'un tel composé, lequel procédé comporte le fait de faire réagir un composé de formule



40 dans laquelle le cycle A est un cycle de type pyridine, pyridazine, pyrimidine ou pyrazine, qui porte ou non, en tant que substituants, des atomes d'halogène ou des groupes alkyle en C₁-6, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁-4, alcoxy en C₁-4, alkylthio en C₁-4 et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons, et -COZ désigne le groupe carboxy ou l'un de ses dérivés réactifs,
45 ou un sel d'un tel composé, avec un composé de formule



OU



où W représente un atome d'halogène et R¹ et R² ont les mêmes significations que celles qui sont indiquées plus haut.

13. Emploi d'un composé conforme à l'une des revendications 1 à 8 en tant qu'agent fongicide, et plus particulièrement, dans la lutte contre divers types de flétrissure généralisée des plantes, comme le mildiou et la rouille des céréales.

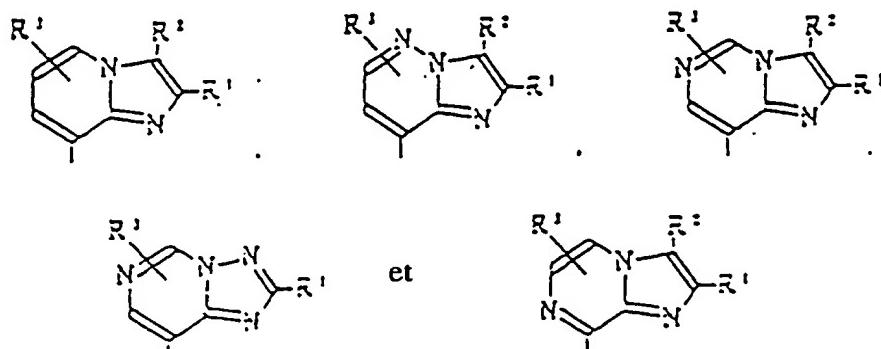
Revendications pour l'Etat contractant suivant : ES

- 10 1. Procédé de préparation d'un composé hétérocyclique condensé, de formule :



ou d'un sel d'un tel composé, acceptable en agriculture, où :

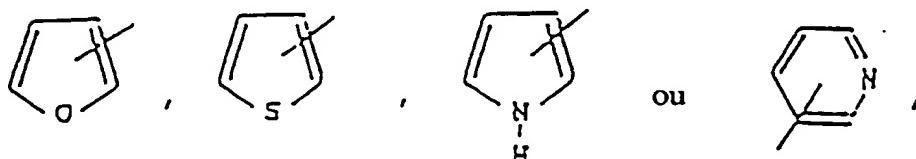
- 15 20 1) Q représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par



25 où R¹ représente un atome d'halogène ou un groupe alkyle en C₁₋₆, alcoxy en C₁₋₄, alkylthio en C₁₋₄, aryloxy en C₆₋₁₀, arylthio en C₆₋₁₀, alcoxycarbonyle, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore un groupe hétérocyclique aromatique à 5 ou 6 chaînons, et

30 40 45 R² et R³ représentent des atomes d'hydrogène ou d'halogène ou des groupes alkyle en C₁₋₆, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons ;

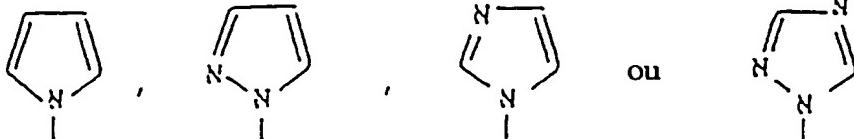
50 X représente un atome d'hydrogène, un groupe lié par un atome de carbone et choisi dans l'ensemble constitué par les groupes alkyle en C₁₋₁₀, alcényle en C₂₋₄, halogénoalkyle en C₁₋₁₀, cycloalkyle en C₃₋₆, cycloalcényle en C₃₋₆, aryle en C₆₋₁₀, aralkyle en C₇₋₁₀, et les groupes hétérocycliques de formule :



un groupe lié par un atome d'oxygène et choisi parmi les groupes alcoxy en C₁-10, aryloxy en C₆-10 et aralcoxy en C₇-10, un groupe lié par un atome de soufre et choisi parmi les groupes alkylthio en C₁-10, arylthio en C₆-10 et aralkylthio en C₇-10, ou un groupe lié par un atome d'azote et choisi parmi les groupes alkylamino en C₁-10, arylamino en C₆-10, aralkylamino en C₇-10 et les groupes hétérocycliques de formule

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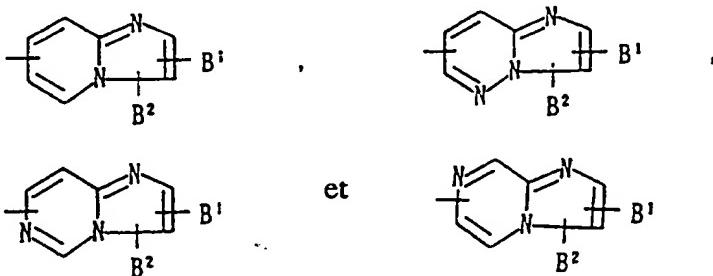
chacun de ces groupes liés par un atome de carbone, d'oxygène, de soufre ou d'azote portant ou non des substituants, au nombre de 1 à 4 et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes nitro, amino, hydroxy, cyano, carboxy, sulfo, alcoxy en C₁-4, alkylthio en C₁-4, phénylthio et benzylthio ; et

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Y représente un groupe cyano, carbamyle, thiocarbamyle ou trichlorométhyle ; ou bien.

2) Q représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par

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où les substituants B¹ et B² placés sur le groupe hétérocyclique condensé représenté par Q peuvent être identiques ou différents l'un de l'autre et sont des atomes d'hydrogène ou d'halogène ou des groupes nitro, amino, hydroxy, cyano, acyle en C₁-3, carbamyle, carboxy, (alcoxy en C₁-4)-carbonyle, sulfo, alcoxy en C₁-4, aryloxy en C₆-10, (aryle en C₆-10)-carbonyle, arylo en C₆-10, aralkyle en C₇-10, cycloalkyle en C₃-7, alkylthio en C₁-4, arylthio en C₆-10, aralkylthio en C₇-10, mono- ou di-(alkyle en C₁-4)-sulfamyle, alkyle en C₁-6, phényle portant un, deux, trois ou quatre substituants halogéno, phényle portant un, deux, trois ou quatre substituants alkyle en C₁-4, phényle portant un, deux, trois ou quatre substituants alcoxy en C₁-4, phényle portant un, deux, trois ou quatre substituants alkylthio en C₁-4, 2-chloro-4-nitrophényle, 4-nitrophényle, 2-méthyl-4-aminophényle, 2-bromo-4-nitrophényle ou 2-nitro-4-méthylphényle, ou encore des groupes hétérocycliques à cinq ou six chaînons, choisis parmi les groupes pyridyle, furyle, thiényle et thiazolyle ; et

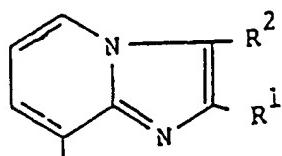
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X et Y ont les mêmes significations que celles qui sont indiquées plus haut ; ou bien

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3) Q représente

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et R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ; ou R¹ représente un groupe méthyle, R² représente un groupe 1,1,2,2-tétrafluoroéthyle, X représente

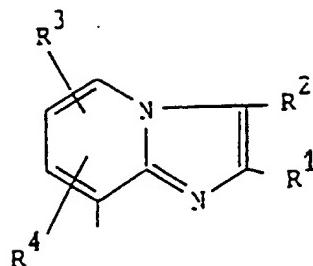
un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
5 ou R¹ représente un groupe méthyle, R² représente un groupe morpholinométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthyle, R² représente un groupe isopropylthiométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
10 ou R¹ représente un groupe méthyle, R² représente un groupe 2-cyanoéthyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthyle, R² représente un groupe 2-méthyl-1-propényle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 15 N-méthyl-2-pyrrolyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 3-trifluorométhyl-phényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 20 3-méthylphényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 5-méthyl-2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 2-pyridyl-méthyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 25 3-méthyl-2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 30 2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe 1,1,2,2-tétrafluoroéthyle, X représente un groupe 35 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe 2-cyano-éthyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un groupe 2-méthyl-1-propényle, X représente un groupe 40 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe 2-méthyl-1-propényle, R² représente un atome d'hydrogène, X représente un groupe 45 2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe éthoxyiminométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phénoxyméthyle, R² représente un atome d'hydrogène, X représente un groupe 50 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phénithiométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phénysulfinylméthyle, R² représente un atome d'hydrogène, X représente un groupe 55 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phénysulfonylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe phénoxyméthyle, R² représente un groupe N,N-diméthylaminométhyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthoxyméthyle, R² représente un atome d'hydrogène, X représente un groupe 60 2-furyle et Y représente un groupe cyano ;
ou R¹ représente un groupe méthoxyméthyle, R² représente un groupe morpholinométhyle, X

représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylthiométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylsulfinylméthyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylthiométhyle, R₂ représente un groupe N,N-diméthyaminométhyle, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthylsulfonylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe morpholinométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe diéthoxyphosphorylméthyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe (diméthylthiocarbamyl)thiométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe chlorométhyle, R² représente un atome d'hydrogène, X représente un groupe 2-furyle et Y représente un groupe cyano ;
 ou R¹ représente un groupe trifluorométhyle, R² représente un groupe phénylcarbamyle, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un atome d'hydrogène, R² représente un groupe 1-hydroxybenzyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe sulfométhyle, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 4-diméthylamino-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe phényle, R² représente un groupe benzylidèneamino, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe biphenylyle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 4-sulfophényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe trifluorométhyle, R² représente un atome d'hydrogène, X représente un groupe 3-fluorophényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 3-hydroxyphényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-hydroxyphényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe méthyle, R² représente un groupe benzylidèneamino, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-diméthylcarbamyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthoxyméthyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-4-méthylsulfinyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-4-méthylsulfonyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 4-cyanophényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 3-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;
 ou R¹ représente un groupe 2-méthyl-5-trifluorométhyl-phényle, R² représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ; ou bien

4) Q représente

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et R¹ représente un groupe méthyle, R² représente un groupe N,N-diméthylaminométhyle, R³ représente un groupe 5-méthyle, R⁴ représente un atome d'hydrogène, X représente un groupe 2-thiényle et Y représente un groupe cyano ;

ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-méthyle, R⁴ représente un groupe 7-méthyle, X représente un groupe 2-furyl et Y représente un groupe cyano ;

ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-trifluorométhyle, R⁴ représente un groupe 7-trifluorométhyle, X représente un groupe 2-furyl et Y représente un groupe cyano ;

ou R¹ représente un groupe phényle, R² représente un atome d'hydrogène, R³ représente un groupe 5-hydroxy, R⁴ représente un atome 6-chloro, X représente un groupe 2-thiényle et Y représente un groupe cyano, lequel procédé comporte le fait de faire réagir un composé de formule

Q-CO-Z (II)

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dans laquelle Q possède les mêmes significations que celles qui sont indiquées plus haut et CO-Z désigne le groupe carboxy ou l'un de ses dérivés réactifs, ou un sel d'un tel composé, avec un composé de formule générale

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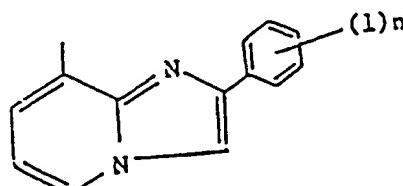
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dans laquelle X et Y possèdent chacun les mêmes significations que celles qui sont indiquées plus haut, ou avec un sel d'un tel composé.

2. Procédé, conforme à la revendication 1, de préparation d'un composé dans lequel Q représente

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où n vaut 0, 1, 2, 3 ou 4, et (1) représente des substituants identiques ou différents et choisis dans l'ensemble constitué par les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄ et alkylthio en C₁₋₄,

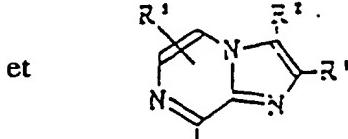
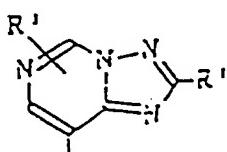
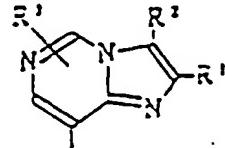
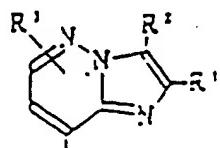
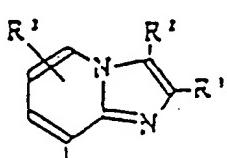
X représente un groupe phényle, phényle portant un ou des atomes de fluor en tant que substituants, thiényl ou furyl, et

Y représente un groupe cyano,
ou d'un sel d'un tel composé.

3. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -(2-phényl-imidazo[1,2-a]pyridine-8-yl-carbonylamino)-(2-thiényle)acétonitrile ou l'un de ses sels.
4. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -{2-(2-méthoxyphényl)-imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényle)acétonitrile ou l'un de ses sels.
5. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -{2-(3-méthoxyphényl)-imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényle)acétonitrile ou l'un de ses sels.
6. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -{2-(2,4-diméthoxyphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényle)acétonitrile ou l'un de ses sels.
7. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -{2-(2,4-diisopropylphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényle)acétonitrile ou l'un de ses sels.
8. Procédé, conforme à la revendication 1, de préparation d'un composé qui est l' α -{2-(3-trifluorométhylphényl)imidazo[1,2-a]pyridine-8-yl-carbonylamino}-(2-thiényle)acétonitrile ou l'un de ses sels.
9. Procédé de préparation d'une composition fongicide, qui comporte le fait de mélanger un composé hétérocyclique condensé de formule (I), indiquée dans la revendication 1, ou un sel d'un tel composé, et un véhicule ou un diluant.
10. Procédé de préparation d'un composé de formule

Q^2-CO-Z (VIII)

- 30 dans laquelle Q^2 représente un groupe hétérocyclique condensé, comportant un atome d'azote en tête de pont, choisi dans l'ensemble constitué par



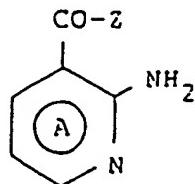
50 où R¹ représente un atome d'halogène ou un groupe alkyle en C₁₋₆, alcoxy en C₁₋₄, alkylthio en C₁₋₄, aryloxy en C₆₋₁₀, arylthio en C₆₋₁₀, alcoxycarbonyle, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore un groupe hétérocyclique aromatique à 5 ou 6 chaînons, et

55 R² et R³ représentent des atomes d'hydrogène ou d'halogène ou des groupes alkyle en C₁₋₆, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alcoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons ; et -COZ désigne le groupe carboxy ou l'un de ses dérivés réactifs ;

ou d'un sel d'un tel composé,
lequel procédé comporte le fait de faire réagir un composé de formule

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dans laquelle le cycle A est un cycle de type pyridine, pyridazine, pyrimidine ou pyrazine, qui porte ou non, en tant que substituants, des atomes d'halogène ou des groupes alkyle en C₁₋₆, nitro, amino, sulfo, monoalkylsulfamyle, dialkylsulfamyle, alcoxycarbonyle, formyle, cyano, phényle, ou phényle portant de 1 à 4 substituants, identiques ou différents et choisis dans l'ensemble que constituent les atomes d'halogène et les groupes alkyle en C₁₋₄, alkoxy en C₁₋₄, alkylthio en C₁₋₄ et nitro, ou encore des groupes hétérocycliques aromatiques à 5 ou 6 chaînons, et -COZ désigne le groupe carboxy ou l'un de ses dérivés réactifs.

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ou un sel d'un tel composé, avec un composé de formule

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ou

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où W représente un atome d'halogène et R¹ et R² ont les mêmes significations que celles qui sont indiquées plus haut.

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11. Emploi d'un composé préparé conformément à l'une des revendications 1 à 8 en tant qu'agent fungicide, et plus particulièrement, dans la lutte contre divers types de flétrissure généralisée des plantes, comme le mildiou et la rouille des céréales.

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